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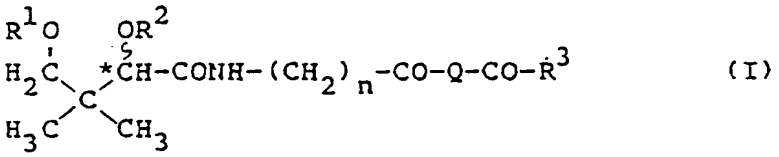
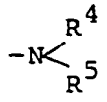
(54) **Pantothenic acid derivatives.**

(57) Compounds represented by general formula (I) below



(I)

wherein R^1 and R^2, which are the same or different, each represent a hydrogen atom or a protective group for a hydroxyl group;
R^3 represents a saturated or unsaturated, linear, branched or cyclic, monovalent C_5–C_{25}-aliphatic hydrocarbon group which may be substituted with an aromatic group, or a group of formula



where R^4 represents a saturated or unsaturated, linear, branched or cyclic, monovalent C_5–C_{25}-aliphatic hydrocarbon group which may be substituted with an aromatic group, and

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R^5 represents a hydrogen atom, or a saturated or unsaturated, linear, branched or cyclic, monovalent hydrocarbon group which may be substituted with an aromatic group;

Q represents

(a) a group of formula $-X^1-A-Y^1-$,

where A represents a saturated or unsaturated, linear, branched or cyclic divalent C_2–C_{16}-aliphatic hydrocarbon group which may be substituted with an aromatic group, a divalent aromatic hydrocarbon group or a divalent aromatic heterocyclic group;

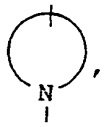
one of X^1 and Y^1 represents

and the other represents -O-, -S- or

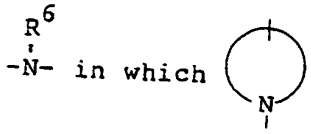
in which R^5 and R^7 each represent a hydrogen atom or a lower alkyl group;

(b) a group of formula $-X^2-(CH_2)_\ell-Y^2-$,

where one of X^2 and Y^2 represents a group of formula

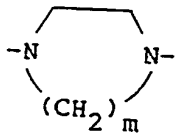


and the other represents -O-, -S- or



represents a 4–7-membered, divalent nitrogen-containing aromatic heterocyclic group, and R^6 has the same meaning as defined above, and ℓ is 0, 1 or 2; or

(c) a group of formula



where m is 2 or 3;

n is an integer of from 1 to 4.

The compounds have excellent inhibitory activity against acyl Co A-cholesterol-acyltransferase.

PANTOTHENIC ACID DERIVATIVES

The present invention relates to pantothenic acid derivatives which have excellent inhibitory activity against acyl CoA-cholesterol-acyltransferase (hereafter, abbreviated as ("ACAT").

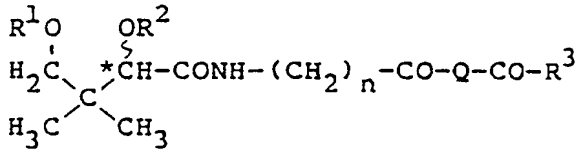
Recently, it revealed that in artherosclerosis, a popular artheriosclerosis, lipophagy in which fat is accumulated is aberved to begin at the earliest stage of artheriosclerotic crisis. Main component of the fat accumulated is cholesterols. Further, many pathohistological and biochemical investigations revealed that the cholesterols are derived from plasma lipid. On the other hand, various epidemic researches showed that hyperlipemia is a major critical factor of artheriosclerotic diseases particularly premature coronary heart disease. Therefore, therapy of hyperlipemia is increasingly important in order to alleviate risks of arteriosclerotic diseases. As for remedies for the therapy of the diseases, development of a drug is strongly desired which can not only decrease level of serum lipid but also improve serum lipid balance or positively prevent crisis of artheriosclerosis.

Many drugs have already been provided as hypolipidemics which exhibit clinical effects to some extent relative to decrease of total serum cholesterol. However, they are insufficient in the effect of decreasing mortality due to artheriosclerotic diseases. Recently, based on elucidation of lipid metabolism, there have been developed drugs which can control serum lipid balance, that is, drugs which are effective for increasing serum high density lipoprotein (HDL) level and decreasing serum low density lipoprotein (LDL) level, drugs which can inhibit biosynthesis of cholesterol and as a result decrease serum lipid level (HMG CoA reductase inhibitors) and the like. While they are effective for improving blood lipid level, these drugs have almost no effect on the control of absorption of alimentary cholesterol through intestinal walls. In addition, they have no activity for positively prevent crisis or development of artheriosclerosis; it requires further investigation to find whether they can alleviate risks of artheriosclerotic diseases or not.

On the other hand, ACAT known as an intramembranous enzyme is present mostly in intracellular microsomes in liver and small intestines and catalyze the intracellular esterification of cholesterol. At present, it is known that there are two isozymes for this enzyme. The structures, physiological roles and the like of the ACAT have not been clarified yet because the isolation and purification of the enzyme are difficult. However, in view of the fact that it is known that ACAT play erucial role in the absorption of cholesterols through intestinal walls and accumulation of cholesterols within cells in a form of cholesterol esters and that the activity of the enzyme is increased in artheriosclerotic lesions. Thus, inhibition of intestinal ACAT would be expected to lead to decrease cholesterol esterification resulting in diminished intestinal absorption. Additional reduction in intracellular accumulation of cholesterol esters might be expected. Therefore, ACAT inhibitors offer potential for exhibiting both hypocholesterolemia and antiartheriosclerotic activity.

As a result of extensive investigations with view to synthesizing substances which have excellent ACAT inhibitory activities, the present invention has been completed.

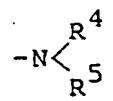
Accordingly, the present invention provides a compound represented by general formula (I) below



(I)

wherein R^1 and R^2, which are the same or different, each represent a hydrogen atom or a protective group for a hydroxyl group;

R^3 represents a saturated or unsaturated, linear, branched or cyclic, monovalent C_5 ~ C_{25}-aliphatic hydrocarbon group which may be substituted with an aromatic group, or a group of formula

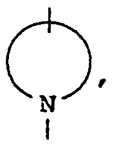


where R^4 represents a saturated or unsaturated, linear, branched or cyclic, monovalent C_5-C_{25}-aliphatic

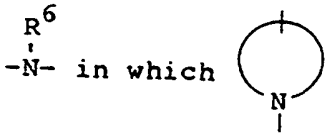
hydrocarbon group which may be substituted with an aromatic group, and
R^5 represents a hydrogen atom, or a saturated or unsaturated, linear, branched or cyclic, monovalent hydrocarbon group which may be substituted with an aromatic group;
Q represents
(a) a group of formula $-X^1-A-Y^1-$,
where A represents a saturated or unsaturated, linear, branched or cyclic divalent C_2–C_{16}-aliphatic hydrocarbon group which may be substituted with an aromatic group, a divalent aromatic hydrocarbon group or a divalent aromatic heterocyclic group;
one of X^1 and Y^1 represents

and the other represents -O-, -S- or

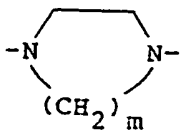
in which R^6 and R^7 each represent a hydrogen atom or a lower alkyl group;
(b) a group of formula $-X^2-(CH_2)_t-Y^2-$,
where one of X^2 and Y^2 represents a group of formula



and the other represents -O-, -S- or



represents a 4–7-membered, divalent nitrogen-containing aromatic heterocyclic group, and R^6 has the same meaning as defined above, and t is 0, 1 or 2; or
(c) a group of formula



where m is 2 or 3;
n is an integer of from 1 to 4.

The term "lower" used herein indicates that elemental groups or compounds referred to together with this term have no more thatn 6 carbon atoms, preferably no more than 4 carbon atoms.

The term "a protective group of a hydroxyl group" used herein refers to any protective groups for hydroxyl groups usually used which can easily release as a result of usual protecting group elimination reaction, for example, hydrolysis or hydrogenolysis.

Specific examples of the protective group for a hydroxyl group include the following groups:

substituted or unsubstituted alkyl or alkenyl groups such as methyl, methoxyethyl, methylthiomethyl, benzyloxymethyl, t-butoxymethyl, 2-methoxyethoxymethyl, 2,2,2-trichloroethoxymethyl, bis(2-chloroethoxy)-methyl, 1-ethoxyethyl, 1-methyl-1-methoxyethyl, 1-(isopropoxy)ethyl, 2,2,2-trichloroethyl, t-butyl, allyl, cinnamyl, benzyl, p-methoxybenzyl, o-nitrobenzyl, p-nitrobenzyl, p-chlorobenzyl, o-chlorobenzyl, p-cyanobenzyl, diphenylmethyl,α-naphthyldiphenylmethyl, triphenylmethyl, and di(p-methoxyphenyl)methyl groups;
heterocyclic groups such as tetrahydropyranyl, tetrahydrothiopyranyl, 4-methoxytetrahydropyranyl, 4-methoxytetrahydrothiopyranyl, tetrahydrofuranyl and tetrahydrothiofuranyl;
substituted silyl groups such as trimethylsilyl, triethylsilyl, isopropyldimethylsilyl, t-butyldimethylsilyl, t-butyldiphenylsilyl, methyldiisopropylsilyl, methyldi-t-butylsilyl, tribenzylsilyl, triphenylsilyl, and triisopropylsilyl groups;
acyl groups such as formyl, acetyl, propionyl, chloroacetyl, dichloroacetyl, trichloroacetyl, trifluoroacetyl, methoxyacetyl, triphenylmethoxyacetyl, phenoxyacetyl, p-chlorophenoxyacetyl, 2,6-dichloro-4-methylphenoxyacetyl, phenylacetyl, chlorodiphenylacetyl, 3-phenylpropionyl, 3-benzoylpropionyl, isobutyroyl, monosuccinoyl, 4-oxopentanoyl, pivaloyl, 2-butenoyl, (E)-2-methyl-2-butenoyl, benzoyl, 2-chlorobenzoyl, 3-nitrobenzoyl, 2-fluorobenzoyl, 3-trifluorobenzoyl, 3-trichlorobenzoyl, 4-phenylbenzoyl, 2,4,6-trimethylbenzoyl, and α-naphthoyl groups;
substituted oxycarbonyl groups such as methoxycarbonyl, ethoxycarbonyl, 2,2,2-triethoxycarbonyl, isobutoxycarbonyl, vinyloxycarbonyl, aryloxycarbonyl, cinnamyloxycarbonyl, p-nitrophenoxycarbonyl, benzyloxycarbonyl, p-methoxybenzyloxycarbonyl, 3,4-dimethoxybenzyloxycarbonyl, and p-nitrobenzyloxycarbonyl groups;
substituted carbamoyl groups such as phenylcarbamoyl, naphthylcarbamoyl, toluylcarbamoyl, fluorophenylcarbamoyl, difluorophenylcarbamoyl, nitrophenylcarbamoyl, cyanophenylcarbamoyl, benzylcarbamoyl, methylcarbamoyl, ethylcarbamoyl, isopropylcarbamoyl, butylcarbamoyl, cyclohexylcarbamoyl, cyclopropylmethyl carbamoyl, phenylthiocarbamoyl, naphthylthiocarbamoyl, toluylthiocarbamoyl, fluorophenylthiocarbamoyl, difluorophenylthiocarbamoyl, nitrophenylthiocarbamoyl, cyanophenylthiocarbamoyl, benzylthiocarbamoyl, propylthiocarbamoyl, butylthiocarbamoyl groups.

In the case where R^1 and R^2 in formula (I) above each represent a protective group, R^1 and R^2 may combine to form an ylidene group such as methylene, ethylidene, 1-t-butylethylidene, 1-phenylethylidene, 2,2,2-trichloroethylidene, isopropylidene, butylidene, cyclopentylidene, cyclohexylidene, cyclobutylidene, benzylidene, p-methoxybenzylidene, 2,4-dimethoxybenzylidene, p-dimethylaminobenzylidene, o-nitrobenzylidene, methoxymethylene, ethoxymethylene, dimethoxymethylene, 1-methoxyethylidene, 1,2-dimethoxyethylidene,α-methoxybenzylidene groups.

In formula (I) above, preferably R^1 and R^2, which are the same or different, each represent a hydrogen atom; a lower alkyl group, particularly a t-butyl gourp; a benzyl group which may optionally be substituted with a halogen atom, a lower alkoxy group, a nitro group or a cyano group, particularly, benzyl, p-methoxybenzyl, o-nitrobenzyl, p-nitrobenzyl, p-chlorobenzyl, o-chlorobenzyl, p-cyanobenzyl group; a 5- or 6-membered saturated heterocyclic group containing as hetero atoms N, S or O selected from tetrahydropyranyl, tetrahydrothiopyranyl, 4-methoxytetrahydropyranyl, 4-methoxytetrahydrothiopyranyl, tetrahydrofuranyl and tetrahydrothiofuranyl groups; or an acyl group, particularly acetyl, propionyl, phenylacetyl, chlorodiphenylacetyl, 3-phenylpropionyl, 3-benzoylpropionyl, isobutyroyl, pivaloyl, 2-butenoyl, (E)-2-methyl-2-butenoyl, benzoyl, 2-chlorobenzoyl, 3-nitrobenzoyl, 2-fluorobenzyoyl, 3-trifuluoromethylbenzoyl, 3-trichloromethylbenzoyl, 4-phenylbenzoyl, 2,4,6-trimethylbenzoyl, and α-naphthoyl groups; or
R^1 and R^2 may combine to form a ylidene group selected from 1-t-butylethylidene, 1-phenylethylidene, isopropylidene, butylidene, cyclopentylidene, cyclohexylidene, cycloheptylidene, benzylidene, p-methoxybenzyilidene, 2,4-dimethoxybenzylidene, p-dimethylaminobenzylidene, and o-nitrobenzylidene groups.

As for the "saturated or unsaturated, linear, branched or cyclic monovalent aliphatic hydrocarbon group", there can be cited, for example, the following groups:

(1) an alkyl group, for example, methyl, ethyl, propyl, isopropyl, butyl, isobutyl, t-butyl, pentyl, neopentyl, isopentyl, t-pentyl, 1-ethylpentyl, 1-isopropylpentyl, 1-t-butylpentyl, 2-ethylpentyl, 2-isopropylpentyl, 2-t-butylpentyl, 3-ethylpentyl, 3-isopropylpentyl, 3-t-butylpentyl, hexyl, 1-ethylhexyl, 1-isopropylhexyl, 1-t-butylhexyl, 2 ethylhexyl, 2-isopropylhexyl, 2-t-butylhexyl, 3-ethylhexyl, 3-isopropylhexyl, 3-t-butylhexyl, heptyl, 1-ethylheptyl, 1-isopropylheptyl, 1-neopentylheptyl, 2-ethylheptyl, 2-isopropylheptyl, 2-neopentylheptyl, 3-ethylheptyl, 3-isopropylheptyl, 3-neopentylheptyl, octyl, 1-ethyloctyl, 1-isopropyloctyl, 1-t-butyloctyl, 2-ethyloctyl, 3-isopropyloctyl, 4-t-butyloctyl, nonyl, 1-methylnonyl, 1-ethylnonyl, 1-isopropylnonyl, 1-isobutylnonyl, 2-methylnonyl, 2-ethylnonyl, 3-isopropylnonyl, 4-isobutylnonyl, decyl, 1-ethyldecyl, 1,1-diethyldecyl, 1-t-butyldencyl, 3-ethyldecyl, 1,3-diethyldecyl, 2-t-butyldencyl, undecyl, 1-isopropylundecyl, 1,1-diethylundecyl, 2-isopropylundecyl, 1,2-diethylundecyl,dodecyl, 1-t-butyldodecyl, 1-isopropyldodecyl, 1,1-diethyldodecyl, 2-t-butyldodecyl, 3-isopropyldodecyl, 2,4-diethyldodecyl, tridecyl,

1,1-diethyltridecyl, 1-t butyltridecyl, 1,5-diethyltridecyl, 3-t-butyltridecyl, tetradecyl, 1-isobutyltetradecyl, pentadecyl, 1-methylpentadecyl, 1,1-dimethylpentadecyl, 1-ethylpentadecyl, 1,1-diethylpenta decyl, 1-isopropylpentadecyl, 1-t-butylpentadecyl, 2-isobutyltetradecyl, 3-methylpentadecyl, 2,6-dimethylpentadecyl, 2-ethylpentadecyl, 1,4-diethylpentadecyl, 3-isopropylpentadecyl, 2-t-butylpentadecyl, hexadecyl, 1,1-dimethylhexadecyl, 1-methylhexadecyl, 1-ethylhexadecyl, 1-isopropylhexadecyl, 1-t-butylhexadecyl, 1,3-dimethylhexadecyl, 2-methylhexadecyl, 4-ethylhexadecyl, 3-isopropylhexadecyl, 4-t-butylhexadecyl, heptadecyl, 1-methylheptadecyl, 1,1-dimethylheptadecyl, 1-ethylheptadecyl, 1-isopropylheptadecyl, 1-t-butylheptadecyl, 2-methylheptadecyl, 3,5-dimethylheptadecyl, 2-ethylheptadecyl, 5-isopropylheptadecyl, 3-t-butyheptadecyl, octadecyl, 1-methyloctadecyl, 1,1-dimethyloctadecyl, 2,3-dimethyloctadecyl, 5-ethyloctadecyl, 1,2-diethyloctadecyl, nonadecyl, 1-methylnonadecyl, 1,1-dimethylnonadecyl, 1-t-butylnonadecyl, 2-methylnonadecyl, 2,3-dimethylnonadecyl, 3-t-butylnonadecyl, eicosyl, 1-methyleicosyl, 1,1-dimethyleicosyl, 1-ethyleicosyl, 1-t-butyleicosyl, 4-methyleicosyl, 2,2-dimethyleicosyl, 3-ethyleicosyl, 2,2-dimethyleicosyl, 3-ethyleicosyl, and 2-t-butyleicosyl groups;

(2) an alkenyl group, for example, vinyl, 1-propenyl, 1-methyl-2-propenyl, 1-methyl-1-butenyl, 2-butenyl, 1-methyl-3-butenyl, 1-pentenyl, 1-methyl-2-pentenyl, 1-ethyl-3-pentenyl, 4-pentenyl, 1,3-pentadienyl, 2,4-pentadienyl, 1-hexenyl, 1-methyl-2-hexenyl, 3-hexenyl, 4-hexenyl, 1-butyl-5-hexenyl, 1,3-hexadienyl, 2,4-haxedienyl, 1-heptenyl, 2-heptenyl, 3-heptenyl, 4-heptenyl, 5-heptenyl, 6-heptenyl, 1,3-heptadienyl, 2,4-heptadienyl, 1-octenyl, 2-octenyl, 3-octenyl, 4-octenyl, 5-octenyl, 6-octenyl, 7-octenyl, 1-nonenyl, 2-nonenyl, 3-nonenyl, 4-nonenyl, 5-nonenyl, 6-nonenyl, 7-nonenyl, 8-nonenyl, 9-decenyl, 1-methyl-9-decenyl, 1,1-dimethyl-9-decenyl, 1-ethyl-9-decenyl, 6-undecenyl, 1-methyl-6-undecenyl, 1,1-dimethyl 6-undecenyl, 6-tridecenyl, 1-methyl-6-tridecenyl, 1,1-dimethyl-6-tri decenyl, 8-tridecenyl, 1-methyl-8-tridecenyl, 1,1-dimethyl-8-tridecenyl, 10-tridecenyl, 1-methyl-10-tridecenyl, 1,1-dimethyl-10-tridecenyl, 10-pentadecenyl, 1-methyl-10-pentadecenyl, 1,1-dimethyl-10-pentadecenyl, 8-pentadecenyl, 1-methyl-8-pentadecenyl, 1,1-dimethyl-8-pentadecenyl, 12-heptadecenyl, 1-methyl-12-heptadecenyl, 1,1-dimethyl-12-heptadecenyl, 10-heptadecenyl, 1-methyl1-heptadecenyl, 1,1-dimethyl-10-heptadecenyl, 8-heptadecenyl, 1-methyl-8-heptadecenyl, 1,1-dimethyl-8-heptadecenyl, 1-ethyl-8-heptadecenyl, 8,11-heptadecadienyl, 1-methyl-8,11-heptadecadienyl, and 8,11,14-heptadecatrienyl groups.

(3) an alkynyl group, for example, propargyl, 2-butynyl, 1-methyl-3-butynyl, 2-pentynyl, 1-ethyl-3-pentynyl, 1-isopropyl-4-pentynyl, 1,3-pentadiynyl, 2,4-pentadiynyl, 1-hexylnyl, 1-methyl-2-hexynyl, 2-methyl-3-hexynyl, 1-ethyl-4-hexynyl, 5-hexynyl, 1,3-hexadiynyl, 2,4-hexadiynyl, 1-heptynyl, 1-methyl-2-heptynyl, 3-heptynyl, 1-ethyl-4-heptynyl, 2-propyl-5-heptynyl, 2-ethyl-6-heptynyl, 1,3 heptadiynyl, 2,4-heptadiynyl, 1-octynyl, 1-methyl-2-octynyl, 3-methyl-1-octynyl, 4-methyl-1-octynyl, 1-methyl-5-octynyl, 6-methyl-1-octynyl, 7-octynyl, 1-nonynyl, 2-methyl-1-nonynyl, 3-methyl-1-nonynyl, 1-methyl-4-nonynyl, 5-nonynyl, 6-methyl-1-nonynyl, 1-methyl-7-nonynyl, 8-nonynyl, 9-decynyl, 1-methyl-9-decynyl, 1,1-dimethyl-9-decynyl, 1-ethyl-9-decynyl, 6-undecynyl, 1-methyl-6-undecynyl, 6-tridecynyl, 1-methyl-6-tridecynyl, 1,1-dimethyl-6-tridecynyl, 8-tridecynyl, 1-methyl-8-tridecynyl, 1,1-dimethyl-8-tridecynyl, 10-tridecynyl, 1-methyl-10-tridecynyl, 1,1-dimethyl-10-tridecynyl, 10-pentadecynyl, 1-methyl-10-pentadecynyl, 1,1-dimethyl-10-entadecynyl, 8-pentadecynyl, 1-methyl-8-pentadecynyl, 1,1-dimethyl-8-pentadecynyl, 12-hetadecynyl, 1-methyl-12-heptadecynyl, 1,1-dimethyl-12-heptadecynyl, 10-heptadecynyl, 1-methyl-10-heptadecynyl, 1,1-dimethyl-10-heptadecynyl, 8-heptade cynyl, 1-methyl-8-heptadecynyl, 1,1-dimethyl-8-heptadecynyl, 1-ethyl-8-heptadecynyl, 8,11-heptadecadiynyl, 1-methyl-8,11-heptadecadiynyl, 1-methyl-8,11-heptadecadiynyl, and 8,11,14-heptadecatriynyl groups;

(4) a cycloalkyl group, for example, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cycloheptyl, cyclooctyl, and perhydronaphthyl groups;

(5) a cycloalkenyl group, for example, cyclopentenyl, cyclohexenyl, cycloheptenyl, cyclooctenyl, cyclopentadienyl, cyclohexadienyl, cycloheptadienyl, and cyclooctadienyl groups;

(6) a cycloalkylalkyl group, for example, cyclohexylmethyl, cyclopentylmethyl, (4-isopropylcyclohexyl)-methyl, (4-t-butylcyclohexyl)methyl, (4-neopentylcyclohexyl)methyl, 2-cyclopentylethyl, 2-cyclohexylethyl, 3-cyclopentylpropyl, 3-cyclohexylpropyl, 1-cyclopentylpentyl, 1-cyclohexylpentyl, 1-cyclohexylmethylpentyl, 3-cyclopentylpentyl, 2-cyclohexylpentyl, 2-cyclohexylmethylpentyl, 1-(4-t-butylcyclohexyl)-methylpentyl, 1-cyclopentylhexyl, 1-cyclohexylhexyl, 1-cyclopentylmethylhexyl, 2-cyclopentylhexyl, 2-cyclohexylhexyl, 3-cyclopentylmethylhexyl, 1-(4-neopentylcyclohexyl)methylhexyl, 1-cyclopentylheptyl, 1-cyclohexylmethylheptyl, 1-(4-isopropylcyclohexyl)methylheptyl, 3-cyclopentylheptyl, 2-cyclohexylmethylheptyl, 4-(4-isopropylcyclohexyl)methylheptyl, 1-cyclopentyloctyl, 1-cyclohexyloctyl, 1-cyclopentylmethyloctyl, 2-cyclopentyloctyl, 3-cyclohexyloctyl, 2-cyclopentylmethyloctyl, 1-cyclopentylnonyl, 1-cyclohexylnonyl, 1-cyclohexylmethylnonyl, 3-cyclopentylnonyl, 2-cyclohexylnonyl, 2-cyclohexylmethylnonyl, 1-cyclopentyldencyl, 1-cyclopentylundecyl, 1-cyclohexylundecyl, 1-cyclopentyldodecyl, 1-cyclopentyltridecyl, 2-cyclopentyldecyl, 3-cyclopentylundecyl, 3-cyclohexylundecyl, 2-cyclopentyl-

dodecyl, 2-cyclopentyltridecyl, 1-cyclopentyltetradecyl, 1-cyclohexyltetradecyl, 2-cyclopentyltetradecyl, and 3-cyclohexyltetra decyl groups;

(7) a cycloalkenylalkyl group, for example, 2-cyclohexen-1-ylmethyl, 1-cyclopenten-1-ylmethyl, 2-(2-cyclopenten-1-yl)ethyl, 2-(1-cyclohexen-1-yl)ethyl, 3-(1-cyclopenten-1-yl)propyl, 3-(1-cyclohexen-1-yl)-propyl, 4-(1-cyclohexen-1-yl)butyl, 1-(1-cyclopenten-1-yl)pentyl, 1-(1-cyclohexen-1-yl)pentyl, 5-(1-cyclohexen-1-yl)pentyl, 1-(1-cyclohexen-1-ylmethyl)pentyl, 1-(1-cyclopenten-1-yl)hexyl, 6-(1-cyclopenten-1-yl)hexyl, 1-(1-cyclohexen-1-yl)hexyl, 6-(1-cyclohexen-1-yl)hexyl, 1-(2-cyclopenten-1-ylmethyl)hexyl, 1-(1-cyclopenten-1-yl)heptyl, 7-(1-cyclopenten-1-yl)heptyl, 1-(1-cyclohexen-1-ylmethyl)heptyl, 1-(1-cyclopenten-1-yl)octyl, 1-(2-cyclopenten-1-yl)octyl, 1-(2-cyclopenten-1-yl)octyl, 1-(2-cyclohexen-1-yl)-octyl, 8-(2-cyclohexen-1-yl)octyl, 1-(1-cyclopenten-1-ylmethyl)octyl, 1-(1-cyclopenten-1-yl)nonyl, 9-(1-cyclopenten-1-yl)nonyl, 1-(1-cyclohexen-1-yl)nonyl, 9-(1-cyclohexen-1-yl)nonyl, 1-(1-cyclohexen-1-yl-methyl)nonyl, 1-(1-cyclopenten-1-yl)decyl, 10-(1-cyclopenten-1-yl)decyl, 1-(2-cyclopenten-1-yl)undecyl, 1-(2-cyclohexen-1-yl)undecyl, 1-(1-cyclopenten-1-yl)dodecyl, 1-(1-cyclopenten-1-yl)tridecyl, 1-(2-cyclopenten-1-yl)tetradecyl, and 1-(3-cyclohexen-1-yl)tetradecyl groups;

(8) an alkylcycloalkyl group and an alkenylcycloalkyl group, for example, 1-methylcyclobutyl, 2-ethylcyclobutyl, 2-propylcyclobutyl, 1-butylcyclobutyl, 1-pentylcyclobutyl, 1-hexylcyclobutyl, 1-heptylcyclobutyl, 1-octylcyclobutyl, 1-nonylcyclobutyl, 2-pentylcyclobutyl, 2-hexylcyclobutyl, 2-heptylcyclobutyl, 2-octylcyclobutyl, 2-nonylcyclobutyl, 1-decylcyclobutyl, 1-undecylcyclobutyl, 1-dodecylcyclobutyl, 1-pentadecylcyclobutyl, 1-(9-octadecynyl)cyclobutyl, 1-methylcyclopentyl, 2-methylcyclopentyl, 1-ethylcyclopentyl, 1-propylcyclopentyl, 1-butylcyclopentyl, 2-butylcyclopentyl, 1-pentylcyclopentyl, 1-hexylcyclopentyl, 3-hexyl cyclopentyl, 1-heptylcyclopentyl, 1-octylcyclopentyl, 2-octylcyclopentyl, 1-decylcyclopentyl, 1-dodecylcyclopentyl, 1-tridecylcyclopentyl, 1-tetradecylcyclopentyl, 1-(9-octadecenyl)-cyclopentyl, 1-methylcyclohexyl, 1-ethylcyclohexyl, 1-propylcyclohexyl, 2-methylcyclohexyl, 3-ethylcyclohexyl, 4-propylcyclohexyl, 1-butylcyclohexyl, 1-pentylcyclohexyl, 1-hexylcyclohexyl, 4-butylcyclohexyl, 4-pentylcyclohexyl, 4-hexylcyclohexyl, 1-heptylcyclohexyl, 1-octylcyclohexyl, 1-nonylcyclohexyl, 1-undecylcyclohexyl, 1-hexadecylcyclohexyl, and 1-(9-octadecenyl)cyclohexyl groups;

(9) alkycycloalkenyl group and alkenylcycloalkyenyl group, for example, 1-methyl-2-cyclopentenyl, 1-ethyl-2-cyclopentenyl, 1-propyl-2-cyclopentenyl, 1-butyl-2-cyclopentenyl, 1-pentyl-2-cyclopentenyl, 1-hexyl-2-cyclopentenyl, 1-heptyl-2-cyclopentenyl, 1-octyl-2-cyclopentenyl, 2-methyl-2-cyclopentenyl, 3-ethyl-2-cyclopentenyl, 2-propyl-3-cyclopentenyl, 3-butyl-2-cyclopentenyl, 2-pentyl-2-cyclopentenyl, 3-hexyl-3-cyclopentenyl, 2-heptyl-2-cyclopentenyl, 2-octyl-3-cyclopentenyl, 1-decyl-2-cyclopentenyl, 1-dodecyl-2-cyclopentenyl, 1-tridecyl-2-cyclopentenyl, 1-tetradecyl-2-cyclopentenyl, 1-(9-octadecenyl)-2-cyclopentenyl, 1-methyl-2-cyclopentenyl, 1-ethyl-2-cyclohexenyl, 1-propyl-2-cyclohexenyl, 1-butyl-2-cyclohexenyl, 1-pentyl-2-cyclohexenyl, 1-hexyl-2-cyclohexenyl, 1-heptyl-2-cyclohexenyl, 4-methyl-2-cyclohexenyl, 2-ethyl-2-cyclohexenyl, 3-propyl-2-cyclohexenyl, 4-butyl-3-cyclohexenyl, 3-pentyl-3-cyclohexenyl, 4-hexyl-3-cyclohexenyl, 4-heptyl-3-cyclohexenyl, 1-octyl-2-cyclohexenyl, 2-nonyl-2-cyclohexenyl, 1-undecyl-2-cyclohexenyl, 1-hexadecyl-2-cyclohexenyl, and 1-(9-octadecenyl-2-cyclohexenyl groups; and the like.

The saturated or unsaturated, linear, branched or cyclic monovalent aliphatic hydrocarbon groups may optionally be substituted with an aromatic group selected from an aromatic hydrocarbon group and an aromatic heterocyclic group. Examples of the aromatic hydrocarbon group include phenyl and naphthyl groups. Examples of the aromatic heterocyclic group include furyl, thienyl, pyridyl, quinolyl, isoquinolyl, pyridazinyl, pyrazinyl, indolyl, benzoxadiazolyl, imidazolyl, benzothiadiazolyl, triazolyl and tetrazolyl groups.

Furthermore, these aromatic groups may have one or more substituent groups. Specific examples of the substituent groups include a halogen atom such as chlorine, bromine and fluorine, a lower alkyl group, a lower alkoxy group, a lower alkylthio group, a cyano group, a nitro group, a trichloromethyl group, a trifluoromethyl group, a hydroxyl group, a phenyl group, a phenoxy group, and the like.

As for the saturated or unsaturated, linear, branched or cyclic monovalent aliphatic hydrocarbon group which may be substituted with an aromatic group, represented by R^3 and R^4 in formula (I) above, those groups are used which are of a relatively long chain, i.e., have from 5 to 25 carbon atoms, preferably from 8 to 22 carbon atoms. On the other hand, the saturated or unsaturated, linear, branched or cyclic monovalent aliphatic hydrocarbon group which may be substituted with an aromatic group, represented by R^5 in formula (I) above, may be of either short chain or long chain but generally those groups are preferred which are of a short chain, preferably having from 1 to 10 carbon atoms, more preferably from 1 to 8 carbon atoms. It is desirable that total carbon atom number of R^4 and R^5 is in a range of from 5 to 25, preferably form 8 to 22.

Specific examples of the group of formula

include monosubstituted amino groups such as 2-cyclopentylethyl-amino, 2-cyclohexylethylamino, 3-cyclopentylpropylamino, 3-cyclohexylpropylamino, 2-cyclopentyl-1- methylethylamino, 2-cyclopentyl-1,1-dimethylethylamino, 2-cyclohexyl-1-methylethylamino, 3-cyclopentylpropylamino, 3 cyclohexylpropylamino, 4-cyclohexyl-1,1-dimethylbutylamino, 1-methylpentylamino, 1,1-dimethylpentylamino, 1-ethylpentylamino, 1-cyclohexyl-4-methylpentylamino, 1-cyclopentyl-4-methylpentylamino, 2-methylpentylamino, 1,2-dimethylpentylamino, 2-ethylpentylaminio, 2-cyclohexyl-4-methylpentylamino, 2-cyclopentyl-4-methylpentylamino, 3-methylpentylamino, 1,3-dimethylpentylamino, 3-ethylpentylamino, 1-cyclohexyl-3-methylpentylamino, 1-cyclopentyl-3-methylpentylamino, hexylamino, 1-methylhexylamino, 1,1-dimethylhexylamino, 1-ethylhexylamino, 1,1-diethylhexylamino, 1-propylhexylamino, 1-butylhexylamino, 1-cyclopentylhexylamino, 2-methylhexylamino, 1,2-dimethylhexylamino, 2-ethylhexylamino, 1,2-diethylhexylamino, 2-propylhexylamino, 2-butylhexylamino, 6-cyclopentylhexylamino, 6-cyclohexylhexylamino, heptylamino, 1-ethylheptylamino, 1,1-dimethylheptylamino, 1-cyclohexylheptylamino, 1-cyclopentylheptylamino, 1-cyclohexylmethylheptylamino, 1-cyclopentylmethylheptylamino, octylamino, 1,1-dimethyloctylamino, 1-methyloctylamino, 1-ethyloctylamino, 1,1-diethyloctylamino, 1-propyloctylamino, 1-butyloctylamino, 1-cyclopentyloctylamino, 1-cyclohexyloctylamino, 1-cyclopentylmethyloctylamino, 1-cyclohexylmethyloctylamino, nonylamino, 1-methylnonylamino, 1,1-dimethylnonylamino, 1-ethylnonylamino, 1,1-diethylnonylamino, decylamino, 1-methyldecylamino, 1,1-dimethyldecylamino, 1-ethyldecylamino, 1,1-diethyldecylamino, 1-cyclopentyldecylamino, 1-cyclohexyldecylamino, 1-cyclopentylmethyldecylamino, 1-cyclohexylmethyldecylamino, undecylamino, 1-methylundecylamino, 1,1-dimethylundecylamino, dodecylamino, 1-methyldodecylamino, 1,1-dimethyldodecylamino, tetradecylamino, 1-methyltetradecylamino, 1,1-dimethyltetradecylamino, pentadecylamino, 1-methylpentadecylamino, 1,1-dimethylpentadecylamino, hexadecylamino, 1-methyl hexadecylamino, 1,1-dimethylhexadecylamino, heptadecylamino, 1-methylheptadecylamino, 1,1-dimethylheptadecylamino, octadecylamino, 1-methyloctadecylamino, 1,1-dimethyloctadecylamino, 3-cyclopentyl-2-propenylamino, 3-cyclohexyl-2-propenylamino, 1,1-dimethyl-3-butenylamino, 1-ethyl-3-butenylamino, 1-cyclopropyl-3-butenylamino, 1-methyl-2-pentenylamino, 1,1-dimethyl-2-pentenylamino, 1-ethyl-2-pentenylamino, 1-cyclopropyl-2-pentenylamino, 2-hexenylamino, 1-methyl-2-hexenylamino, 1,1-dimethyl-2-hexenyl-amino, 1,1-dimethyl-2-hexenylamino, 3-hexenylamino, 1-methyl-3-hexenylamino, 1,1-dimethyl-3-hexenylamino, 2-heptenylamino, 1-methyl-2-heptenylamino, 2-octenylamino, 1-methyl-2-octenylamino, 3-nonenylamino, 1-methyl-3-nonenylamino, 1,1-dimethyl-3-nonenylamino, 1-ethyl-3-nonenylamino, 1-propyl-3-nonenylamino, 8-nonenylamino, 1-methyl-8-nonenylamino, 1,1-dimethyl-8-nonenylamino, 1-ethyl-8-nonenylamino, 9-decenylamino, 1-methyl-9-decenylamino, 1,1-dimethyl-9-decenylamino, 1-ethyl-9-decenylamino, 6-undecenylamino, 1-methyl-6-undecenylamino, 1,1-dimethyl-6-undecenylamino, 6-tridecenylamino, 1-methyl-6-tridecenylamino, 1,1-dimethyl-6-tridecenylamino, 8-tridecenylamino, 1-methyl-8-tridecenylamino, 1,1-dimethyl-8-tridecenylamino, 10-tridecenylamino, 1-methyl-10-tridecenylamino, 1,1-dimethyl-10-tridecenylamino, 10-pentadecenylamino, 1-methyl-10-pentadecenylamino, 1,1-dimethyl-10-pentadecenylamino, 8-pentadecenylamino, 1-methyl-8-pentadecenylamino, 1,1-dimethyl-8-pentadecenylamino, 12-heptadecenylamino, 1,1-dimethyl-12-heptadecenylamino, 10-heptadecenylamino, 1-methyl-10-heptadecenylamino, 1,1-dimethyl-10-heptadecenylamino, 8-heptadecenylamino, 1-methyl-8-heptadecenylamino, 1,1-dimethyl-8-heptadecenylamino, 1-ethyl-8-heptadecenylamino, 8,11-heptadecadienylamino, 1-methyl-8,11-heptadecadienylamino, 8,11,14-heptadecatrienylamino, 1-ethylcyclobutylamino, 1-propylcyclobutylamino, 1-butylcyclobutylamino, 1-pentylcyclobutylamino, 1-hexylcyclobutylamino, 1-pentylcyclobutylamino, 1-octylcyclobutylamino, 1-nonylcyclobutylamino, 1-decylcyclobutylamino, 1-undecylcyclobutylamino, 1-dodecylcyclobutylamino, 1-pentadecylcyclobutylamino, 1-(9-octadecenyl)-cyclobutylamino, 1-methylcyclopentylamino, 1-ethylcyclopentylamino, 1-butylcyclopentylamino, 1-hexylcyclopentylamino, 1-octylcyclopentylamino, 1-decylcyclopentylamino, 1-dodecylcyclopentylamino, 1-tridecylcyclopentylamino, 1-tetradecylcyclopentylamino, 1-(9-octadecenyl)cyclopentylamino, cyclohexylamino, 1-methylcyclohexylamino, 1-propylcyclohexylamino, 1-pentylcyclohexylamino, 1-heptylcyclohexylamino, 1-nonylcyclohexylamino, 1-undecylcyclohexylamino, 1-hexadecylcyclohexylamino, and 1-(9-octadecenyl)cyclohexylamino groups; disubstituted amino groups such as (2-cyclopentylethyl)ethylamino, (2-cyclopentylbutyl)ethylamino, (2-cyclopentylethyl)octylamino, (2-cyclohexylethyl)propylamino, (2-cyclohexylethyl)pentylamino, (2-cyclohexylethyl)decylamino, (3-cyclopentylpropyl)hexylamino, (3-cyclohexylpropyl)-octylamino, (2-cyclopentyl-1-methylethyl)butylamino, (2-cyclopentyl-1,1-dimethylethyl)hexylamino, (2-

cyclohexyl-1-methylethyl)decylamino, (3-cyclopentylpropyl)heptylamino, (3-cyclohexylpropyl)octylamino, (4-cyclohexyl-1,1-dimethylbutyl)pentylamino, hexyl(1-methylpentyl)amino, (1,1-dimethylpentyl)heptylamino, (1-ethylpentyl)decylamino, (1-cyclohexyl-4-methylpentyl)butylamino, (1-cyclopentyl-4-methylpentyl)-pentylamino, (2-methylpentyl)decylamino, (1,2-dimethylpentyl)heptylamino, (2-ethylpentyl)dodecylamino, (2-cyclohexyl-4-methylpentyl)butylamino, (2-cyclopentyl-4-methylpentyl)propylamino, (3-methylpentyl)-octylamino, (1,3-dimethylpentyl)heptylamino, (3-ethylpentyl)nonylamino, (1-cyclohexyl-3-methylpentyl)-butylamino, (1-cyclopentyl-3-methylpentyl)propylamino, dihexylamino, butylhexylamino, hexyloctylamino, decylhexylamino, (1-methylhexyl)pentylamino, (1,1-dimethylhexyl)decylamino, (1-ethylhexyl) undecylamino, (1,1-diethylhexyl)octylamino, heptyl(1-propylhexyl)amino, (1-butylhexyl)propylamino, (1-cyclopentylhexyl)-butylamino, (2-methylhexyl)octylamino, decyl(1,2-dimethylhexyl)amino, (2-ethylhexyl)tetradecylamino, (1,2-diethylhexyl)octylamino, (2-propylhexyl)dodecylamino, (2-butylhexyl)octylamino, (6-cyclopentylhexyl)-butylamino, (6-cyclohexylhexyl)propylamino, diheptylamino, (1-ethylheptyl)tridecylamino, (1,1-dimethylheptyl)pentylamino, (1-cyclohexylheptyl)pentylamino, (1-cyclopentylheptyl)hexylamino, (1-cyclohexylmethylheptyl)butylamino, (1-cyclopentylmethylheptyl)propylamino, octylpropylamino, hexyloctylamino, (1,1-dimethyloctyl)pentylamino, hexyl(1-methyloctyl)amino, (1-ethyloctyl)pentylamino, (1,1-diethyloctyl)-butylamino, octyl(1-propyloctyl)amino, (1-butyloctyl)hexylamino, (1-cyclopentyloctyl)pentylamino, (1-cyclohexyloctyl)butylamino, (1-cyclopentylmethyloctyl)propylamino, (1-cyclohexylmethyloctyl)propylamino, nonylpropylamino, (1-methylnonyl)heptylamino, (1,1-dimethylnonyl)hexylamino, (1-ethylnonyl)butylamino, (1,1-diethylnonyl)propylamino, hexyldecylamino, (1-methyldecyl)pentylamino, (1,1-dimethyldecyl)-hexylamino, (1-ethyldecyl)butylamino, (1,1-diethyldecyl)pentylamino, (1-cyclopentyldecyl)butylamino, (1-cyclohexyldecyl)propylamino, (1-cyclopentylmethyldecyl)ethylamino, (1-cyclohexylmethyldecyl)-methylamino, butylundecylamino, (1-methylundecyl)propylamino, (1,1-dimethylundecyl)propylamino, butyl-dodecylamino, (1-methyldodecyl)propylamino, (1,1-dimethyldodecyl)propylamino, propyltetradecylamino, (1-methyltetradecyl)butylamino, (1,1-dimethyltetradecyl)propylamino, butylpentadecylamino, (1-methylpentadecyl)butylamino, (1,1-dimethylpentadecyl)propylamino, ethylhexadecylamino, ethyl(1-methylhexadecyl)-amino, (1,1-dimethylhexadecyl)methyamino, heptadecylamethylamino, (1-methylheptadecyl)methylamino, (1,1-dimethylheptadecyl)methylamino, methyloctadecylamino, ethyl(1-methyloctadecyl)amino, ethyl(1,1-dimethyloctadecyl)amino, (3-cyclopentyl-2-propenyl)hexylamino, (3-cyclohexyl-2-propenyl)heptylamino, (1,1-dimethyl-3-butenyl)octylamino, (1-ethyl-3-butenyl)nonylamino, (1-cyclopropyl-3-butenyl)decylamino, (1-methyl-2-pentenyl)decylamino, (1,1-dimethyl-2-pentenyl)nonylamino, (1-ethyl-2-pentenyl)decylamino, (1-cyclopropyl-2-pentenyl)heptylamino, (2-hexenyl)octylamino, (1-methyl-2-hexenyl)pentylamino, (1,1-dimethyl-2-hexenyl)decylamino, (3-hexenyl)butylamino, (1-methyl-3-hexenyl)octenylamino, (1,1-dimethyl-3-hexenyl)-octenylamino, di(2-heptenyl)amino, (1-methyl-2-heptenyl)heptylamino, pentyl(2-octenyl)amino, (1-methyl-2-octenyl)hexylamino, heptyl(3-nonenyl)amino, (1-methyl-3-nonenyl)hexylamino, (1,1-dimethyl-3-nonenyl)-hexylamino, (1-ethyl-3-nonenyl)pentylamino, butyl(1-propyl-3-nonenyl)amino, (8-nonenyl)pentylamino, (1-methyl-8-nonenyl)pentylamino, (1,1-dimethyl-8-nonenyl)butylamino, (1-ethyl-8-nonenyl)pentylamino, (9-decenyl)propylamino, (1-methyl-9-decenyl)pentylamino, (1,1-dimethyl-9-decenyl)butylamino, (1-ethyl-9-decenyl)propylamino, pentyl(6-undecenyl)amino, (1-methyl-6-undecenyl)butylamino, (1,1-dimethyl-6-undecenyl)propylamino, pentyl(6-tridecenyl)amino, (1-methyl-6-tridecenyl)pentylamino, (1,1-dimethyl-6-tridecenyl)ethylamino, butyl(8-tridecenyl)amino, butyl(1-methyl-8-tridecenyl)amino, (1,1-dimethyl-8-tridecenyl)ethylamino, ethyl(10-tridecenyl)amino, butyl(1-methyl-10-tridecenyl)amino, (1,1-dimethyl-10-tridecenyl)propylamino, butyl(10-pentadecenyl)amino, butyl(1-methyl-10-pentadecenyl)amino, (1,1-dimethyl-10-pentadecenyl)propylamino, (8-pentadecenyl)propylamino, (1-methyl-8-pentadecenyl)propylamino, ethyl-(1,1-dimethyl-8-pentadecenyl)amino, butyl(12-heptadecenyl)amino, ethyl(1-methyl-12-heptadecenyl)amino, 1,1-dimethyl-12-heptadecenyl)propylamino, ethyl(10-heptadecenyl)amino, (1-methyl-10-heptadecenyl)-propylamino, ethyl(1,1-dimethyl-10-heptadecenyl)amino, (8-hepta decenyl)methylamino, methyl(1-methyl-8-heptadecenyl)amino, ethyl(1,1-dimethyl-8-heptadecenyl)amino, (1-ethyl-8-heptadecenyl)propylamino, (8,11-heptadecadienyl)methylamino, methyl(1-methyl-8,11-heptadecadienyl)amino, methyl(8,11,14-heptadecatrienyl)amino, (1-ethylcyclobutyl)pentylamino, heptyl(1-propylcyclobutyl)amino, (1-butylcyclobutyl)-hexylamino, butyl(1-pentylcyclobutyl)amino, (1-hexylcyclobutyl)heptylamino, propyl(1-pentylcyclobutyl)-amino, ethyl(1-octylcyclobutyl)amino, propyl(1-nonylcyclobutyl)amino, ethyl(1-decylcyclobutyl)amino, methyl(1-undecylcyclobutyl)amino, (1-dodecylcyclobutyl)methylamino, ethyl(1-pentadecylcyclobutyl)amino, methyl[1-(9-octadecenyl)cyclobutyl]amino, methyl(1-methylcyclopentyl)amino, (1-ethylcyclopentyl)-propylamino, propyl(1-propylcyclopentyl)amino, (1-butylcyclopentyl)pentylamino, (1-hexylcyclopentyl)-methylamino, methyl(1-octyl-cyclopentyl)amino, (1-decylcyclopentyl)methylamino, (1-dodecylcyclopentyl)-methylamino, methyl(1-tridecylcyclopentyl)amino, methyl(1-tetradecylcyclopentyl)amino, methyl[1-(9-octadecenyl)cyclopentyl]amino, cyclohexyloctylamino, heptyl(1-methylcyclohexyl)amino, hexyl(1-propyl-cyclohexyl)amino, hexyl(1-pentylcyclohexyl)amino, (1-heptylcyclohexyl)pentylamino, butyl(1-monyl-

cyclohexyl)amino, ethyl(1-undecylcyclohexyl)amino, ethyl(1-hexadecylcyclohexyl)amino, methyl[1-(9-octadecenyl)cyclohexyl]amino, benzylhexylamino, benzylheptylamino, benzyloctylamino, benzyldecylamino, benzylnonylamino, benzylundecylamino, nonyl(2-phenylethyl)amino, nonyl(4-phenylbutyl)amino, 4-neopentylbenzylnonylamino, 4-isopropylbenzylnonylamino, and heptyl(4-neopentylbenzylamino) groups.

R_3 in formula (I) above may preferably represent the following groups:

(1) a C_5-C_{25}-alkyl group which is linear or has a branched chain at the 1-position thereof, particularly pentyl, 1-isopropylpentyl, 1-t-butylpentyl, hexyl, 1- isopropylhexyl, 1-t-butylhexyl, heptyl, 1-isopropylheptyl, 1-butylheptyl, octyl, 1-t-butyloctyl, nonyl, 1-isobutylnonyl, decyl, 1-ethyldecyl, 1,1-diethyldecyl, 1-t-butyldecyl, undecyl, 1-isopropylundecyl, 1,1-diethylundecyl, dodecyl, 1-t-butyldodecyl, 1-isopropyldodecyl, 1,1-diethyldodecyl, tridecyl, 1,1-diethyltridecyl, 1-t-butyltridecyl, tetradecyl, 1-isobutyltetradecyl, pentadecyl, 1-methylpentadecyl, 1,1-dimethylpentadecyl, 1-ethylpentadecyl, 1,1-diethylpentadecyl, 1-isopropylpentadecyl, 1-t-butylpentadecyl, hexadecyl, 1,1-dimethylhexadecyl, 1-methylhexadecyl, 1-ethylhexadecyl, 1-isopropylhexadecyl, 1-t-butylhexadecyl, heptadecyl, 1-methylheptadecyl, 1,1-dimethylheptadecyl, 1-ethylheptadecyl, 1-isopropylheptadecyl, 1-t-butylheptadecyl, octadecyl, 1-methyloctadecyl, 1,1-dimethyloctadecyl, 1-ethyloctadecyl, or 1,1-diethyloctadecyl group.

(2) a C_{12}-C_{18}-alkenyl group which is linear or has a branched chain at the 1-position thereof, particularly 1,1-dimethyl-9-decenyl, 1-ethyl-9-decenyl, 1-methyl-6-undecenyl, 1,1-dimethyl-6-undecenyl, 6-tridecenyl, 1-methyl-6-tridecenyl, 1,1-dimethyl-6-tridecenyl, 8-tridecenyl, 1-methyl-8-tridecenyl, 1,1-dimethyl-8-tridecenyl, 10-tridecenyl, 1-methyl-10-tridecenyl, 1,1-dimethyl-10-tridecenyl, 10-pentadecenyl, 1-methyl-10-pentadecenyl, 1,1-dimethyl-10pentadecenyl, 8-pentadecenyl, 1-methyl-8-pentadecenyl, 1,1-dimethyl-8-pentadecenyl, 12-heptadecenyl, 1-methyl-12-heptadecenyl, 1,1-dimethyl-12-heptadecenyl, 10-heptadecenyl, 1-methyl-10-heptadecenyl, 1-methyl-10-heptadecenyl, 1,1-dimethyl-10-heptadecenyl, 8-heptadecenyl, 1-methyl-8-heptadecenyl, 1,1-methyl-8-heptadecenyl, 1-ethyl-8-heptadecenyl, 8,11-heptadecadienyl, 1-methyl-8,11-heptadecadienyl, or 8,11,14-heptadecadienyl group;

(3) a C_8-C_{18}-alkyl-C_4-C_6-cycloalkyl group, particularly 1-octyl-cyclobutyl, 1-nonylcyclobutyl, 1-decylcyclobutyl, 1-undecylcyclobutyl, 1-dodecylcyclobutyl, 1-pentadecyl cyclobutyl, 1-(9-octadecenyl)-cyclobutyl, 1-octylcyclopentyl, 1-decylcyclopentyl, 1-dodecylcyclopentyl, 1-tridecylcyclopentyl, 1-tetradecylcyclopentyl, 1-(9-octadecenyl)cyclopentyl, 1-nonylcyclohexyl, 1-undecylcyclohexyl, or 1-(9-octadecenyl)cyclohexyl group;

(4) a monosubstituted amino group substituted with a C_8-C_{20}-alkyl group or a C_8-C_{20}-alkenyl group, for example, 1-isopropylpentylamino, 1-t-butylpentylamino, 1-isopropylhexylamino, 1-t-butylhexylamino, 1-isopropylheptylamino, 1-t-butyloctylamino, 1-isobutylnonylamino, decylamino, 1-ethyldecylamino, 1,1-diethyldecylamino, 1-t-butyldecylamino, undecylamino, 1-isopropylundecylamino, 1,1-diethylundecylamino, dodecylamino, 1-t-butyldodecylamino, 1-isopropyldodecylamino, 1,1-diethyldodecylamino, tridecylamino, 1,1-diethyltridecylamino, 1-t-butyltridecylamino, tetradecylamino, 1-isobutyltetradecylamino, pentadecylamino, 1-methylpentadecylamino, 1,1-dimethylpentadecylamino, 1-ethylpentadecylamino, 1,1-diethylpentadecylamino, 1-isopropylpentadecylamino, 1-t-butylpentadecylamino, hexadecylamino, 1,1-dimethylhexadecylamino, 1-methylhexadecylamino, 1-ethylhexadecylamino, 1-isopropylhexadecylamino, 1-t-butylhexadecylamino, heptadecylamino, 1-methylheptadecylamino, 1,1-dimethylheptadecylamino, 1-ethylheptadecylamino, 1-isopropylheptadecylamino, 1-t-butylheptadecylamino, octadecylamino, 1-methyloctadecylamino, 1,1-dimethyloctadecylamino, 1-ethyloctadecylamino, 1,1-diethyloctadecylamino, 1,1-dimethyl-9-decenylamino, 1,1-methyl-6-undecenylamino, 1,1-dimethyl-6-undecenylamino, 1-methyl-6-tridecenylamino, 1,1-dimethyl-6-tridecenylamino, 8-tridecenylamino, 1-methyl-8-tridecenylamino, 1,1-dimethyltridecenylamino, 10-tridecenylamino, 1-methyl-10-tridecenylamino, 1,1-dimethyl-10-tridecenylamino, 10-pentadecenylamino, 1-methyl-10-pentadecenylamino, 1,1-dimethyl-10-pentadecenylamino, 8-pentadecenylamino, 1-methyl-8-pentadecenylamino, 1,1-dimethyl-8-pentadecenyl amino, 12-heptadecenylamino, 1-methyl-12-heptadecenylamino, 1,1-dimethyl-12-heptadecenylamino, 10-heptadecenylamino, 1-methyl-10-heptadecenylamino, 1,1-dimethyl-10-heptadecenylamino, 8-heptadecenylamino, 1-methyl-8-heptadecenylamino, 1,1-dimethyl-8-heptadecenylamino, 1-ethyl-8-heptadecenylamino, 8,11-heptadecadienylamino, 1-methyl-8,11-heptadecadienylamino, 8,11-14-heptadecatrienylamino, 1-hexylcyclobutylamino, 1-heptylcyclobutylamino, 1-octylcyclobutylamino, 1-nonylcyclobutylamino, 1-decylcyclobutylamino, 1-undecylcyclobutylamino, 1-dodecylcyclobutylamino, 1-pentadecylcyclobutylamino, 1-(9-octadecenyl)cyclobutylamino, 1-pentylcyclopentylamino, 1-hexylcyclopentylamino, 1-heptylcyclopentylamino, 1-octylcyclopentylamino, 1-decylcyclopentylamino, 1-dodecylcyclopentylamino, 1-tridecylcyclopentylamino, 1-tetradecylcyclopentylamino, 1-(9-octadecenyl)cyclopentylamino, 1-nonylcyclohexylamino, 1-undecylcyclohexylamino, 1-hexadecylcyclohexylamino, or 1-(9-octadecenyl)cyclohexylamino; or

(5) a disubstituted amino group disubstituted with an alkyl group or an alkenyl group and having total carbon atoms in a range of from 8 to 20, for example, decylhexylamino, octylpropylamino, hexyloctylamino, (1-butyloctyl)hexylamino, (1-ethyldecyl)butylamino, (1,1-diethyldecyl)pentylamino, butylundecylamino, butyldodecylamino, propyltetradecylamino, butylpentadecylamino, (1-methylpentadecyl)-butylamino, (1,1-dimethylpentadecyl)propylamino, ethylhexadecylamino, ethyl(1-methylhexadecyl)amino, (1,1-dimethylhexadecyl)methylamino, heptadecylmethylamino, (1-methylheptadecyl)methylamino, (1,1-dimethylheptadecyl)methylamino, methyloctadecylamino, ethyl(1-methyloctadecyl)amino, ethyl(1,1-dimethyloctadecyl)amino, (1,1-dimethyl-9-decenyl)butylamino, (1-ethyl-9-decenyl)propylamino, pentyl(6-undecenyl)amino, (1-methyl-6-undecenyl)butylamino, (1,1-dimethyl-6-undecenyl)propylamino, pentyl(6-tridecenyl)amino, (1- methyl-6-tridecenyl)pentylamino, (1,1-dimethyl-6-tridecenyl)ethylamino, butyl(8-tridecenyl)amino, butyl(1-methyl-8-tridecenyl)amino, (1,1-dimethyl-8-tridecenyl)ethylamino, ethyl(10-tridecenyl)amino, butyl(1-methyl-10-tridecenyl)amino, (1,1-dimethyl-10-tridecenyl)propylamino, butyl(10-pentadecenyl)amino, butyl(1-methyl-10-pentadecenyl)amino, (1,1-dimethyl-10-pentadecenyl)propylamino, (8-pentadecenyl)propylamino, (1-methyl-8-pentadecenyl)propylamino, ethyl(1,1-methyl-8-pentadecenyl)-propylamino, butyl(12-heptadecenyl)amino, ethyl(1-methyl-12-heptadecenyl)amino, (1,1-dimethyl-12-heptadecenyl)propylamino, ethyl(10-hepotadecenyl)amino, (1-methyl-10-heptadecenyl)propylamino, ethyl-(1,1-dimethyl-10-heptadecenyl)amino, (8-heptadecenyl)methylamino, methyl(1-methyl-8-heptadecenyl)-amino, ethyl(1,1-dimethyl-8-heptadecenyl)amino, (1-ethyl-8-heptadecenyl)propylamino, (8,11-heptadecadienyl)amino, or methyl(8,11,14-heptadecatrienyl)amino group. The specific examples exemplified in (1) to (5) above for the groups represented by R^3 may be substituted with an aromatic group, for example, a phenyl group, a napthyl group, a furyl group, a thienyl group. The aromatic groups may further be substituted with a halogen atom, a lower alkyl group, a cyano group or the like.

As for the "saturated or unsaturated, linear, branched or cyclic divalent aliphatic hydrocarbon group which may be substituted with an aromatic group", there can be cited, for example, the following groups:

(1) alkylene groups or cycloalkylalkylene groups, for example, C_2-C_{16}-alkylene groups and C_5-C_7-cycloalkyl-C_2-C_{10}-alkylene groups such as ethylene, trimethylene, tetramethylene, pentamethylene, hexamethylene, heptamethylene, octamethylene, nonamethylene, decamethylene, propylene, ethylethylene, isopropylethylene, propylethylene, butylethylene, isobutylethylene, cyclopentylethylene, cyclohexylethylene, cycloheptylethylene, 1,1-dimethylethylene, 1-methyltrimethylene, 2-methyltrimethylene, 1-ethyltrimethylene, 1-isopropyltrimethylene, 1-isobutyltrimethylene, 1-cyclopentyltrimethylene, 1-cyclohexyltrimethylene, 2-isopropyltrimethylene, 2-isobutyltrimethylene, 2-cyclohexyltrimethylene, 1-methyltetramethylene, 1-isopropyltetramethylene, 1-isobutyltetramethylene, 1-cyclopetnyltetramethylene, 1-cyclohexyltetramethylene, 2-methyltetramethylene, 2-isopropyltetramethylene, 2-isobutyltetramethylene, 2-cyclopentyltetramethylene, 2-cyclohexyltetramethylene, 1-methylpentamethylene, 1-ethylpentamethylene, 1-isopropylpentamethylene, 1-isobutylpentamethylene, 1-cyclopentylpentamethylene, 1-cyclohexylpentamethylene, 2-methylpentamethylene, 2-ethylpentamethylene, 2-isopropylpentamethylene, 2 isobutylpentamethylene, 2-cyclopentylpentamethylene, 2-cyclohexylpentamethylene, methylpentamethylene, 3-ethylpentamethylene, 3-isopropylpentamethylene, 3-isobutylpentamethylene, 3-cyclopentylpentamethylene, 3-cyclohexylpentamethylene, 1-methylhexamethylene, 1-ethylhexamethylene, 1-isopropylhexamethylene, 1-isobutylhexamethylene, 1-cyclopentylhexamethylene, 1-cyclohexylhexamethylene, 2-methylhexamethylene, 2-ethylhexamethylene, 2-isopropylhexamethylene, 2-isobutylhexamethylene, 2-cyclopentylhexamethylene, 2-cyclohexylhexamethylene, 3-methylhexamethylene, 3-ethylhexamethylene, 3-isopropylhexamethylene, 3-isobutylhexamethylene, 3-cyclopentylhexamethylene, 3-cyclohexylhexamethylene, 1-methylheptamethylene, 1-ethylheptamethylene, 1-isopropylheptamethylene, 1-isobutylheptamethylene, 1-cyclopentylheptamethylene, 1-cyclohexylheptamethylene, 2-methylheptamethylene, 2-ethylheptamethylene, 2-isopropylheptamethylene, 2-isobutylheptamethylene, 2-cyclopentylheptamethylene, 2-cyclohexylheptamethylene, 3-methylheptamethylene, 3-ethylheptamethylene, 3-isopropylheptamethylene, 3-isobutylheptamethylene, 3-cyclopentylheptamethylene, 3-cyclohexylheptamethylene, 1-methylocta methylene, 1-ethyloctamethylene, 1-isopropyloctamethylene, 1-isobutyloctamethylene, 1-cyclopentyloctamethylene, 1-cyclohexyloctamethylene, 2-methyloctamethylene, 2-ethyloctamethylene, 2-isopropyloctamethylene, 2-isobutyloctamethylene, 2-cyclopentyloctamethylene, 2-cyclohexyloctamethylene, 3-methyloctamethylene, 3-ethyloctamethylene, 3-isopropyloctamethylene, 3-isobutyloctamethylene, 3-cyclopentyloctamethylene, 3-cyclohexyloctamethylene, 1-methylnonamethylane, 1-ethylnonamethylene, 1-isopropylnonamethylene, 1-isobutylnonamethylene, 1-cyclopentylnonamethylene, 1-cyclohexylnonamethylene, 2-methylnonamethylene, 2-ethylnonamethylene, 2-isopropylnonamethylene, 2-isobutylnonamethylene, 2-cyclopentylnonamethylene, 2-cyclohexylnonamethylene, 3-methylnonamethylene, 3-ethylnonamethylene, 3-isopropylnonamthylene, 3-isobutylnonamethylene, 3-cyclopentylnonamethylene, 1-methyldecamethylene,

1-ethyldecamethylene, 1-isopropyldecamethylene, 1-isobutyldecamethylene, 1-cyclopentyldecamethylene, 1-cyclohexyldecamethylene, 2-methyldecamethylene, 2-ethyldecamethylene, 2-isopropyldecamethylene, 2-isobutyldecamethylene, 2-cyclopentyldecamethylene, 2-cyclohexyldecamethylene, 3-methyldecamethylene, 3-ethyldecamethylene, 3-isopropyldecamethylene, 3-isobutyldecamethylene, 3-cyclopentyldecamethylene, and 3-cyclohexyldecamethylene groups;

(2) cycloalkylene groups, for example, C_5-C_8-cycloalkylene groups such as 1,2-cyclopentylene, 1,3-cyclopentylene, 1,2-cyclohexylene, 1,3-cyclohexylene, 1,4-cyclohexylene, 1,2-cycloheptyl, 1,3-cycloheptyl, and 1,4-cycloheptyl groups;

(3) alkenylene groups and alkenylene groups, for example, C_4-C_{10}-alkenylene groups and C_4-C_{10}-alkynylene groups such as 2-butenylene, 1-methyl-2-butenylene, 1-ethyl2-butenylene, 1-propylbutenylene, 1-butylbutenylene, 2-butenylene, 2-pentenylene, 2-pentynylene, 2-hexenylene, 3-hexenylene, 2-hexynylene, 3-hexynylene, 2-heptenylene, 3-heptenylene, 2-heptynylene, 3-heptynylene, 2-octenylene, and 4-octenylene groups; and

(4) cycloalkylalkylene groups, for example, C_4-C_8-cycloalkylene-C_1-C_7-alkylene groups such as 1,1-pentamethyleneethylene, 1,1-tetramethyleneethylene, 1,1-hexamethyleneethylene, 1,1-tetramethylenetrimethylene, 1,1-pentamethylenetrimethylene, 1,2-trimethylenetrimethylene, 1,2-tetramethylenetrimethylene, 1,1-trimethylenepentamethylene, 1,1-tetramethylenepentamethylene, 1,1-pentamethylenepentamethylene, 1,2-trimethylenepentamethylene, 1,2-tetramethylenepentamethylene, 1,2-pentamethylenepentamethylene, 1,3-trimethylenepentamethylene, 1,1-trimethylenehexamethylene, 1,1-tetramethylenehexamethylene, 1,1-pentamethylenehexamethylene, 1,2-trimethylenehexamethylene, 1,2-tetramethylenehexamethylene, 1,2-pentamethylenehexamethylene, 1,3-trimethylhexamethylene, 1,1-trimethyleneheptamethylene, 1,1-tetramethylenehpetamethylene, 1,1-pentamethyleneheptamethylene, 1,2-trimethyleneheptamethylene, 1,2-trimethyleneheptamethylene, 1,2-tetramethyleneheptamethylene, 1,2-pentamethyleneheptamethylene, 1,3-trimethyleneheptamethylene, 1,1-trimethyleneoctamethylene, 1,1-tetramethyleneoctamethylene, 1,1-pentamethyleneoctamethylene, 1,2-trimethyleneoctamethylene, 1,2-tetramethyleneoctamethylene, 1,2-pentamethyleneoctamethylene, 1,2-trimethyleneoctamethylene, 1,1-trimethylenenonamethylene, 1,1-tetramethylenenonamethylene, 1,1-pentamethylenenonamethylene, 1,2-trimethylenenonamethylene, 1,2-tetramethylenenonamethylene, 1,2-pentamethylenenonamethylene, 1,3-trimethylenenonamethylene, 1,1-trimethylenedecamethylene, 1,1-tetramethylenedecamethylene, 1,1-pentamethylenedecamethylene, 1,2-trimethylenedecamethylene, 1,2-tetramethylenedecamethylene, 1,3-pentamethylenedecamethylene, and 1,3-trimethylenedecamethylene groups.

The divalent aliphatic hydrocarbon groups described above may further be substituted with an aroma tic group, for example, an aryl group such as phenyl or naphthyl group; or a heteroaryl group such as furyl, thienyl, pyridyl or indolyl group. Examples of such substituted divalent hydrocarbon group include phenylethylene, pyridylethylene, benzylethylene, naphthylmethylethylene, furylmethylethylene, thienylmethylethylene, pyridylmethylethylene, and indolylmethylethylene groups.

The "divalent aromatic hydrocarbon group" may be either monocyclic or polycyclic, and examples thereof include phenylene and naphthylene groups. Their aromatic rings may be substituted with 1 to 4 lower alkyl groups.

Further, the "divalent aromatic heterocyclic group" includes aromatic unsaturated heterocyclic groups which have at least one hetero atom selected from a nitrogen atom, an oxygen atom and a sulfur atom in the ring thereof. The heterocyclic group described above may form a condensed ring together with the above-described aromatic hydrocarbon ring. Examples of this type of divalent aromatic heterocyclic group includes pyridinediyl, pyrimidinediyl, pyrazinediyl, furanediyl, thiophenediyl, quinolinediyl, isoquinolinediyl, benzofuranediyl, benzothiophenediyl, benzoxazolediyl, benzothiazolediyl and indolediyl.

Therefore, in the case where Q in formula (I) above represents the above-described group (a), A may preferably represent:

(1) a linear or branched C_2-C_{10}-alkylene group, for example, ethylene, trimethylene, tetramethylene, pentamethylene, hexamethylene, heptamethylene, octamethylene, nonamethylene, decamethylene, propylene, ethylethylene, isopropylethylene, propylethylene, butylethylene, isobutylethylene, 1-methyltrimethylene, 1-ethyltrimethylene, 1-isopropyltrimethylene, 1-isobutyltrimethylene, 1-methyltetramethylene, 1-isopropyltetramethylene or 1-isobutyltetramethylene group;

(2) a C_5-C_7-cycloalkyl-C_2-C_5-alkylene group, for example, cyclopentylethyl, cyclohexylethyl, cyclobutylethyl, 1-cyclopentyltrimethylene, 1-cyclohexyltrimethylene, 1-cyclopentyltetramethylene or 1-cyclohexyltetramethylene group;

(3) a C_5-C_7-cycloalkylene group, for example, 1,2-cyclopentylene, 1,2-cyclopentylene, 1,2-cyclohexylene, 1,3-cyclohexylene, 1,4-cyclohexylene, 1,2-cycloheptylene or 1,3-cycloheptylene;

(4) a C_4-C_8-alkenylene group or a C_4-C_8-alkynylene group, for example, 2-butenylene, 1-methyl-2-

butenylene, 1-ethyl-2-butenylene, 1-propylbutenylene, 1-butylbutenylene, 2-butynylene, 2-pentenylene, 2-pentynylene, 2-hexenylene, 3-hexenylene, 2-hexynylene, 3-hexynylene, 2-heptenylene, 3-heptenylene, 2-heptynylene, 3-heptynylene, 2-octenylene or 4-octenylene;

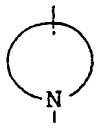
(5) a C_5-C_7-cycloalkylene-C_1-C_5-alkylene group, for example, 1,1-pentamethyleneethylene, 1,1-tetramethyleneethylene, 1,1-hexamethyleneethylene, 1,1-dimethylethylene, 1,1-tetramethylenetrimethylene, 1,1-pentamethylenetrimethylene, 1,2-trimethylenetrimethylene or 1,2-tetramethylenetrimethylene;

(6) a C_2-C_5-alkylene group substituted with an aryl group or a heteroaryl group, for example, phenylethylene, naphthylethylene, furylethylene, thienylethylene, pyridylethylene, benzylethylene, naphthylmethylethylene, furylmethylethylene, thienylmethylethylene, pyridylmethylethylene or indolylmethylethylene; or

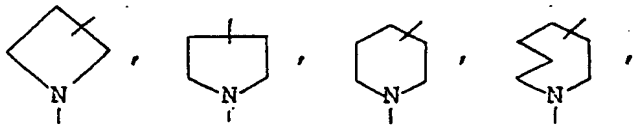
(7) o-phenylene, m-phenylene or p phenylene; and one of X^1 and Y^1 may preferably represent -NH-or

and the other may preferably represent -O-, -S-, -NH-,

Furthermore, in the case where Q in formula (I) above represents the group (b) described above, the 4- to 7-membered, preferably 5- or 6-membered divalent nitrogen-containing heterocyclic group represented by formula

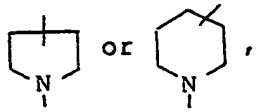


may include saturated nitrogen-containing heterocyclic groups, for example,



and X^2 represents one of the nitrogen-containing heterocyclic groups, it may be bonded through its nitrogen atom to the left hand side carbonyl group in formula (I), and on the other hand when Y^2 represents the above-described nitrogen-containing heterocyclic group, it may be bonded through its nitrogen atom to the right hand side carbonyl group in formula (I) above. When X^2 represents one of the above-described nitrogen-containing heterocyclic groups, it is preferred that Y^3 represent

Particularly, it is preferred that one of X^2 and Y^2 represent

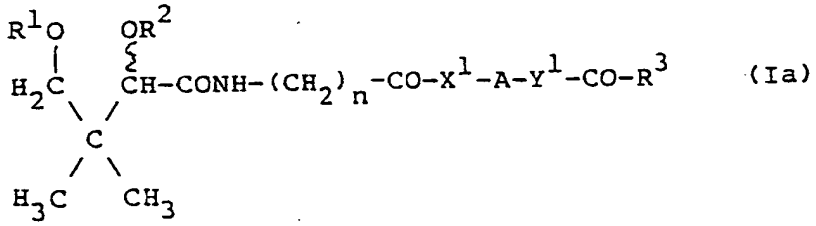


and the other represent -O-, -S-, -NH- or

Hence, representative examples of the compounds of formula (I) above provided by the present invention include the following compounds:

Group a

Compounds represented by the following formula (Ia)



(Ia)

wherein R^1, R^2, R^3, A, X^1, Y^1 and n are as defined above:
N-[4-(Oleoyloxy)phenyl)-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propanamide;
N-[4-(Oleoyloxy)phenyl]-3-[N-(2,4-dihydroxy-3,3-dimethyl-1-oxobutyl)amino]propanamide;
4-(Oleoylamino)phenyl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propionate;
4-(Oleoylamino)phenyl-3-[N-(2,4-dihydroxy-3,3-dimethyl-1-oxobutyl)amino]propanoamide;
N-[4-(Oleoylthio)phenyl]-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propanamide;
N-[4-(Oleoylthio)phenyl]-3-[N-(2,4-dihydroxy-3,3-dimethyl-1-oxobutyl)amino]propanamide;
S-4-(Oleoylamino)phenyl]-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propanthioate;
S-4-(Oleoylamino)phenyl]-3-[N-(2,4-dihydro-3,3-dimethyl-oxobutyl)amino]propanthioate;
N-[2-(Oleoylamino)phenyl]-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propanamide;
2-(Oleoylamino)phenyl]-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propionate;
N-[2-(Oleoyloxy)phenyl]-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propanamide;
N-[2-(Linoleoylamino)phenyl]-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propanamide;
N-[2-(Linolenoylamino)phenyl)-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propanamide;
N-[2-(Stearoylamino)phenyl]-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propanamide;
N-[2-(Lauroylamino)phenyl]-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propanamide;
N-[2-(Octanoylamino)phenyl]-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propanamide;
N-[3-(Linoleoylamino)phenyl]-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propanamide;
N-[4-(Lauroylamino)phenyl]-3-[N-(2,2,5,5- tetramethyl-1,3-dioxane-4-carbonyl)amino]propanamide;
4-(Linoleoylamino)phenyl]-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propionate;
N-[2-(Oleoylamino)phenyl]-3-[N-(2,4-diacetoxy-3,3-dimethyl-1-oxobutyl)amino]propanamide;
N-[2-(Oleoylamino)phenyl]-3-[N-(2,4-dihydroxy-3,3-dimethyl-1-oxobutyl)amino]propanamide;
N-[3-(Oleoylamino)phenyl]-3-[N-(2,4-diacetoxy-3,3-dimethyl-1-oxobutyl)amino]propanamide;
N-[3-(Oleoylamino)phenyl]-3-[N-(2,4-dihydroxy-3,3-dimethyl-1-oxobutyl)amino]propanamide;
N-[4-(Oleoylamino)phenyl]-3-[N-(2,4-diacetoxy-3,3-dimethyl-1-oxobutyl)amino]propanamide;
N-[4-(Oleoylamino)phenyl]-3-[N-(2,4-dihydroxy-3,3-dimethyl-1-oxobutyl)amino]propanamide;
4-(Oleoylamino)phenyl]-3-[N-(2,4-diacetoxy-3,3-dimethyl-1-oxobutyl)amino]propionate;

N-[4-(Oleoyloxy)phenyl]-3-[N-(2,4-diacetoxy-3,3-dimethyl-1-oxobutyl)amino]propanamide;
S-4-(Oleoylamino)phenyl]-3-[N-(2,4-diacetoxy-3,3-dimethyl-1-oxobutyl)amino]propionate;
N-[4-(Oleoylthio)phenyl]-3-[N-(2,4-diacetoxy-3,3-dimethyl-1-oxobutyl)amino]propanamide;
4-(Oleoylamino)phenyl]-3-[N-(2,4-dibenzyloxy-3,3-dimethyl-1-oxobutyl)amino]propionate;
N-(2-Oleoylaminoethyl)-3-[N-(2,4-diacetoxy-3,3-dimethyl-1-oxobutyl)amino]propanamide;
N-(3-N-Oleoylaminopropyl)-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propanamide;
N-(2-N-Oleoylaminoethyl)-3-[N-(2,4-dihydroxy-3,3-dimethyl-1-oxobutyl)amino]propanamide;
2-(N-Oleoylamino)ethyl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propionate;
2-(N-Oleoylamino)ethyl-3-[N-(2,4-dihydroxy-3,3-dimethyl-1-oxobutyl)amino]propionate;
3-(N-Oleoylamino)propyl-3-[N-(2,2,5,5-tetra methyl-1,3-dioxane-4-carbonyl)amino]propionate;
3-(N-Oleoylamino)propyl-3-[N-(2,4-dihydroxy-3,3-dimethyl-1-oxobutyl)amino]propionate;
3-(N-Oleoylamino)propyl-3-[N-(2,4-diacetoxy-3,3-dimethyl-1-oxobutyl)amino]propionate;
4-(N-Oleoylamino)butyl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propionate;
4-(N-Oleoylamino)butyl-3-[N-(2,4-dihydroxy-3,3-dimethyl-1-oxobutyl)amino]propionate:
S-2-(N-Oleoylamino)ethyl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propanthioate;
S-2-(N-Oleoylamino)ethyl-3-[N-(2,4-dihydroxy-3,3-dimethyl-1-oxobutyl)amino]propionate:
N-(3-Oleoylaminopropyl)-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propanamide;
N-(3-Oleoylaminopropyl)-3-[N-(2,4-dihydroxy-3,3-dimethyl-1-oxobutyl)amino]propanamide;
N-(3-Oleoylaminopropyl)-3-[N-(2,4-diacetoxy-3,3-dimethyl-1-oxobutyl)amino]propanamide;
N-(4-Oleoylaminobutyl)-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propanamide;
N-(4-Oleoylaminobutyl)-3-[N-(2,4-dihydroxy-3,3-dimethyl-1-oxobutyl)amino]propanamide;
N-(4-Oleoylaminobutyl)-3-[N-(2,4-diacetoxy-3,3-dimethyl-1-oxobutyl)amino]propanamide;
N-(6-Oleoylaminohexyl)-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propanamide;
N-(5-Oleoylaminopentyl)-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propanamide;
N-(8-Oleoylaminooctyl)-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propanamide;
N-(2-Oleoylaminoethyl)-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propanamide;
5-(N-Oleoylamino)pentyl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propionate;
6-(N-Oleoylamino)hexyl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propionate;
2-(N-Methyl-N-oleoylamino)ethyl-3-[N-2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propionate;
3-(N-Oleoylamino)propyl-3-[N-(2,4-dibenzoyloxy-3,3-dimethyl-1-oxobutyl)amino]propionate;
3-(N-Oleoylamino)propyl-3-[N-(2-hydroxy-3,3-dimethyl-4-(trimethylacetyl)oxy-1-oxobutyl)amino]propionate;
3-(N-Oleoylamino)propyl-3-[N-(2-phenyl-5,5-dimethyl-1,3-dioxane-4-carbonyl)amino]propionate;
3-(N-Hexadecanoylamino)propyl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propionate;
3-(N-Linoleoylamino)propyl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propionate;
3-(N-Octadecanoylamino)propyl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propionate;
3-(N-Tetradecanoylamino)propyl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propionate;
3-(N-Dodecanoylamino)propyl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propionate;
3-(N-Decanoylamino)propyl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propionate;
3-(N-Octanoylamino)propyl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propionate;
3-(N-Hexanoylamino)propyl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propionate;
3-(N-(2-Isopropylhexanoyl)amino]propyl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propionate;
3-[N-(2-t-Butylhexanoyl)amino]propyl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propionate;
3-[N-(2-t-Butylheptanoyl)amino]propyl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4 carbonyl)amino]propionate;
3-[N-(2-t-Butylnonanoyl)amino]propyl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propionate;
3-[N-(2,2-Diethylundecanoyl)amino]propyl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]-propionate;
3-[N-(2-Isopropyldodecanoyl)amino]propyl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]-propionate;
3-[N-(2-t-Butyltetradecanoyl)amino]propyl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propionate;
3-[N-(2-t-Butylhexadecanoyl)amino]propyl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]-propionate;
3-[N-(2-Isopropylheptadecanoyl)amino]propyl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]-propionate;
3-[N-(2-Ethyloctadecanoyl)amino]propyl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propionate;
3-[N-(2,2-Dimethyl-10-undecenoyl)amino]propyl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]-propionate;
3-[N-(2,2-Dimethyl-7-dodecenoyl)amino]propyl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]-propionate;

3-[N-(2,2-Dimethyl-7-tetradecenoyl)amino]propyl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]-propionate;
3-[N-(2,2-Dimethyl-9-tetradecenoyl)amino)propyl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]-propionate;
3-[N-(2,2-Dimethyl-11-tetradecenoyl)amino]propyl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]-propionate;
3-[N-(2,2-Dimethyl-11-pentadecenoyl)amino]propyl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]-propionate;
3-[N-(2,2-Dimethyl-9-pentadecenoyl)amino]propyl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]-propionate;
3-[N-(2,2-Dimethyl-9-hexadecenoyl)amino]propyl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]-propionate;
3-[N-(2,2-Dimethyl-9-heptadecenoyl)amino]propyl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]-propionate;
3-[N-(2,2-Dimethyl-9-octadecenoyl)amino]propyl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]-propionate;
3-[N-(2-Methyl-9-octadecenoyl)amino]propyl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]-propionate;
N-[2-(Oleoylamino)cyclohexane-1-yl]-3-[N-{(2R)-2,4-diacetoxy-3,3-dimethyl-1-oxobutyl}amino]propanamide;
N-[(1S,2S)-2-(Oleoylamino)cyclohexane-1-yl]-3-[N-((2R)-2,4-diacetoxy-3,3-dimethyl-1-oxobutyl)amino]-propanamide;
N-[(1R,2R)-2-(Oleoylamino)cyclohexane-1-yl]-3-[N-{(2R)-2,4-diacetoxy-3,3-dimethyl-1-oxobutyl}amino]-propanamide;
N-[(1S,2S)-2-(Oleoylamino)cyclohexane-1-yl)-3-[N-{(2R)-2,4-dihydroxy-3,3-dimethyl-1-oxobutyl}amino]-propanamide;
N-[2-(Oleoylamino)cyclohexane-1-yl]-3-[N-(2,4-diacetoxy-3,3-dimethyl-1-oxobutyl)amino]propanamide;
N-[(1S,2S)-2-(Oleoylamino)cyclohexane-1-yl]-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino] propanamide;
N-[(1R,2R)-2-(Oleoylamino)cyclohexane-1-yl]-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino] propionate;
N-[(1S,2S)-2-(Oleoylamino)cyclohexane-1-yl)-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino] propionate;
N-[(1R,2R)-2-(Stearoylamino)cyclohexane-1-yl]-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino] propionate;
N-[(1S,2S)-2-(Linoleoylamino)cyclohexane-1-yl]-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino] propionate;
2-(1-Octylcyclobutanoylamino)cyclohexane-1-yl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]-propionate;
2-(1-Nonylcyclobutanoylamino)cyclohexane-1-yl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]-propionate;
2-(Oleoylamino)cyclopentan-1-yl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propionate;
2-(Oleoylamino)cycloheptan-1-yl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propionate;
3-(Oleoylamino)cyclohexane-1-yl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propionate;
4-(Oleoylamino)cyclohexane-1-yl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propionate;
2-(1-Decylcyclobutanoylamino)cyclohexane-1-yl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]-propionate;
2-(1-Undecylcyclobutanoylamino)cyclohexane-1-yl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]-propionate;
2-(1-Pentadecylcyclobutanoylamino)cyclohexane-1-yl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)-amino]propionate;
2-[1-(9-Octadecenyl)cyclobutanoylamino)cyclohexane-1-yl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)-amino]propionate;
2-(1-Decylcyclobutanoylamino)cyclohexane-1-yl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]-propionate;
2-(1-Decylcyclohexanoylamino)cyclohexane-1-yl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amin]-propionate;
2-(1-Nonylcyclohexanoylamino)cyclohexane-1-yl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]-propionate;

2-(1-(9-Octadecenyl)cyclohexanoylamino)cyclohexane-1-yl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)-amino]propionate;
2-(1-Isopropylpentylcarbamoylamino)cyclohexane-1-yl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)-amino]propionate;
2-(1-Isopropylhexylcarbamoylamino)cyclohexane-1-yl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)-amino]propionate;
2-(1-t-Butyldodecylcarbamoylamino)cyclohexane-1-yl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)-amino]propionate;
2-(1,1-Dimethylhexadecylcarbamoylamino)cyclohexane-1-yl-3-[N-2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propionate;
2-(Octadecylcarbamoylamino)cyclohexane-1-yl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]-propionate;
2-(1,1-Dimethyloctadecylcarbamoylamino)cyclohexane-1-yl-3-[N-2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)-amino]propionate;
2-(1,1-Dimethyl-9-decenylcarbamoylamino)cyclohexane-1-yl-3-[N-2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propionate;
2-(1,1-Dimethyl-6-undecenylcarbamoylamino)cyclohexane-1-yl-3-[N-2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propionate;
2-(1,1-Dimethyl-8-tridecenylcarbamoylamino)cyclohexane-1-yl-3-[N-2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propionate;
2-(1,1-Methyl-10-pentadecenylcarbamoylamino)cyclohexane-1-yl-3-[N-2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propionate;
2-(1,1-Dimethyl-10-heptadecenylcarbamoylamino)cyclohexane-1-yl-3-[N-2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propionate;
2-(1,1-Methyl-8-heptadecenylcarbamoylamino)cyclohexane-1-yl-3-[N-2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propionate;
2-(Octadecenylcarbamoylamino)cyclohexane-1-yl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]-propionate;
2-(8,11-Octadecadienylcarbamoylamino)cyclohexane-1-yl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)-amino]propionate;
2-(1-Methyl-8,11,14-octadecatrienylcarbamoylamino)cyclohexane-1-yl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propionate;
2-(1-Hexylcyclobutylcarbamoylamino)cyclohexane-1-yl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)-amino]propionate;
2-(1-Octylcyclobutylcarbamoylamino)cyclohexane-1-yl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)-amino]propionate;
2-(1-Octylcyclopentylcarbamoylamino)cyclohexane-1-yl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)-amino]propionate;
2-(1-Octylcyclohexylcarbamoylamino)cyclohexane-1-yl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)-amino]propionate;
2-(1-Octylcyclopentylcarbamoylamino)cyclohexane-1-yl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)-amino]propionate;
2-(1-Decylcyclopentylcarbamoylamino)cyclohexane-1-yl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)-amino]propionate;
2-(Hexylcyclohexylcarbamoylamino)cyclohexane-1-yl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)-amino]propionate;
2-[1-(6-Hexadecenyl)cyclohexylcarbamoylamino)cyclohexane-1-yl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propionate;
2-[1-(6-Hexadecenyl)cyclobutylcarbamoylamino)cyclohexane-1-yl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propionate;
2-[1-(6-Hexadecenyl)cyclopentylcarbamoylamino)cyclohexane-1-yl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propionate;
2-[1-Decylhexylcarbamoylamino)cyclohexane-1-yl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]-propionate;
2-(Hexyloctylcarbamoylamino)cyclohexane-1-yl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]-propionate;
2-(Butyldodecylcarbamoylamino)cyclohexane-1-yl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]-propionate;

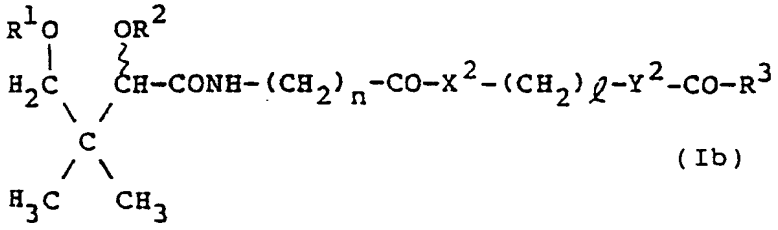
2-(Methyloctadecylcarbamoylamino)cyclohexane-1-yl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)-amino]propionate;
2-[Butyl(1,1-dimethyl-6-undecenylcarbamoylamino)cyclohexane-1-yl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propionate;
2-[Butyl(1,1-dimethyl-8-tridecenylcarbamoylamino)cyclohexane-1-yl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propionate;
2-[Butyl(1-methyl-10-pentadecenylcarbamoylamino)cyclohexane-1-yl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propionate;
2-[(8-Pentadecenyl)propylcarbamoylamino)]cyclohexane-1-yl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propionate;
2-[Butyl(1,1-dimethyl-8-heptadecenylcarbamoylamino)cyclohexane-1-yl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propionate;
2-[Ethyl(1,1-dimethyl-8-heptadecenylcarbamoylamino)cyclohexane-1-yl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propionate;
2-Methyl-2-(N-oeloylamino)ethyl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propionate;
2-Ethyl-2-(N-oeloylamino)ethyl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propionate;
2-Isopropyl-2-(N-oeloylamino)ethyl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propionate;
2-Isobutyl-2-(N-oeloylamino)ethyl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propionate;
2,2-Pentamethylene-2-(N-oeloylamino)ethyl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]-propionate;
2-Penyl 2-(N-oeloylamino)ethyl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propionate;
2-Benzyl-2-(N-oeloylamino)ethyl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propionate;
2-Naphthyl-2-(N-oeloylamino)ethyl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propionate;
2-(2-Furyl)-2-(N-oeloylamino)ethyl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propionate;
2-Cyclopentyl-2-(N-oeloylamino)ethyl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propionate;
2-(3-Indolyl)-2-(N-oeloylamino)ethyl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propionate;
4-(N-Oleoylamino)-2-butenyl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propionate;
4-(N-Oleoylamino)-2-butynyl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propionate;
2-[N-(1-Undecylcyclobutanecarbonyl)amino]cyclopentan-1-yl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propionate;
2-[N-(1-Pentadecylcyclobutanecarbonyl)amino]cyclopentan-1-yl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propionate;
2-[N-[1-(9-Octadecenyl)cyclobutanecarbonyl)amino]cyclopentan-1-yl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propionate;
2-[N-(1-Decylcyclopentanecarbonyl)amino]cyclopentan 1-yl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propionate;
2-[N-(1-Tridecylcyclopentanecarbonyl)amino]cyclopentan-1-yl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propionate;
2-[N-(1-Decylcyclohexanecarbonyl)amino]cyclopentan-1-yl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)-amino]propionate;
2-[N-(1-Nonylcyclohexanecarbonyl)amino]cyclopentan-1-yl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)-amino]propionate;
2-[N-[1-(9-Octadecenyl)cyclohexanecarbonyl)amino]cyclopentan-1-yl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propionate;
2-[N-[1-(Isopropylpentylcarbamoyl)amino]cycloheptan-1-yl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)-amino]propionate;
2-(1-Isopropylhexylcarbamoylamino)cycloheptan-1-yl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)-amino]propionate;
2-(1-t-Butyldodecylcarbamoylamino)cycloheptan-1-yl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)-amino]propionate;
2-(1,1-Dimethylhexanedecylcarbamoylamino)cycloheptan-1-yl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propionate;
2-(Octadecylcarbamoylamino)cyclopentan-1-yl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]-propionate;
2-(1,1-Dimethyloctadecylcarbamoylamino)cycloheptan-1-yl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propionate;
2-(1,1-Dimethyl-9-decylcarbamoylamino)cycloheptan-1-yl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)-amino]propionate;

2-(1,1-Dimethyl-6-undecylcarbamoylamino)cycloheptan-1-yl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propionate;
2-(1,1-Dimethyl-8-tridecylcarbamoylamino)cycloheptan-1-yl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propionate;
2-(1-Methyl-10-pentadecylcarbamoylamino)cycloheptan-1-yl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propionate;
2-(1,1-Dimethyl-10-heptacarbamoylamino)cycloheptan-1-yl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)-amino]propionate;
2-(1-Methyl-8-heptadecylcarbamoylamino)cycloheptan-1-yl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propionate;
2-(8-Octadecylcarbamoylamino)cycloheptan-1-yl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]-propionate;
2-(8,11-Octadecadienylcarbamoylamino)cycloheptan-1-yl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)-amino]propionate;
2-(1-Methyl-8,11,14-octadecatrienylcarbamoylamino)cycloheptan-1-yl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propionate;
2-(1-Hexylcyclobutylcarbamoylamino)cycloheptan-1-yl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)-amino]propionate;
2-(1-Octylcyclobutylcarbamoylamino)cycloheptan-1-yl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)-amino]propionate;
2-(1-Octylcyclopentylcarbamoylamino)cycloheptan-1-yl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)-amino]propionate;
2-(1-Octylcyclohexylcarbamoylamino)cycloheptan-1-yl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)-amino]propionate;
2-(1-Heptylcyclopentylcarbamoylamino)cyclopentan-1-yl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)-amino]propionate;
2-(1-Decylcyclopentylcarbamoylamino)cyclopentan-1-yl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)-amino]propionate;
2-(1-Hexylcyclohexylcarbamoylamino)cycloheptan-1-yl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)-amino]propionate;
2-[1-(6-Hexadecenyl)cyclohexylcarbamoylamino)cyclopentan-1-yl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propionate;
2-[1-(6-Hexadecenyl)cyclobutylcarbamoylamino)cycloheptan-1-yl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propionate;
2-[1-(6-Hexadecenyl)cyclopentylcarbamoylamino)cycloheptan-1-yl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propionate;
2-(1-Decylhexylcarbamoylamino)cyclopentan-1-yl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]-propionate;
2-(Hexyloctylcarbamoylamino)cycloheptan-1-yl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]-propionate;
2-[Butyl(1,1-dimethyl8-heptadecenylcarbamoylamino)cyclopentan-1-yl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propionate;
2-Methyl-2-(N-linoleoylamino)ethyl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propionate;
2-Methyl-2-[N-(2-isopropylhexanoyl)amino]ethyl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]-propionate;
2-Methyl-2-[N-(2-t-butylheptanoyl)amino]ethyl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]-propionate;
2-Methyl-2-[N-(2,2-dimethylundecanoyl)amino]ethyl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]-propionate;
2-Methyl-2-[N-(2,2-trimethylenedecanoyl)amino]ethyl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)-amino]propionate;
2-Methyl-2-(N-linolenoylamino)ethyl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propionate;
2-Isopropyl-2-[N-(2-isopropylheptadecanoylamino)ethyl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)-amino]propionate;
2-Isobutyl-2-[N-(2-ethyloctadecanoylamino)ethyl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]-propionate;
2,2-Pentamethylene-2-(N-linoleoylamino)ethyl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]-propionate;

2-Phenyl-2-(N-linoleoylamino)ethyl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonylamino]propionate
2,2-Diphenyl-2-(N-linoleoylamino)ethyl-3-[N- (2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propionate;
2-Benzyl-2-(N-linoleoylamino)ethyl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propionate
2,2-Bisbenzyl-2-(N-linoleoylamino)ethyl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propionate;
2,2-Naphthyl-2-[N-(2,2-dimethyl-9-tetradecenoyl)aminoethyl-3-[N- (2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propionate;
2-(2-Furyl)-2-[N-(2,2-dimethyl-9-octadecenoyl)amino]ethyl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)-amino]propionate;
2-Cyclopentyl-2-[N-(2,2-dimethyl-9-octadecenoyl)amino]ethyl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propionate;
2-(3-Indolyl)methyl-2-(N-linoleoylamino)ethyl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]-propionate;
2-(8-Heptadecenylcarbamoylamino)cyclohexane-1-yl-2-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)-amino]acetate;
2-(1-Methyl-8-heptadecenylcarbamoylamino)cyclohexane-1-yl-4-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]butyrate;
and
2-(1-Methyl-8-heptadecenylcarbamoylamino)cyclohexane-1-yl-5-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]valerate.

Group b

Compounds represented by formula (Ib)



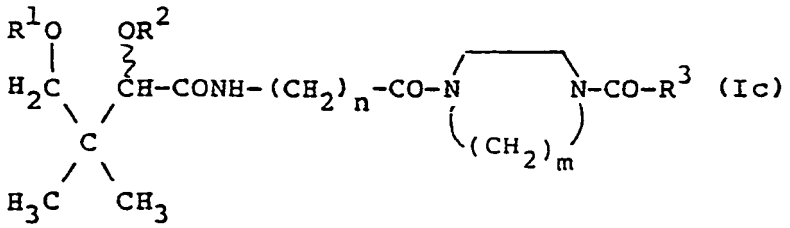
(Ib)

wherein R^1, R^2, R^3, X^2, Y^2, ℓ and n are as defined above:
2-Dodecanoylaminomethyl-1-[3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propanoyl]pyrrolidine;
2-Octanoylaminomethyl-1-[3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propanoyl]pyrrolidine:
2-Decanoylaminomethyl-1-[3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propanoyl]pyrrolidine;
2-Tetradecanoylaminomethyl-1-[3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propanoyl]-pyrrolidine;
2-Hexadecanoylaminomethyl-1-[3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propanoyl]-pyrrolidine;
2-Octadecanoylaminomethyl-1-[3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propanoyl]-pyrrolidine;
2-(7-Decanoyl)aminomethyl-1-[3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propanoyl]pyrrolidine;
2-(9-Tridecanoyl)aminomethyl-1-[3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propanoyl]-pyrrolidine;
2-(9-Octadecanoyl)aminomethyl-1-[3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propanoyl]-pyrrolidine;
2-(9,12-Octadecanoyl)aminomethyl-1-[3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propanoyl]-pyrrolidine;
2-(9,12,15-Octadecanoyl)aminomethyl-1-[3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propanoyl]-pyrrolidine;
2-(2-Methyl-9-octadecanoyl)aminomethyl-1-[3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]-propanoyl)pyrrolidine;
2-(2,2-Dimethyl-9-octadecanoyl)aminomethyl- 1-[3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]-propanoyl]pyrrolidine;

2-(2-Methyldecanoyl)aminomethyl-1-[3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propanoyl]-pyrrolidine;
2-(2-Methyloctanoyl)aminomethyl-1-[3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propanoyl]-pyrrolidine;
2-(2-Methylundecanoyl)aminomethyl-1-[3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propanoyl]-pyrrolidine;
2-(9-Octadecenoyl)aminomethyl-1-[3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propanoyl]-pyrrolidine;
3-(9-Octadecenoyl)amino-1-[3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propanoyl]piperidine;
3-(9-Octadecenoyl)amino-1-[3-[N-(2,4-dihydroxy-3,3-dimethyl-1-oxobutyl)amino]propanoyl]piperidine;
1-(9-Octadecenoyl)amino-3-[3-[N-(2,4-dihydroxy-3,3-dimethyl-1-oxobutyl)amino]propanoyl]aminopiperidine;
1-(9-Octadecenoyl)amino-3-[3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propanoyl]-aminopiperidine;
1-(9-Octadecenoyl)amino-4-[3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propanoyl]-aminopiperidine;
1-(9-Octadecenoyl)-4-piperidinyl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propionate;
1-(9-Octadecenoyl)-4-piperidinyl-3-[N-(2,4-dihydroxy-3,3-dimethyl-1-oxobutyl)amino]propionate;
1-(9-Octadecenoyl)-4-piperidinyl-3-[N-(2,4-diacetoxy-3,3-dimethyl-1-oxobutyl)amino]propionate;
1-(9-Octadecenoyl)-3-piperidinyl-3-[N-(2,4-dihydroxy-3,3-dimethyl-1-oxobutyl)amino]propionate;
1-(9-Octadecenoyl)-3-piperidinyl-3-[N-(2,4-diacetoxy-3,3-dimethyl-1-oxobutyl)amino]propionate;
1-(9-Octadecenoyl)-2-pyrrolidinylmethyl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propionate;
1-Octadecenoyl-2-pyrrolidinylmethyl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propionate;
1-(9,12-Octadecenoyl)-2-pyrrolidinylmethyl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]-propionate;
1-(9-Octadecenoyl)-2-pyrrolidinylmethyl-3-(2,4-dihydroxy-3,3-dimethyl-1-oxobutyl)amino]propionate;
1-(9-Octadecenoyl)-2-pyrrolidinylmethyl-3-(2,4-diacetoxy-3,3-dimethyl-1-oxobutyl)amino]propionate;
1-(9-Octadecenoyl)-2-pyrrolidinylmethyl-2-[3-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]-1-oxopropyl]aminomethylpyrrolidine;
2-[(8-Heptadecenylcarbamoyl)aminomethyl]-1-[3-N-(2,2,5,5-tetramethyl-1,3-dimethyl-4-carbonyl)amino]-propanoyl]pyrrolidine;
3-[(8-Heptadecenylcarbamoyl)aminomethyl]-1-[3-N-(2,2,5,5-tetramethyl-1,3-dimethyl-4-carbonyl)amino]-propanoyl]piperidine;
1-[(8-Heptadecenylcarbamoyl)aminomethyl]-3-[3-N-(2,2,5,5-tetramethyl-1,3-dimethyl-4-carbonyl)amino]-propanoyl]piperidine;
1-[(8-Heptadecenylcarbamoyl)aminomethyl]-2-[3-N-(2,2,5,5-tetramethyl-1,3-dimethyl-4-carbonyl)amino]-propanoyl]pyrrolidine;
4-(8-Heptadecenylcarbamoyl)amino-1-[3-[N-(2,2,5,5-tetramethyl-1,3-dimethyl-4-carbonyl)amino]propanoyl]-piperidine;
1-(8-Heptadecenylcarbamoyl)-4-[3-[N-(2,2,5,5-tetramethyl-1,3-dimethyl-4-carbonyl)amino]propanoyl]-aminopiperidine;
1-(8-Heptadecenylcarbamoyl)-4-piperidinyl-3-[N-(2,2,5,5-tetramethyl-1,3-dimethyl-4-carbonyl)amino]-propionate;
1-(8-Heptadecenylcarbamoyl)-3-piperidinyl-3-[N-(2,2,5,5-tetramethyl-1,3-dimethyl-4-carbonyl)amino]-propionate; and
1-(8-Heptadecenylcarbamoyl)-2-piperidinyl-3-[N-(2,2,5,5-tetramethyl-1,3-dimethyl-4-carbonyl)amino]-propionate.

Group c

Compounds represented by formula (Ic) below:



wherein R^1, R^2, R^3, m and n are as defined above:
1-Hexanoyl-4-[1-oxo-3-[N-(1-oxo-2,4-diacetoxy-3,3-dimethylbutyl)amino]propyl]piperazine;
1-Heptanoyl-4-[1-oxo-3-[N-(1-oxo-2,4-diacetoxy-3,3-dimethylbutyl)amino]propyl]piperazine;
1-Octanoyl-4-[1-oxo-3-[N-(1-oxo-2,4-diacetoxy-3,3-dimethylbutyl)amino]propyl]piperazine;
1-Decanoyl-4-[1-oxo-3-[N-(1-oxo-2,4-diacetoxy-3,3-dimethylbutyl)amino]propyl]piperazine;
1-undecanoyl-4-[1-oxo-3-[N-(1-oxo-2,4-diacetoxy-3,3-dimethylbutyl)amino]propyl]piperazine;
1-Tetradecanoyl-4-[1-oxo-3-[N-(1-oxo-2,4-diacetoxy-3,3-dimethylbutyl)amino]propyl]piperazine;
1-Hexadecanoyl-4-[1-oxo-3-[N-(1-oxo-2,4-diacetoxy-3,3-dimethylbutyl)amino]propyl]piperazine;
1-Octadecanoyl-4-[1-oxo-3-[N-(1-oxo-2,4-diacetoxy-3,3-dimethylbutyl)amino]propyl)piperazine;
1-(7-Tetradecanoyl)-4-[1-oxo-3-[N-(1-oxo-2,4-diacetoxy-3,3-dimethylbutyl)amino]propyl]piperazine;
1-(10-Hexadecanoyl)-4-(1-oxo-3-[N-(1-oxo-2,4-diacetoxy-3,3-dimethylbutyl)amino]propyl]piperazine;
1-(9-Octadecanoyl)-4-[1-oxo-3-[N-(1-oxo-2,4-diacetoxy-3,3-dimethylbutyl)amino]propyl]piperazine;
1-(13-Octadecanoyl)-4-[1-oxo-3-[N-(1-oxo-2,4-diacetoxy-3,3-dimethylbutyl)amino]propyl]piperazine;
1-(9,12-Octadecadienoyl)-4-[1-oxo-3-[N-(1-oxo-2,4-diacetoxy-3,3-dimethylbutyl)amino]propyl]piperazine;
1-(9,12,15-Octadecadienoyl)-4-[1-oxo-3-[N-(1-oxo-2,4-diacetoxy-3,3-dimethylbutyl)amino]propyl]piperazine;
1-(2-Methylheptadecanoyl)-4-[1-oxo-3-[N-(1-oxo-2,4-diacetoxy-3,3-dimethylbutyl)amino]propyl]piperazine;
1-(2,2-Dimethylheptadecanoyl)-4-[1-oxo-3-[N-(1-oxo-2,4-diacetoxy-3,3-dimethylbutyl)amino]propyl]-piperazine;
1-(2-Methylheptadecanoyl)-4-[1-oxo-3-[N-(1-oxo-2,4-diacetoxy-3,3-dimethylbutyl)amino]propyl]piperazine;
1-(2,2-Dimethyloctadecanoyl)-4-[1-oxo-3-[N-(1-oxo-2,4-diacetoxy-3,3-dimethylbutyl)amino]propyl]piperazine;
1-(2-Methyl-9-octadecanoyl)-4-[1-oxo-3-[N-(1-oxo-2,4-diacetoxy-3,3-dimethylbutyl)amino]propyl]piperazine;
1-(2-Ethyl-9-octadecanoyl)-4-[1-oxo-3-[N-(1-oxo-2,4-diacetoxy-3,3-dimethylbutyl)amino]propyl]piperazine;
1-(2,2-Dimethyl-9-octadecanoyl)-4-[1-oxo-3-[N-(1-oxo-2,4-diacetoxy-3,3-dimethylbutyl)amino]propyl]-piperazine;
1-(9-Octadecanoyl)-4-[1-oxo-3-[N-(1-oxo-2,4-dihydroxy-3,3-dimethylbutyl)amino]propyl]piperazine;
1-(9-Octadecanoyl)-4-[1-oxo-3-[N-(1-oxo-2-hydroxy-4-benzoyloxy-3,3-dimethylbutyl)amino]propyl]piperazine;
1-(9-Octadecanoyl)-4-[1-oxo-3-[N-(1-oxo-2,4-dibenzoyloxy-3,3-dimethylbutyl)amino]propyl)piperazine;
1-(9-Octadecanoyl)-4-[1-oxo-3-[N-(1-oxo-2-hydroxy-4-pivaloyloxy-3,3-dimethylbutyl)amino]propyl]piperazine;
1-(9-Octadecenoyl)-4-[1-oxo-3-[N-(1-oxo2,4-diacetoxy-3,3-dimethylbutyl)amino]propyl]tetrahydro-1,4-diazepine;
1-(9-Octadecenoyl)-4-[1-oxo-3-[N-(1-oxo2,4-dihydroxy-3,3-dimethylbutyl)amino]propyl]tetrahydro-1,4-diazepine;
1-(9-Octadecenoyl)-4-[3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propanoyl]piperazine;
1-Octadecenoyl)-4-[3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propanoyl]tetrahydro-1,4-diazepine;
1-(9-Octadecenoyl)-4-[3-[N-(2-phenyl-5,5-dimethyl-1,3-dioxane-4-carbonyl)amino]propanoyl]piperazine;
1-(8-Heptadecenyl)carbamoyl-4-[3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonylamino)propanoyl]-piperazine;
1-(8,11-Heptadecadienyl)carbamoyl-4-[3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonylamino)propanoyl]-piperazine;
1-(8,11,14-Heptadecatrienyl)carbamoyl-4-[3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonylamino)propanoyl]-piperazine;
1-(8-Heptadecenyl)carbamoyl-4-[3-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonylamino)propanoyl]tetrahydro-1,4-diazepine;
2-(2-Benzylmethylcapryloyl)aminocyclohexane-1-yl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonylamino)-propionate;
3-(2-Benzylmethylcapryloyl)aminocyclohexane-1-yl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]-

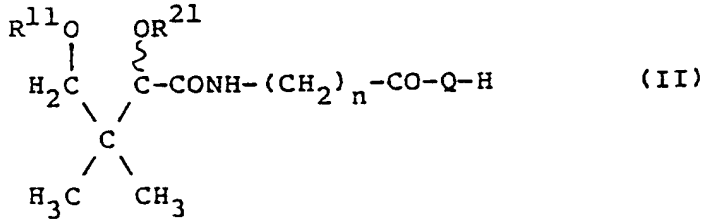
propionate;
2-(2-Benzylundecanoyl)aminocyclohexane-1-yl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]-propionate;
3-(2-Benzylundecanoyl)aminocyclohexane-1-yl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]-propionate;
4-(2-Benzylundecanoyl)aminocyclohexane-1-yl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane 4-carbonyl)amino]-propionate;
2-(2-Benzyllauroyl)aminocyclohexane-1-yl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]-propionate;
4-(2-Benzyllauroyl)aminocyclohexane-1-yl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]-propionate;
3-(2-Phenyllauroyl)aminocyclohexane-1-yl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]-propionate;
2-(2-Phenyllauroyl)aminocyclohexane-1-yl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]-propionate;
3-(2-Benzylcapryloyl)aminocyclohexane-1-yl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]-propionate;
2-(2,2-Diphenyllauroyl)aminocyclohexane-1-yl-3-[N-(2,2,5,5-tetramethyl-1,3-dihydroxy-3,3-dimethyl-1-oxobutyl)amino] propionate;
2-(2-Benzylcyclopentanecarbonyl)aminocyclohexane-1-yl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)-amino]propionate;
2-[1-(3-Phenylpropylcyclobutanecarbonyl)aminocyclohexane-1-yl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propionate;
2-(1-Furfurylcyclobutanecarbonyl)aminocyclohexane-1-yl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)-amino]propionate;
2-(1-Cinnamylcyclobutanecarbonyl)aminocyclohexane-1-yl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)-amino]propionate;
2-(N-Benzyl-N-hexylcarbamoyl)aminocyclohexane-1-yl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)-amino]propionate;
2-(N-Benzyl-N-octylcarbamoyl)aminocyclohexane-1-yl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)-amino]propionate;
2-(N-Benzyl-N-decylcarbamoyl)aminocyclohexane-1-yl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)-amino]propionate;
(Z)-4-Oleoylamino-2-butenyl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propionate;
2-Methylo-2-oleoylaminoethyl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propionate;
2-(Oleoylamino)cyclopentane-1-yl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propionate;
2-(Oleoylamino)cyclopentane-2-yl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propionate;
1-Methyl-2-oleoylaminoethyl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propionate;
2-(Oleoylamino)2-phenylethyl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propionate;
4-(Oleoylamino)2-butynyl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propionate;
(E)-4-(oleoylamino)-2-butenyl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propionate;
2-Methyl-2-oleoylaminoethyl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propionate;
1-Methyl-2-oleoylaminoethyl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propionate;
2-Oleoylaminobutyl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propionate;
3-Methyl-2-oleoylaminobutyl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propionate;
2-(Oleoylamino)cycloheptanel-1-yl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propionate;
2-(Oleoylamino)cycloheptanel-1-yl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propionate;
2-(Oleoylamino)2-phenylethyl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propionate;
2-Oleoylamino-2-cyclohexylethyl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propionate;
4-Methyl-2-oleoylaminopentyl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propionate;
2-Oleoylaminopentyl-3-phenylpropyl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propionate;
2-Oleoylamino-1-pentylpropyl-3-phenylpropyl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]-propionate;
2-(2-Methyloleoyl)aminocyclohexane-1-yl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propionate;
2-(2,2-Dimethyloleoyl)aminocyclohexane-1-yl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]-propionate;
2-(2,2-Dimethylstearoyl)aminocyclohexane-1-yl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]-propionate;

2-Oleoylamino-2-phenylethyl)-5-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]pentanoate;
2-Oleoylamino-2-phenylethyl)-4-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]butanoate;
2-(2-Propylstearoyl)aminocyclohexane-1-yl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]-propionate;
2-(2-Ethylmyristoyl)aminocyclohexane-1-yl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]-propionate;
3-(2-Ethylmyristoyl)aminocyclohexane-1-yl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]-propionate;
2-(2-Methylpalmitoyl)aminocyclohexane-1-yl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]-propionate;
4-(2-Methylpalmitoyl)aminocyclohexane-1-yl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]-propionate;
2-[(1-Methyl-8-heptadecenyl)carbamoyl]aminocyclohexane-1-yl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propionate;
2-(1-Oleylcyclopentanecarbonyl)aminocyclohexane-1-yl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)-amino]propionate;
2-(1-Decylcyclobutanecarbonyl)aminocyclohexane-1-yl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)-amino]propionate;
2-(1-Laurylcyclopentanecarbonyl)aminocyclohexane-1-yl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)-amino]propionate;
3-(2-Propylstearoyl)aminocyclohexane-1-yl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]-propionate;
2-(1-Hexylcyclobutanecarbonyl)aminocyclohexane-1-yl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)-amino]propionate;
4-(2-Isopropyllauroyl)aminocyclohexane-1-yl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]-propionate;
2-(2-Isopropyllauroyl)aminocyclohexane-2-yl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]-propionate;
2-(1-Octylcyclobutanecarbonyl)aminocyclohexane-1-yl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)-amino]propionate;
2-[(1-Methylpentadecenyl)carbamoyl]aminocyclohexane-1-yl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino[propionate;
2-(2-Decyllauroyl)aminocyclohexane-1-yl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propionate;
2-(2-Methyllauroyl)aminocyclohexane-1-yl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]-propionate;
3-(1-Methyllauroyl)aminocyclohexane-1-yl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]-propionate;
2-(1-Decylcyclobutanecarbonyl)aminocyclohexane-1-yl-3-[N-(2,4-dihydroxy-3,3-dimethyl-1-oxobutyl)amino]-propionate;
2-(1-Butylcyclobutanecarbonyl)aminocyclohexane-1-yl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)-amino]propionate; and
2-[N-(2,2-Dimethylpropyl)-N-nonylcarbamoyl]aminocyclohexane-1-yl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propionate.

The compounds of the present invention have at least one asymmetric carbon atom as indicated by an asterisk (*) in formula (I) and may include any of optically active isomers (R-form or S-form) and racemi form compounds.

The compound of the present invention represented by formula (I) above can be prepared by

(a) reacting a compound of formula (II)



(II)

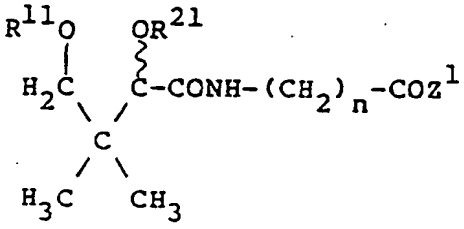
wherein R^{11} and R^{21}, which are the same or different, each represent a protected hydroxyl group, Q and n have the same meanings as defined above;
with a compound of formula (III) or (IV) below

R^3-COZ^1 (III)

R^4-NCO (IV)

wherein Z^1 represents a hydrogen atom; a halogen atom such as chlorine or bromine; an alkoxy group such as methoxy or ethoxy; a substituted or unsubstituted phenyloxy group such as phenoxy, p-nitrophenoxy, 2,4-dinitrophenoxy; and R^3 and R^4 have the same meanings as defined above; or

(b) reacting a compound of formula (V) below

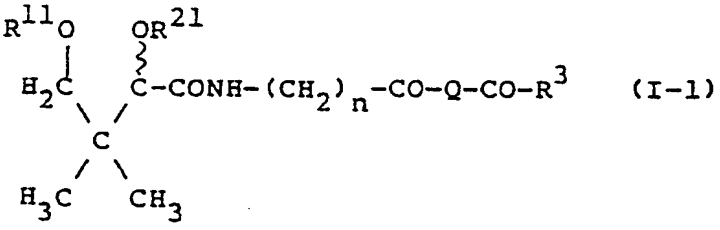


(V)

wherein R^{11}, R^{21}, n and Z^1 have the same meanings as defined above;
with a compound of formula (VI)

H-Q-CO-R^3 (VI)

wherein R^3 and Q have the same meanings as defined above;
or (c) eliminating the protective group for the hydroxyl group(s) in the resulting compound of formula (I-1) below



(I-1)

wherein R^{11} and R^{21}, R^3 and n have the same meanings as defined above.

The reaction between the compound of formula (II) and the compound of formula (III) in the process (a) above and the reaction between the compound of formula (V) and the compound of formula (VI) in the process (b) above can be carried out in a suitable solvent, for example, aromatic hydrocarbons such as benzene, toluene and xylene; ethers such a ethyl ether, tetrahydrofuran and dioxane; esters such as methyl acetate and ethyl acetate; halogenated hydrocarbons such as methylene chloride, chloroform and carbon tetrachlorine; high boiling point polar solvents such as dimethylformamide and dimethyl sulfoxide; alcohols such as methanol and ethanol; water, and the like. The solvents may be used singly or two or more of the solvents may be used as mixtures. The reaction proceeds generally at a temperature in a range of from about -78°C to the boiling temperature of the solvent used, preferably from about -10°C to the boiling temperature of the solvent used.

In the processes (a) and (b) above, a catalyst or a reaction accelerator may be used. As for the catalyst or reaction accelerator which can be used in the present invention, there can be cited, for example, carbodiimides such as dicyclohexylcarbodiimide, diisopropylcarbodiimide and 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride; acid anhydrides such as acetic anhydride and benzoic anhydride; inorganic bases such as sodium hydroxide, potassium hydroxide, sodium carbonate and potassium carbonate; organic bases such as triethylamine, diethylamine, diisopropylamine and pyridine; and the like. The catalysts or reaction accelerators may be used in amounts in a range of from 0.01 to 10 equivalents, preferably from 0.1 to 1.1 equivalents per mol of the compound (II) or (III).

The proportion of the compound (III) or (IV) to the compound (II) is not limited strictly but can be usually in a range of from 0.8 to 1.2 moles, preferably from 1.0 to 1.1 moles, per mole of the compound (II).

Similarly, the proportion of the compound (V) can be used in an amount in a range of from 0.8 to 1.2 moles, preferably from 1.0 to 1.1 moles, per mole of the compound (VI).

In the process (c), the reaction which eliminates the protective groups for the hydroxyl groups from the compound of formula (I-1) can be performed, for example, by hydrolysis in a solvent in the presence of a suitable catalyst. For example, the reaction can be carried out in a single solvent or a mixed solvent selected from aromatic hydrocarbons such as benzene, toluene and xylene; ethers such as ethyl ether, tetrahydrofuran and dioxane; esters such as methyl acetate and ethyl acetate; halogenated hydrocarbons such as methylene chloride, chloroform and carbon tetrachloride; high boiling point polar solvents such as dimethylformamide and dimethyl sulfoxide; alcohols such as methanol and ethanol; water; organic acids such as acetic acid and propionic acid; ketones such as acetone and methyl ethyl ketone; and the like at a temperature in a range of from about -78°C to the boiling temperature of the solvent used, preferably from about -10°C to the boiling temperature of the solvent used. As for the catalyst which can be used, there can be cited, for example, inorganic bases such as sodium hydroxide, potassium hydroxide, sodium carbonate and potassium carbonate; organic bases such as triethylamine, diethylamine, dimethylamine diiso propylamine and pyridine; minetal acids such as hydrochloric acid, nitric acid and sulfuric acid; hydrogen halides such as hydrogen fluorine, hydrogen bromide and hydrogen iodide; organic acids such as trifluoroacetic acid and trichloroacetic acid; and the like.

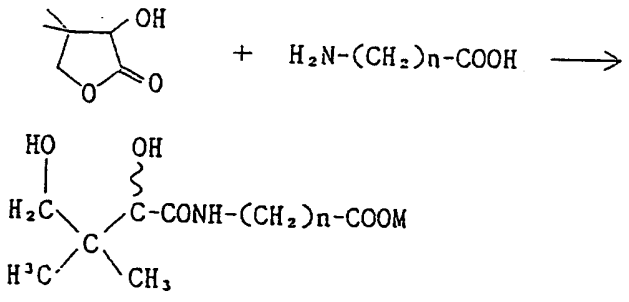
The protective groups can be eliminated from the compounds of formula (I-1) by a conventional catalyst hydrogenation reaction using a suitable metal catalyst. As for the metal catalyst, there can be used commonly used hydrogenation catalysts such as nickel, palladium, rhodium and platinum.

The products obtained by each of the above-described processes can be separated from the reaction mixtures or purified by proper combinations of known processes, for example, crystallization, chromatography, extraction and filtration.

In the above-described processes, the compounds of formulae (V), (II) and (VI) used as starting materials can be produced as follows.

Preparation of Compound of Formula (V)

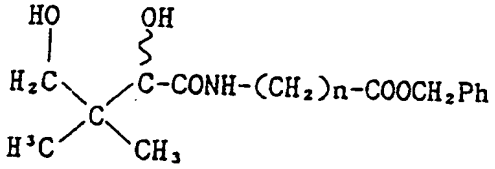
Step-1:



(V - a)

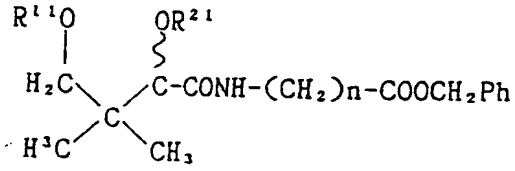
Step-2:

(V-a) + Ph-CH$_2$L ⟶



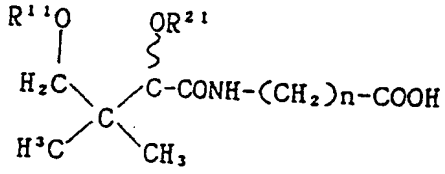
(V-b)

Step-3:

(V-b) ⟶ 

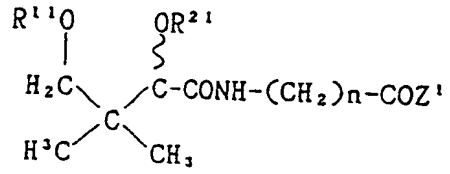
(V-c)

Step-4:

(V-c) ⟶ 

(V-d)

Step-5:

(V-d) ⟶ 

(V)

Step-6:

(V-a) ⟶ (V-d)

In the above formulae, M represents a hydrogen atom, an alkali metal atom such as sodium and potassium or an alkaline earth metal atom such as magnesium and calcium: L represents OH, Cl, Br, I or N_2; and R^{11}, R^{21}, n and Z^1 have the same meanings as defined above.

Hereafter, explanation will be made on each step more specifically.

Step-1:

This step is to synthesize a compound of formula (V-a) by reacting pantolactone with an ω-aminocarboxylic acid. Pantolactone may be any one of (D)-, (L)- ane (DL)-forms. Examples of the ω-aminocarboxylic acid include aminoacetic acid (glycine), 3-aminopropionic acid (β-alanine), 4-aminobutyric acid (γ-aminobutyric acid, abbreviated as "GABA") and 5-aminovaleric acid. It is preferred that the reaction be carried out in a solvent. As for the solvent, there can be used, for example, aromatic hdyrocarbons such as benzene, toluene and xylene; ethers such as ethyl ether, tetrahydrofuran and dioxane; high boiling point polar solvents such as dimethylformamide and dimethyl sulfoxide; alcohols such as methanol and ethanol; water, and the like. The solvents may be used singly or two or more of the solvents may be used as mixtures. The reaction proceeds generally at a temperature in a range of from about 0 °C to the boiling temperature of the solvent used, preferably from room temperature to the boiling temperature of the solvent used.

In this reaction, it is preferred to use a catalyst. As for the catalyst, there can be used, for example, inorganic bases such as sodium hydroxide, potassium hydroxide, sodium carbonate and potassium carbonate; organic bases such as triethylamine, diethylamine, di methylamine, diisopropylamine and pyridine; and the like. The catalysts may be used in amounts in a range of from 0.01 to 10 equivalents, preferably from 0.1 to 1.1 equivalents per mole of pantolacone.

Step-2:

This step is to benzylate the compound of formula (V-a) synthesized in Step-1 using a benzylation reagent to a compound of formula (V-b). As for the benzylation reagent, there can be used, for exmaple, benzyl halides such as benzyl chloride, benzyl bromide and benzyl iodide; benzyl alcohol; phenyldiazomethane; and the like. The reaction can be carried out in a suitable solvent, for example, aromatic hydrocarbons such as benzene, toluene and xylene; ethers such as ethyl ether, tetrahydrofuran and dioxane; esters such as methyl acetate and ethyl acetate; halogenated hydrocarbons such as methylene chloride, chloroform and carbon tetrachlorine; high boiling point polar solvents such as dimethylformamide and dimethyl sulfoxide; alcohols such as methanol and ethanol; ketones such as acetone and methyl ethyl ketone; water, and the like. The solvents may be used singly or two or more of the solvents may be used as mixtures. The reaction proceeds usually at a temperature in a range of from about -78 °C to the boiling temperature of the solvent used, preferably from about -10 °C to the boiling temperature of teh solvent used. In the reaction, a catalyst or a reaction accelerator may be used. As for the catalyst or reaction accelerator, there can be cited, for example, carbodiimides such as dicyclohexylcarbodiimide, diisopropylcarbodiimide and 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride; acid anhydrides such as acetic anhydride and benzoic anhydride; inorganic bases such as sodium hydroxide, potassium hydroxide, sodium carbonate and potassium carbonate; organic bases such as triethylamine, diethylamine, dimethylamine, diisopropylamine and pyridine; and the like. The catalysts or reaction accelerators may be used in amounts in a range of from 0.01 to 10 equivalents, preferably from 0.1 to 1.1 equivalents pler mole of the compound of formula (V-a).

Step-3:

This step is to protect the hydroxyl groups of the compound of formula (V-b) in Step-2 above using a reagent for introducing protective groups to synthesize a compound of formula (V-c). As for the reagent for introducing protective groups (R^{11}, R^{21}), there can be used acid anhydrides such as acetic anhydride and benzoic anhydride; acid chlorides such as acetyl chloride and benzoyl chloride; organic acids such as acetic acid, benzoic acid and p-toluenesulfonic acid; ortho esters such as ethyl orthoformate and methyl orthoformate; ketones such as acetone and cyclohexanone; aldehydes such as benzaldehyde and acetaldehyde; ilylation agent such as trimethylsilyl chloride and dimethylphenylsilyl chloride; alkylation agents

such as diazomethane and dimethyl sulfate; alkyl halides such as methyl iodide and benzyl chloride; and the like. The reaction can be carried out in a suitable solvent, for example, aromatic hydrocarbons such as benzene, toluene and xylene; ethers such as ethyl ether, tetrahydrofuran and dioxane; esters such as methyl acetate and ethyl acetate; halogenated hydrocarbons such as dmethylene chloride, chloroform and carbon tetrachloride; high boiling point polar solvents such as dimethylformamide and dimethyl sulfoxide; alcohols such as methanol and ethanol; ketones such as acetone and methyl ethyl ketone; water, and the like. The solvents may be used singly or two or more of the solvents may be used as mixtures. The reaciton proceeds usually at a temperature in a range of from about -78° C to the boiling temperature of the solvent used, preferably from about -10° C to the boiling temperature of the solvent used. In the reaction, a catalyst or a reaciton accelerator may be used. As for the catalyst or reaction accelerator, there can be cited, for example, carbodiimides such as dicyclohexylcarbodiimide, diisopropylcarbodiimide and 1-ethyl-3-(3-dimethylminopropyl)carbodiimide hydrochloride; acid anhydrides such as acetic anhydride and benzoic anhydride; inorganic bases such as sodium hydroxide, potassium hydroxide, sodium carbonate and potassium carbonate; organic bases such as triethylamine, diethylamine, dimethylamine, diisopropylamine and pyridine; organic acids such as acetic acid, p-toluenesulfonic acid and camphorsulfonic acid; and the like. The catalysts or reaction accelerators may be used in amounts in a range of from 0.01 to 10 equivalents, preferably from 0.1 to 1.1 equivalents per mole of the compound of formula (V-b).

Step-4:

This step is to hydrolyze or catalytically hydrogenate the compound of formula (V-c) to convert it to a compound of formula (V-d). The reaction can be carried out in a solvent in the presence of a suitable catalyst. The hydrolysis can be performed in a suitable solvent, for example, aromatic hydrocarbons such as benzene, toluene and xylene; ethers such as ethyl ether, tetrahydrofuran and dioxane; esters such as methyl acetate and ethyl acetate; halogenated hydrocarbons such as methylene chloride, chloroform and carbon tetrachloride; high boiling point polar solvents such as dimethylformamide and dimethyl sulfoxide; alcohols such as methanol and ethanol; ketones such as acetone and methyl ethyl ketone; water, and the like. The solvents may be used singly or two or more of the solvents may be used as mixtures. The reaction proceeds usually at a temperature in a range of from about -78° C to the boiling temperature of the solvent used, preferably from about -10° C to the boiling temperature of the solvent used. In the reaction, a catalyst may be used. As for the catalyst, there can be cited, for example, inorganic bases such as sodium hydroxide, potassium hydroxide, sodium carbonate and potassium carbonate; organic bases such as triethylamine, diethylamine, dimethylamine, diisopropylamine and pyridine; mineral acids such as hydrochloric acid, nitric acid and sulfuric acid; hydrogen halides such as hydrogen fluoride, hydrogen bromide and hydrogen iodide; organic acids such as trifluoroacetic acid and trichloroacetic acid; and the like. On the other hand, the catalytic hydrogenation can be carried out by a conventional process known per se using a metal catalyst. As the metal catalyst, there can be used, for example, nickel palladium, rhodium and platinum a suitable solvent, for example, aromatic hydrocarbons such as benzene, toluene and xylene; ethers such as ethyl ether, tetrahydrofuran and dioxane; esters such as methyl acetate and ethyl acetate; halogenated hydrocarbons such as methylene chloride, chloroform and carbon tetrachloride; high boiling point polar solvents such as dimethylformaide and dimethylsulfoxide; alcohols such as methanol and ethanol; ketones such as acetone and methyl ethyl ketone; water, and the like. The solvents may be used singly or two or more of the solvents may be used as mixtures. The reaction proceeds usually at a temperature in a range of from about -78° C to the boiling temperature of the solvent used, preferably from about -10° C to the boiling temperature of the solvent used. In the reaction, a catalyst or a reaction accelerator may be used. As for the catalyst or reaction accelerator, there can be cited, for example, carbodiimides such as dicyclohexylcarbodiimide, diisopropylcarbodiimide and 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride; acid anhydrides such as acetic anhydride and benzoic anhydride; inorganic bases such as sodium hydroxide, potassium hydroxide, sodium carbonate and potassium carbonate; organic bases such as triethylamine, diethylamine, dimethylamine, diisopropylamine and pyridine; organic acids such as acetic acid, p-toluenesulfonic acid and camphorsulfonic acid; and the like. The catalysts or reaction accelerators may be used in amounts in a range of from 0.01 to 10 equivalents, preferably from 0.1 to 1.1 equivalents per mole of the compound of formula (V-b).

Step-5:

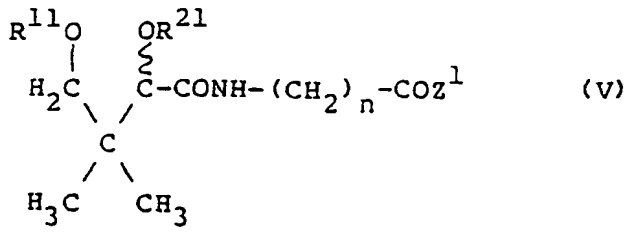
This step is to convert the compound of formula (V-d) to the compound of formula (V). The reagent used in the reaction includes, for example, halogenating agents such as thionyl chloride, phosphorus oxychloride and phosphorus pentachloride; or esterifying agents, e.g., alcohols such as methanol and ethanol; and phenols such as p-nitrophenol and 2,4-dinitrophenol. The reaction in this step can be carried out in a suitable solvent, for example, aromatic hydrocarbons such as benzene, toluene and xylene; ethers such as ethyl ether, tetrahydrofuran and dioxane; esters such as methyl acetate and ethyl acetate; halogenated hydrocarbons such as methylene chloride, chloroform and carbon tetrachloride; high boiling point polar solvents such as dimethylformamide and dimethyl sulfoxide; alcohols such as methanol and ethanol; water, and the like. The solvents may be used singly or two or more of the solvents may be used as mixtures. The reaction proceeds usually at a temperature in a range of from about -78 °C to the boiling temperature of the solvent used, preferably from about -10 °C to the boiling temperature of the solvent used. In the reaction, a catalyst or a reaction accelerator may be used. As for the catalyst or reaction accelerator, there can be cited, for example, carbodiimides such as dicyclohexylcarbodiimide, diisopropylcarbodiimide and 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride; acid anhydrides such as acetic anhydride and benzoic anhydride; inorganic bases such as sodium hydroxide, potassium hydroxide, sodium carbonate and potassium carbonate; organic bases such as triethylamine, diethyl amine, dimethylamine, diisopropylamine and pyridine; and the like. The catalysts or reaction accelerators may be used in amounts in a range of from 0.01 to 10 equivalents, preferably from 0.1 to 1.1 equivalents per mole of the compound of formula (V-d).

Step-6:

This step is to synthesize the compound of formula (V-d) from the compound of formula (V-a). The reagent which can be reacted with the compound of formula (V-a) includes acid anhydrides such as acetic anhydride and benzoic anhydride; acid chlorides such as acetyl chloride and benzoyl chloride; organic acids such as acetic acid, benzoic acid and p-toluenesulfonic acid; ortho esters such as ethyl orthoformate and methyl orthoformate; ketones such as acetone adn cyclohexanone; aldehydes such as benzaldehyde and acetaldehyde; silylation agent such as trimethylsilyl chloride and dimethylphenylsilyl chloride; alkylation agents such as diazomethane and dimethyl sulfate; alkylhalides such as methyl iodide and benzyl chloride; and the like. The reaction can be carried out in a suitable solvent, for example, aromatic hydrocarbons such as benzene, toluene and xylene; ethers such as ethyl ether, tetrahydrofuran and dioxane; esters such as methyl acetate and ethyl acetate; halogenated hydroarbons such as methylene chlorine, chloroform and carbon tetrachlorine; high boiling point polar solvents such as dimethylformamide and dimethyl sulfoxide; alcohols such as methanol and ethanol; ketones such as acetone and methyl ethyl ketone; water, and the like. The solvents may be used singly or two or more of the solvents may be used as mixtures. The reaction proceeds usually at a temperature in a range of from about -78 °C to the boiling temperature of the solvent used, preferably from about -10 °C to the boiling temperature of the solvent used. In the reaction, a catalyst or a reaction accelerator may be used. As for the catalyst or reaction accelerator, there can be cited, for example, carbodiimides such as dicyclohexylcarbodiimide, diisopropylcarbodiimide and 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride; acid anhydrides such as acetic anhydride and benzoic anhydride; inorganic bases such as sodium hydroxide, potassium hydroxide, sodium carbonate and potassium carbonate; organic bases such as triethylamine, diethylamine, dimethylamine, diisopropylamine and pyridine; organic acids such as acetic acid, p-toluenesulfonic acid and camphorsulfonic acid; and the like. The catalysts or reaction accelerators may be used in amounts in a range of from 0.01 to 10 equivalents, preferably from 0.1 to 1.1 equivalents per mole of the compound of formula (V-a).

Preparation of Compound of Formula (II)

The compound of formula (II) can be obtained by reacting the compound of formula (V)



(V)

wherein R^{11}, R^{21}, n and Z^1 have the same meanings as defined above;
with a compound of formula (VII)
H-Q-H (VII)
wherein Q has the same meaning as defined above.

This reaction can be carried out in a suitable solvent, for example, aromatic hydrocarbons such as benzene, toluene and xylene; ethers such as ethyl ether, tetrahydrofuran and dioxane; esters such as methyl acetate and ethyl acetate; halogenated hydrocarbons such as methylene chlorine, chloroform and carbon tetrachloride; high boiling point polar solvents such as dimethylformamide and dimethyl sulfoxide; alcohols such as methanol and ethanol; water, and the like. The solvents may be used singly or two or more of the solvents may be used as mixtures. The reaction proceeds usually at a temperature in a range of from about -78°C to the boiling temperature of the solvent used, preferably from about -10°C to the boiling temperature of the solvent used.

In the reaction, a catalyst or a reaction accelerator may be used. As for the catalyst or reaction accelerator, there can be cited, for example, carbodiimides such as dicyclohexylcarbodiimide, diisopropylcarbodiimide and 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride; halogenating agents such as thionyl chloride, phosphorus oxychloride and phosphorus pentachloride; acid anhydrides such as acetic anhydride and benzoic anhydride; inorganic based such as sodium hydroxide, potassium hydroxide, sodium carbonate and potassium carbonate; organic bases such as triethylamine, diethylamine, dimethylamine, diisopropylamine and pyriidine; organic acids such as acetic acid, p-toluenesulfonic acid and camphorsulfonic acid; and the like. The catalyst or reaction accelerators may be used in amounts in a range of from 0.01 to 10 equivalents, preferably from 0.1 to 1.1 equivalents per mole of the compound of formula (V).

Preparation of Compound of Formula (VI)

The compound of formula (VI) can be obtained by reacting the compound of formula (VII)
H-Q-H (VII)
wherein Q has the same meaning as defined above.
with a compound of formula (VIII)
R^3-CO-Z^1 (VIII)
wherein R^3 and Z^1 have the same meanings as defined above.
H-Q-H (VII)
wherein Q has the same meanning as defined above.

This reaction can be carried out in a suitable solvent, for example, aromatic hydrocarbons such as benzene, toluene and xylene; ethers such as ethyl ether, tetrahydrofuran and dioxane; esters such as methyl acetate and ethyl acetate; halogenated hydrocarbons such as methylene chloride, chloroform and carbon tetrachloride; high boiling point polar solvents such as dimethylformamide and dimethyl sulfoxide; alcohols such as methanol and ethanol; water, and the like. The solvents may be used singly or two or more of the solvents may be used as mixtures. The reaction proceeds usually at a temperature in a range of from about -78°C to the boiling temperature of the solvent used, preferably from about -10°C to the boiling temperature of the solvent used.

In the reaction, a catalyst or a reaction accelerator may be used. As for the catalyst or reaction accelerator, there can be cited, for example, carbodiimides such as dicyclohexylcarbodiimide, diisopropylcarbodiimide and 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride; halogenating agents such as thionyl chloride, phosphorus oxychloride and phosphorus pentachloride; acid anhydrides such as acetic anhydride and benzoic anhydride; inorganic bases such as sodium hydroxide, potassium hydroxide, sodium carbonate and potassium carbonate; organic bases such as triethylamine, diethylamine, dimethylamine, diisopropylamine and pyridine; organic acids such as acetic acid, p-toluenesulfonic acid and camphorsul-

fonic acid; and the like. The catalysts or reaction accelerators may be used in amounts in a range of from 0.01 to 10 equivalents, preferably from 0.1 to 1.1 equivalents per mole of the compound of formula (VII).

The compounds of the general formula (I) provided by the present invention have an excellent ACAT inhibiting activity and are expected to be useful as drugs for the therapy, treatment or prevention of hyperlipemia, arteriosclerosis, angina pectoris, myocardial infraction, thrombosis and the like.

The ACAT inhibiting activity of the compounds of the present invention can be confirmed by the test method described below.

ACAT inhibition tests were carried out by measuring cholesteryl oleate produced from [1-^{14}C]-oleoyl-CoA and endocellular cholesterol similarly to the method of Helgerud et al. [cf. Journal of Lipid Research , 22 , 497 (1987)] and the method of Folch et al. [cf. Journal of Biological Chemistry , 226 , 497 (1957)].

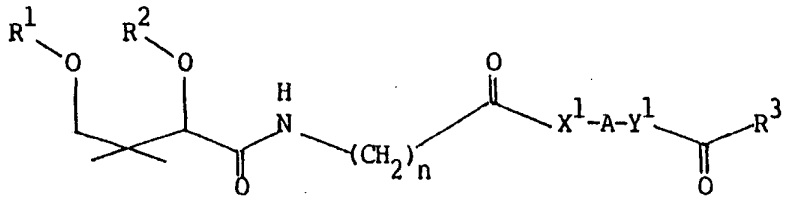
More specifically, 10 µl of a solution of a microsome fraction prepared from a rat liver (0.3 mg protein) in 0.514 M potassium phosphate buffer (pH 7.4) and 5 µl of a solution of 10^{-7} M of a test drug in dimethyl sulfoxide were added to 0.5 ml of a solution of 2 µM [1-^{14}C]oleoylCoA in 0.514 M potassium phosphate buffer, and the mixture was allowed to react at 37° C for 4 minutes.

Thereafter, 4.2 ml of methanol and 8.3 ml of chloroform were added to the reaction mixture to stop the reaction. Then, 2.5 ml of water was added and after shaking the mixture sufficiently a chloroform layer was separated. After concentrating it, the chloroform layer was subjected to thin layer chromatography. The cholesteryl oleate formed was separated and its radioactivity ws measured by a liquid scientilaltion counter.

On the other hand, the same tests as above were repeated without using test compounds. The radioactivity of the control thus obtained was used as a standard for calculating the ACAT inhibiting activity of each test compound.

The results obtained are shown in Tables 1a, 1b and 1c.

Table 1a



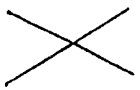
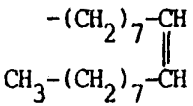
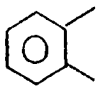
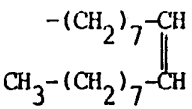
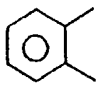
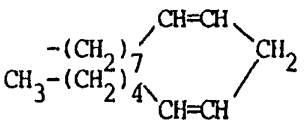
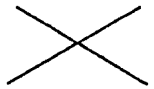
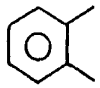
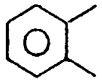
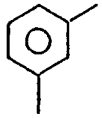
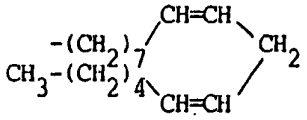
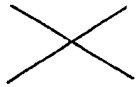
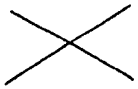
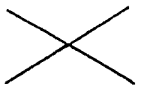
EXAMPLE	R^1	R^2	n	X^1	Y^1	A	R^3	ACAT Inhibition(%) 10^{-6}M [$IC_{50}x10^{-7}$M]
1			2	NH	NH			83.6 [2.95 (1.95-4.47)]
2	Ac	Ac	2	NH	NH			64.8 [2.74 (1.87-4.02)]
4			2	NH	NH			79.8

Table 1a (continued)

EXAMPLE	R^1 R^2	n	X^1	Y^1	A	R^3	ACAT Inhibition(%) 10^{-6}M [IC_{50}x10^{-7}M]
5		2	NH	NH		$-(CH_2)_7-(CH=CHCH_2)_3-CH_3$	56.0
15		2	O	NH		$-(CH_2)_7-CH$ ‖ $CH_3-(CH_2)_7-CH$	79.6
9		2	NH	NH			51.8
33		2	NH	NH	$-(CH_2)_2-$	$-(CH_2)_7-CH$ ‖ $CH_3-(CH_2)_7-CH$	73.1 [2.99 (1.55-5.69)]
34		2	NH	NH	$-(CH_2)_3-$	$-(CH_2)_7-CH$ ‖ $CH_3-(CH_2)_7-CH$	65.1 [3.85 (2.07-7.16)]

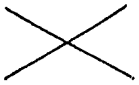
(continued)

Table 1a (continued)

EXAMPLE	R^1 R^2	n	X^1	Y^1	A	R^3	ACAT Inhibition(%) 10^{-6}M [$IC_{50}x10^{-7}$M]
37		2	NH	NH	$-(CH_2)_4-$	$-(CH_2)_7-CH=CH-(CH_2)_7-CH_3$	72.6 [2.86 (1.44-5.68)]
40		2	NH	NH	$-(CH_2)_5-$	$-(CH_2)_7-CH=CH-(CH_2)_7-CH_3$	75.6
41		2	NH	NH	$-(CH_2)_6-$	$-(CH_2)_7-CH=CH-(CH_2)_7-CH_3$	66.2
42		2	NH	NH	$-(CH_2)_7-$	$-(CH_2)_7-CH=CH-(CH_2)_7-CH_3$	62.0
44		2	O	NH	$-(CH_2)_2-$	$-(CH_2)_7-CH=CH-(CH_2)_7-CH_3$	69.3 [6.86 (4.37-10.8)]

(continued)

Table 1a (continued)

EXAMPLE	R^1	R^2	n	X^1	Y^1	A	R^3	ACAT Inhibition(%) 10^{-6}M [$IC_{50} \times 10^{-7}$M]
45	H	H	2	O	NH	$-(CH_2)_2-$	$-(CH_2)_7-CH=CH-(CH_2)_7-CH_3$	51.1
46			2	O	CH_3-N	$-(CH_2)_2-$	$-(CH_2)_7-CH=CH-(CH_2)_7-CH_3$	56.6
47			2	O	NH	$-(CH_2)_3-$	$-(CH_2)_7-CH=CH-(CH_2)_7-CH_3$	81.3 [2.50 (1.45-4.37)]
48	H	H	2	O	NH	$-(CH_2)_3-$	$-(CH_2)_7-CH=CH-(CH_2)_7-CH_3$	58.7
51	PhCO	H	2	O	NH	$-(CH_2)_3-$	$-(CH_2)_7-CH=CH-(CH_2)_7-CH_3$	64.9

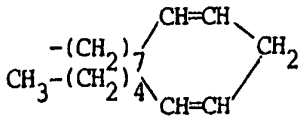
(continued)

Table 1a (continued)

EXAMPLE	R^1 R^2	n	X^1	Y^1	A	R^3	ACAT Inhibition(%) 10^{-6}M [$IC_{50}x10^{-7}$M]
52		2	O	NH	$-(CH_2)_3-$	$-(CH_2)_7-CH=CH-(CH_2)_7-CH_3$	65.5
53		2	O	NH	$-(CH_2)_3-$	$-(CH_2)_7-CH=CH-(CH_2)_7-CH_3$	64.6
54	tBuCO H	2	O	NH	$-(CH_2)_3-$	$-(CH_2)_7-CH=CH-(CH_2)_7-CH_3$	83.2
58		2	O	NH	$-(CH_2)_3-$	$-(CH_2)_{10}-CH_3$	53.2
59		2	O	NH	$-(CH_2)_3-$	$-(CH_2)_{12}-CH_3$	54.3
60		2	O	NH	$-(CH_2)_3-$	$-(CH_2)_{14}-CH_3$	64.5
61		2	O	NH	$-(CH_2)_3-$	$-(CH_2)_{16}-CH_3$	61.6

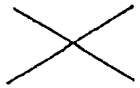
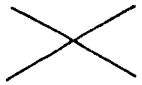
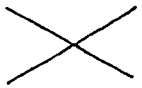
(continued)

Table 1a (continued)

EXAMPLE	R^1	R^2	n	X^1	Y^1	A	R^3	ACAT Inhibition(%) 10^{-6}M [$IC_{50}x10^{-7}$M]
62			2	O	NH	$-(CH_2)_3-$		79.0
63			2	O	NH	$-(CH_2)_3-$	$-(CH_2)_7-(CH=CHCH_2)_3-CH_3$	77.5
64			2	O	CH_3 | N	$-(CH_2)_4-$	$-(CH_2)_7-CH$ ‖ $CH_3-(CH_2)_7-CH$	81.1 [1.95 (0.91-4.17)]
65	H	H	2	O	NH	$-(CH_2)_4-$	$-(CH_2)_7-CH$ ‖ $CH_3-(CH_2)_7-CH$	60.7
66			2	O	NH	$-(CH_2)_5-$	$-(CH_2)_7-CH$ ‖ $CH_3-(CH_2)_7-CH$	83.6 [3.55 (1.82-7.08)]

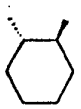
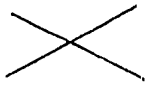
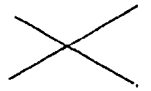
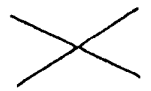
(continued)

Table 1a (continued)

EXAMPLE	R^1	R^2	n	X^1	Y^1	A	R^3	ACAT Inhibition(%) 10^{-6}M [$IC_{50} \times 10^{-7}$M]
67			2	O	NH	$-(CH_2)_6-$	$-(CH_2)_7-CH=CH-(CH_2)_7-CH_3$	84.4 [3.89 (2.29-6.61)]
68			2	S	NH	$-(CH_2)_2-$	$-(CH_2)_7-CH=CH-(CH_2)_7-CH_3$	63.8
69	H	H	2	S	NH	$-(CH_2)_2-$	$-(CH_2)_7-CH=CH-(CH_2)_7-CH_3$	61.8
70			2	NH	NH	 (S,S)	$-(CH_2)_7-CH=CH-(CH_2)_7-CH_3$	85.8 [1.62 (0.80-3.29)]
71	Ac	Ac	2	NH	NH	 (S,S)	$-(CH_2)_7-CH=CH-(CH_2)_7-CH_3$	81.7 [1.98 (1.95-4.47)]

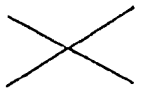
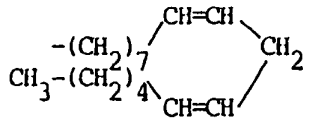
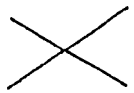
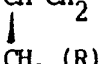
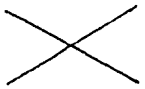
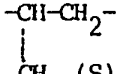
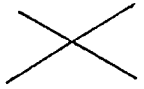
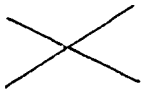
(continued)

Table 1a (continued)

EXAMPLE	R^1	R^2	n	X^1	Y^1	A	R^3	ACAT Inhibition(%) 10^{-6}M [$IC_{50}x10^{-7}$M]
74	H	H	2	NH	NH	 (S,S)	$-(CH_2)_7-CH=CH-(CH_2)_7-CH_3$	87.3 [1.55 (1.12-2.14)]
76	Ac (S)	Ac	2	NH	NH	 (S,S)	$-(CH_2)_7-CH=CH-(CH_2)_7-CH_3$	86.0 [3.60 (1.88-6.92)]
77			2	O	NH	 R,R	$-(CH_2)_7-CH=CH-(CH_2)_7-CH_3$	86.9 [2.1 (1.86-2.34)]
78			2	O	NH	 S,S	$-(CH_2)_7-CH=CH-(CH_2)_7-CH_3$	96.9 [0.401 (0.29-0.553)]
79			2	O	NH	 R,R	$-(CH_2)_{16}-CH_3$	51.3

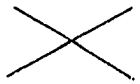
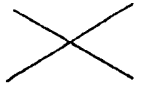
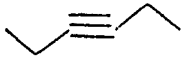
(continued)

Table 1a (continued)

EXAMPLE	R^1 R^2	n	X^1	Y^1	A	R^3	ACAT Inhibition(%) 10^{-6}M [$IC_{50}x10^{-7}$M]
80		2	O	NH	 S,S		93.5 [1.08]
81		2	O	NH	 (R)	$-(CH_2)_6CH=CH(CH_2)_7CH_3$	77.1
82		2	O	NH	 (S)	$-(CH_2)_6CH=CH(CH_2)_7CH_3$	82.4
83		2	O	NH	 (S,S)	$-(CH_2)_7CH=CH(CH_2)_7CH_3$	95.4
84		2	O	NH	 (R,R)	$-(CH_2)_7CH=CH(CH_2)_7CH_3$	88.2

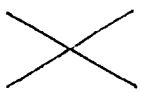
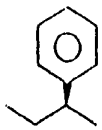
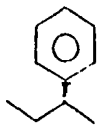
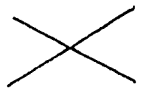
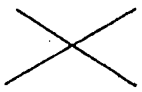
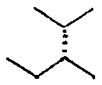
(continued)

Table 1a (continued)

EXAMPLE	R^1 R^2	n	X^1	Y^1	A	R^3	ACAT Inhibition(%) 10^{-6}M [$IC_{50}x10^{-7}$M]
85		2	O	NH		$-(CH_2)_7CH=CH(CH_2)_7CH_3$	77.9
86		2	O	NH	$-CH_2-\underset{(R)}{\overset{CH_3}{\overset{\vert}{C}}}H-$	$-(CH_2)_7CH=CH(CH_2)_7CH_3$	51.5
87		2	O	NH	$-CH_2-\underset{(S)}{\overset{CH_3}{\overset{\vert}{C}}}H-$	$-(CH_2)_7CH=CH(CH_2)_7CH_3$	81.3
88		2	O	NH		$-(CH_2)_7CH=CH(CH_2)_7CH_3$	81.7
89		2	O	NH		$-(CH_2)_7CH=CH(CH_2)_7CH_3$	68.9

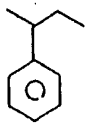
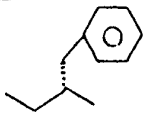
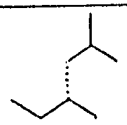
(continued)

Table 1a (continued)

EXAMPLE	R^1 R^2	n	X^1	Y^1	A	R^3	ACAT Inhibition(%) 10^{-6}M [$IC_{50}x10^{-7}$M]
90		2	O	NH		$-(CH_2)_7CH=CH(CH_2)_7CH_3$	69.4
91		2	O	NH		$-(CH_2)_7CH=CH(CH_2)_7CH_3$	90.6
92		2	O	NH		$-(CH_2)_7CH=CH(CH_2)_7CH_3$	86.4
93		2	O	NH		$-(CH_2)_7CH=CH(CH_2)_7CH_3$	95.3
94		2	O	NH		$-(CH_2)_7CH=CH(CH_2)_7CH_3$	94.3

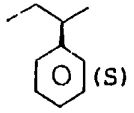
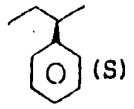
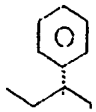
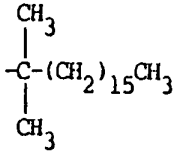
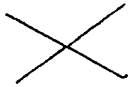
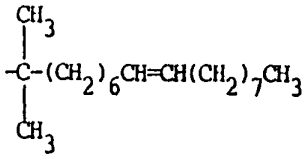
(continued)

Table 1a (continued)

EXAMPLE	R^1 R^2	n	X^1	Y^1	A	R^3	ACAT Inhibition(%) 10^{-6}M [$IC_{50}x10^{-7}$M]
95		2	O	NH		$-(CH_2)_7CH=CH(CH_2)_7CH_3$	90.0
96		2	O	NH		$-(CH_2)_7CH=CH(CH_2)_7CH_3$	88.4
97		2	O	NH		$-(CH_2)_7CH=CH(CH_2)_7CH_3$	84.2
98		2	O	NH		$-(CH_2)_7CH=CH(CH_2)_7CH_3$	89.1
99		2	O	NH		$-(CH_2)_7CH=CH(CH_2)_7CH_3$	65.8

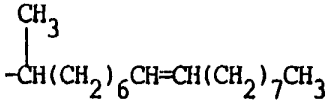
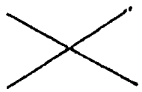
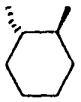
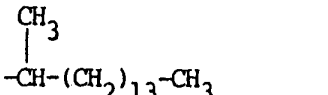
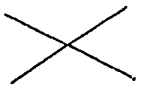
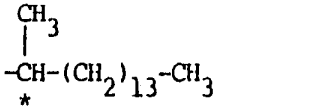
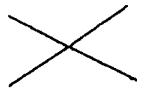
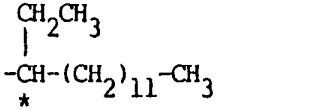
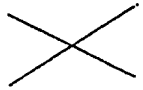
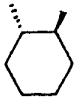
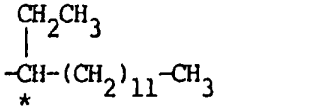
(continued)

Table 1a (continued)

EXAMPLE	R^1 R^2	n	X^1	Y^1	A	R^3	ACAT Inhibition(%) 10^{-6}M [IC_{50}x10^{-7}M]
100		1	O	NH	 (S)	$-(CH_2)_7CH=CH(CH_2)_7CH_3$	90.0
101		3	O	NH	 (S)	$-(CH_2)_7CH=CH(CH_2)_7CH_3$	84.6
102		4	O	NH	 (S)	$-(CH_2)_7CH=CH(CH_2)_7CH_3$	84.3
103		2	O	NH			63.9
104		2	O	NH			94.2

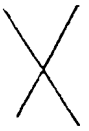
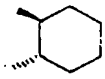
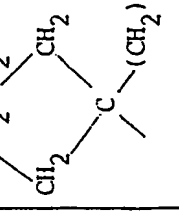
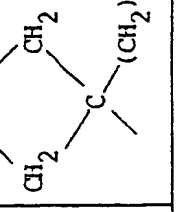
(continued)

Table 1a (continued)

EXAMPLE	R^1 R^2	n	X^1	Y^1	A	R^3	ACAT Inhibition(%) 10^{-6}M [IC_{50}x10^{-7}M]
105		2	O	NH			95.6
106		2	O	NH			91.5
107		2	O	NH			92.9
108		2	O	NH			93.6
109		2	O	NH			93.3

(continued)

Table 1a (continued)

EXAMPLE	R^1	R^2	n	X^1	Y^1	A	R^3	ACAT Inhibition(%) 10^{-6}M [$IC_{50} \times 10^{-7}$M]
110			2	O	NH		$(CH_2)_2-CH_3$ | $-CH-(CH_2)_{15}-CH_3$ *	68.3
111			2	O	NH		$(CH_2)_2-CH_3$ | $-CH-(CH_2)_{15}-CH_3$ *	56.3
112			2	O	NH			95.4
113			2	O	NH			96.1

(continued)

Table 1a (continued)

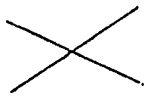
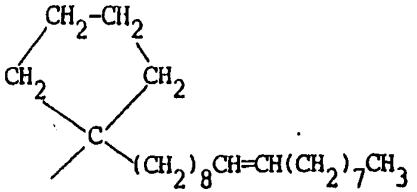
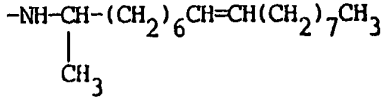
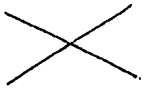
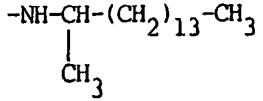
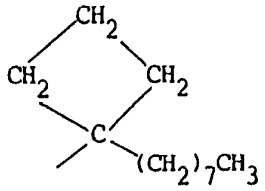
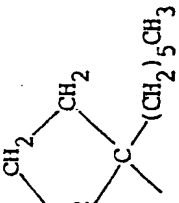
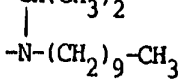
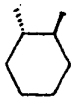
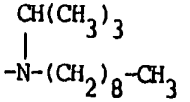
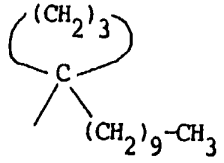
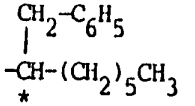
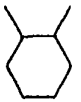
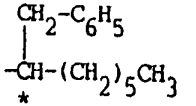
EXAMPLE	R^1 R^2	n	X^1	Y^1	A	R^3	ACAT Inhibition(%) 10^{-6}M [IC_{50}x10^{-7}M]
114		2	O	NH			64.2
115		2	O	NH			95.1
116		2	O	NH			92.7
117		2	O	NH			79.0

Table 1a (continued)

EXAMPLE	R^1	R^2	n	X^1	Y^1	A	R^3	ACAT Inhibition(%) 10^{-6}M [$IC_{50} \times 10^{-7}$M]
118			2	O	NH		$CH(CH_3)_2$ | $-CH-(CH_2)_9-CH_3$ *	88.2
119			2	O	NH		$CH(CH_3)_2$ | $-CH-(CH_2)_9-CH_3$ *	76.0
120			2	O	NH			41.0
123			2	O	NH		$CH3$ | $-CH-(CH_2)_9-CH_3$ *	94.1
124			2	O	NH		$CH3$ | $-CH-(CH_2)_9-CH_3$ *	87.7

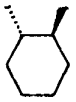
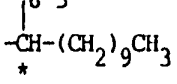
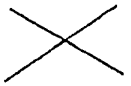
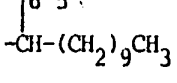
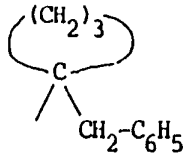
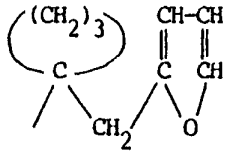
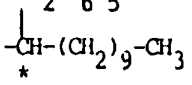
(continued)

Table 1a (continued)

EXAMPLE	R^1 R^2	n	X^1	Y^1	A	R^3	ACAT Inhibition(%) 10^{-6}M [IC_{50}x10^{-7}M]
126		2	O	NH			88.2
127		2	O	NH			88.2
122	H H	2	O	NH			71.9
128		2	O	NH			81.8
129		2	O	NH			87.5

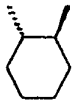
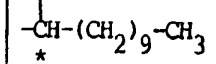
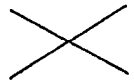
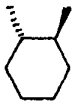
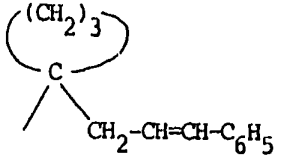
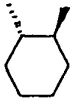
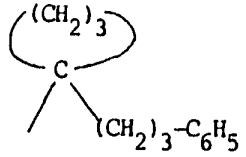
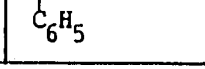
(continued)

Table 1a (continued)

EXAMPLE	R^1 R^2	n	X^1	Y^1	A	R^3	ACAT Inhibition(%) 10^{-6}M [$IC_{50}x10^{-7}$M]
130		2	O	NH			91.9
131		2	O	NH			87.6
132		2	O	NH			8.6
133		2	O	NH			27.5
134		2	O	NH			95.1

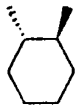
(continued)

Table 1a (continued)

EXAMPLE	R^1 R^2	n	X^1	Y^1	A	R^3	ACAT Inhibition(%) 10^{-6}M [$IC_{50} \times 10^{-7}$M]
135		2	O	NH			93.9
136		2	O	NH			59.7
137		2	O	NH			63.5
138		2	O	NH			88.3

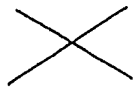
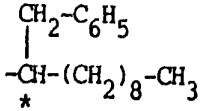
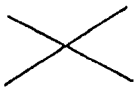
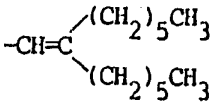
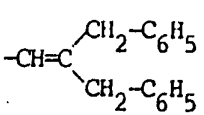
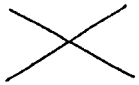
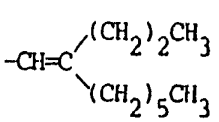
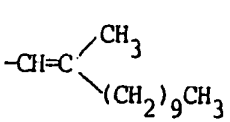
(continued)

Table 1a (continued)

EXAMPLE	R^1	R^2	n	X^1	Y^1	A	R^3	ACAT Inhibition(%) 10^{-6}M [IC_{50}x10^{-7}M]
139	H	H	2	O	NH		$CH_2-C_6H_5$ | $-CH-(CH_2)_5-CH_3$	63.9
140			2	O	NH		$CH_2-C_6H_5$ | $-N-(CH_2)_5-CH_3$	84.4
141			2	O	NH		$CH_2-C_6H_5$ | $-N-(CH_2)_7-CH_3$	89.9
142			2	O	NH		$CH_2-C_6H_5$ | $-N-(CH_2)_9-CH_3$	87.9
143			2	O	NH		$CH-C_6H_5$ | $-CH-(CH_2)_8-CH_3$ *	82.2

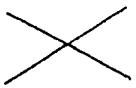
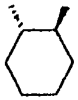
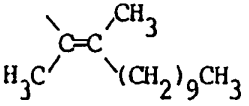
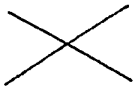
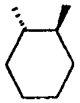
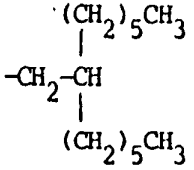
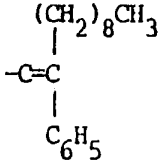
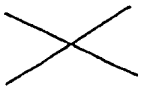
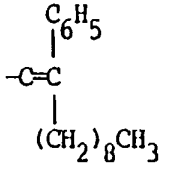
(continued)

Table 1a (continued)

EXAMPLE	R^1 R^2	n	X^1	Y^1	A	R^3	ACAT Inhibition(%) 10^{-6}M [$IC_{50} \times 10^{-7}$M]
144		2	O	NH			80.0
145		2	O	NH			89.0
146		2	O	NH			77.6
147		2	O	NH			88.1
148		2	O	NH			30.7

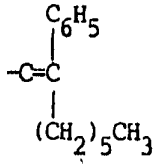
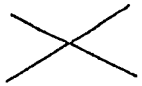
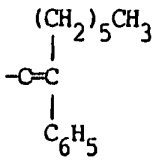
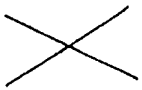
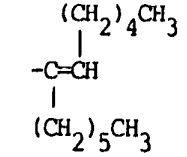
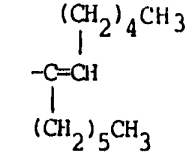
(continued)

Table 1a (continued)

EXAMPLE	R^1 R^2	n	X^1	Y^1	A	R^3	ACAT Inhibition(%) 10^{-6}M [$IC_{50}x10^{-7}$M]
149		2	O	NH			15.0
150		2	O	NH			97.3
151		2	O	NH			97.7
152		2	O	NH			97.3

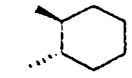
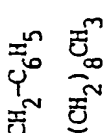
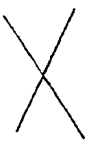
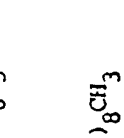
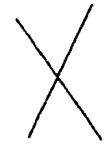
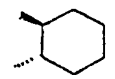
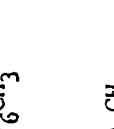
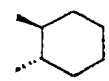
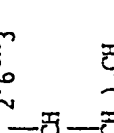
(continued)

Table 1a (continued)

EXAMPLE	R^1 R^2	n	X^1	Y^1	A	R^3	ACAT Inhibition(%) 10^{-6}M [$IC_{50}x10^{-7}$M]
153		2	O	NH			97.5
154		2	O	NH			91.6
155		2	O	NH			92.5
156		2	O	NH			96.3

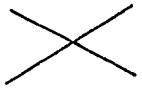
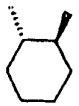
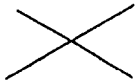
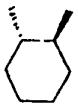
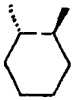
(continued)

Table 1a (continued)

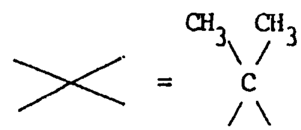
EXAMPLE	R^1 R^2	n	X^1	Y^1	A	R^3	ACAT Inhibition(%) 10^{-6}M [$IC_{50} \times 10^{-7}$M]
157		2	O	NH			97.3
158		1	O	NH			97.8
159		2	O	NH			96.2
160		2	O	NH			98.9

(continued)

Table 1a (continued)

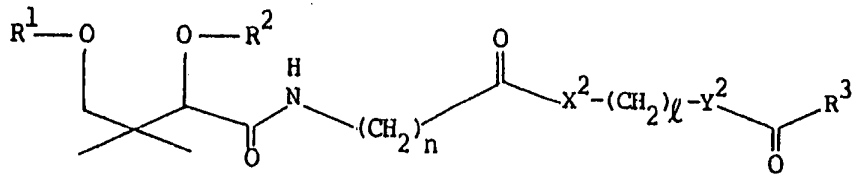
EXAMPLE	R^1 R^2	n	X^1	Y^1	A	R^3	ACAT Inhibition(%) 10^{-6}M [IC_{50}x10^{-7}M]
161		2	O	NH		$CH_2-C_6H_5$ | -CH | $CH_2-C_6H_5$	64.3
162		2	O	NH		$(CH_2)_3-C_6H_5$ | -CH | $(CH_2)_3-C_6H_5$	97.1
163		2	O	NH		$(CH_2)_4-C_6H_5$ | -CH | $(CH_2)_4-C_6H_5$	98.1
164		2	O	NH		$CH_2-C_6H_4-C(CH_3)_3$ | -CH | $CH_2-C_6H_4-C(CH_3)_3$	94.6

NOTE:



Ac = CH_3CO, Ph = phenyl, tBu = tert-butyl (Same as Tables 1b and 1c)

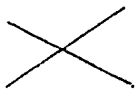
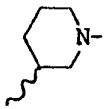
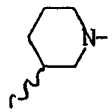
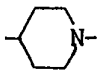
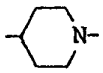
Table 1b



EXAMPLE	R^1	R^2	n	X^2	ℓ	Y^2	R^3	ACAT Inhibition(%) 10^{-6}M
165			2	-N	1	NH	$-(CH_2)_7CH=CH(CH_2)_7CH_3$	82.8
166	H	H	2	-N	1	NH	$-(CH_2)_7CH=CH(CH_2)_7CH_3$	65.7
167			2	-N	1	NH	$-(CH_2)_7CH=CH(CH_2)_7CH_3$	64.0
168			2	-N	0	NH	$-(CH_2)_7CH=CH(CH_2)_7CH_3$	75.7

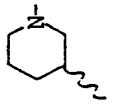
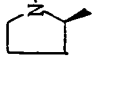
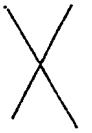
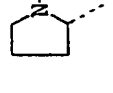
(continued)

Table 1b (continued)

EXAMPLE	R^1	R^2	n	X^2	ℓ	Y^2	R^3	ACAT Inhibition(%) 10^{-6}M
169	H	H	2		0	NH	$-(CH_2)_7CH=CH(CH_2)_7CH_3$	58.3
171			2	NH	0		$-(CH_2)_7CH=CH(CH_2)_7CH_3$	61.1
172			2	NH	0		$-(CH_2)_7CH=CH(CH_2)_7CH_3$	65.3
173			2	O	0		$-(CH_2)_7CH=CH(CH_2)_7CH_3$	66.9
174	H	H	2	O	0		$-(CH_2)_7CH=CH(CH_2)_7CH_3$	21.5

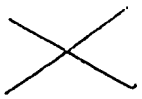
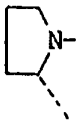
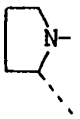
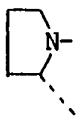
(continued)

Table 1b (continued)

EXAMPLE	R^1	R^2	n	X^2	ℓ	Y^2	R^3	ACAT Inhibition(%) 10^{-6}M
175	Ac	Ac	2	O	0		$-(CH_2)_7CH=CH(CH_2)_7CH_3$	29.3
177			2	O	0		$-(CH_2)_7CH=CH(CH_2)_7CH_3$	57.5
178			2	O	0		$-(CH_2)_7CH=CH(CH_2)_7CH_3$	66.2
179			2	O	1		$-(CH_2)_7CH=CH(CH_2)_7CH_3$	80.4
180			2	O	1		$-(CH_2)_7CH=CH(CH_2)_7CH_3$	59.6

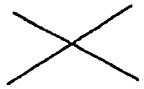
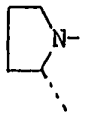
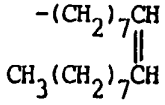
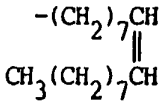
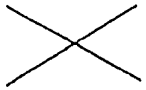
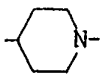
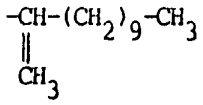
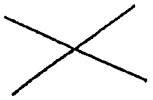
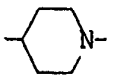
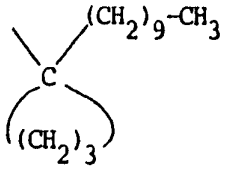
(continued)

Table 1b (continued)

EXAMPLE	R^1 R^2	n	X^2	ℓ	Y^2	R^3	ACAT Inhibition(%) 10^{-6}M
181		2	O	1		$-(CH_2)_{16}CH_3$	35.4
182		2	O	1		$-(CH_2)_7-CH=CH$  $CH_3(CH_2)_4-CH=CH$	55.2
183	H H	2	O	1		$-(CH_2)_7CH$ ‖ $CH_3(CH_2)_7CH$	44.0
184	Ac Ac	2	O	1		$-(CH_2)_7CH$ ‖ $CH_3(CH_2)_7CH$	59.9

(continued)

Table 1b (continued)

EXAMPLE	R^1 R^2	n	X^2	ℓ	Y^2	R^3	ACAT Inhibition(%) 10^{-6}M
185		2	NH	1			51.1
186		2	NH	1			62.4
187		2	O	0			90.3
188		2	O	0			96.9

(continued)

Table 1b (continued)

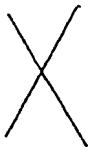
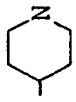
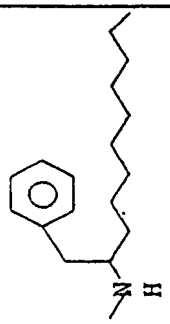
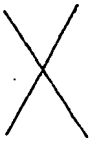
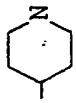
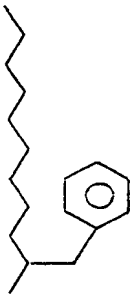
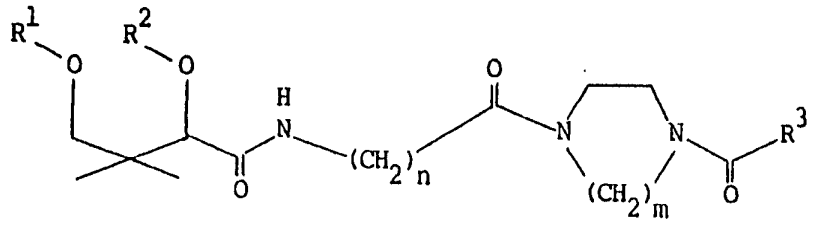
EXAMPLE	R^1 R^2	n	X^2	ℓ	Y^2	R^3	ACAT Inhibition(%) 10^{-6}M
191		2	O	0			83.1
190		2	O	0			69.0

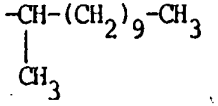
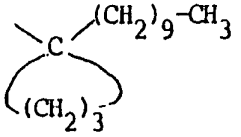
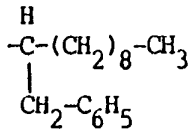
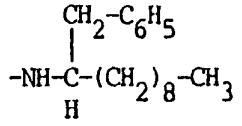
Table 1c



EXAMPLE	R^1	R^2	n	m	R^3	ACAT Inhibition(%) 10^{-6}M
192	Ac	Ac	2	2	$-(CH_2)_7-CH=CH-(CH_2)_7CH_3$	44.8
193	H	H	2	2		18.9
194	Ac	Ac	2	3	$-(CH_2)_7CH(CH_3-(CH_2)_7)=CH$	62.6
195	H	H	2	3		48.7
198			2	2	$-N(H)-(CH_2)_7-CH=CH-(CH_2)_7-CH_3$	84.3

(continued)

Table 1c (continued)

EXAMPLE	R^1 R^2	n	m	R^3	ACAT Inhibition(%) 10^{-6}M
199		2	2		53.7
200		2	2		45.7
201		2	2		64.8
202		2	2		56.8

In the case where the compounds of the present invention are used as a drug for the therapy, treatment or prevention of various diseases such as hyperlipemia, arteriosclerosis, angina pectoris, myocardial infraction and thrombosis, the compounds can be formulated together with pharmaceutically accepted carriers, diluents, excipients, binders, disintegrants, lubricants, antiseptics, stabilizers, dissolving aids, corrigents or the like into formulations suitable for administration such as those unit administration formulas, for example, tablets, capsules, powders, granules, microcapsules, syrups, elixirs, injectable liquids and suppositories.

While the contents of the active ingredients in the formulations will vary depending on the kinds of the compounds of as the present invention used, types of the formulations, purposes for which the formulations are used, generally the active ingredients are contained in a range of from 0.5 to 90% by weight, and preferably from 5 to 60% by weight.

In the case of solid preparations such as tablets, capsules, powders and granules, the compounds of the present invention can be formulated in a conventional manner together with carriers or diluents such as lactose, mannitol, glucose, hydroxypropylcellulose, crystallite cellulose, carboxymethylcellulose, starch, polyvinylpyrrolidone, aluminum metasilicate and talc; lubricants such as magnesium stearate; disintegrants such as cellulose calcium gluconate; dissolving aids such as glutamic acid and aspartic acid; stabilizers such as lactose; and the like. The tablets may if desired be coated with a substance which is soluble in the stomach or intestine such as white sugar, gelatin, hydroxypropylmethylcellulose. The capsules may be either hard capsules or soft capsules.

In the case of liquid formulations such as syrups, elixirs, solutions, emulsions and suspensions, the compounds of the present invention can be formulated by dissolving or dispersing them in a pharmaceutically acceptable liquid medium such as deionized water, physiological saline, buffers and ethanol, and optionally adding thereto one or more substances selected from surfactants, edulcorants, corrigents, flavors and antiseptics.

On the other hand, injections, which are administered parenterally, include sterile, aqueous or non-aqueous solutions, suspensions and emulsions. Such types of injections can be prepared by mixing the compounds of the present invention with aqueous diluents such as distilled water for injection and physiological saline or non-aqueous diluents such as polyethylene glycol, propylene glycol, olive oil, ethanol and polysolvate 80 (trademark). The injections may contain one or more auxiliaries such as antiseptics, wetting agents, surfactants, dispersants, stabilizers and dissolving aids, if desired. The injections can be sterilized usually by filtering them through bacteria trapping filters or by blending or spraying sterilizers. Furthermore, it is also possible to use solid formulations prepared by lyophilization or the like after the abovedescribed treatments and in addition thereto adding sterilized water or diluent for injection immediately before use.

The compounds of the present invention can be administered by oral administration or rectal administration, or alternatively by parenteral administration such as intravenous administration, intramuscular administration and subcutaneous administration. While their dosage will vary depending on the kinds of the compounds to be used, administration methods, severity of symptoms of patients to be treated, age and body weight of patients and judgements by the doctors, it is suitable that the compounds of the present invention are administered generally in a dosage of from about 2 to about 500 mg/kg/day once a day or dividedly 2 to 4 times a day. However, the dosage is not limited to the above-described conditions but dosage either higher or lower than the above-described one may of course be used depending on the judgements by the doctors and severity of the symptoms.

Hereafter, the present invention will be explained in greater detail with reference to nonlimitative examples.

Reference Example 1

Preparation of Benzyl 3-[N-(2,4-Dihydroxy-3,3 -dimethyl-1-oxo)amino]propionate

A solution of 75 g of calcium pantothenate and 53.8g of benzyl bromide in 1 liter of diemthylformamide was stirred at 100 °C for one night. After completion of the reaction, the solvent was distilled off under reduced pressure. The residue was dissolved in water extracted with ethyl acetate. The organic layer was washed with water and then with saturated saline, and dried over anhydrous sodium sulfate. Removal of the solvent by evaporation afforded 90.3 g of the objective compound (yield: 93%).

Property: Oily

IR(cm^{-1}, neat): ν_{CO} 1738, 1662

Mass Spectrometric Analysis:
Molecular formula: $C_{16}H_{23}NO_5$
Calculated : 309.1576
Found 309.1577
NMR(δ, $CDCl_3$) :
0.88 (3H,s), 1.00 (3H,s), 2.62 (2H,t,J=7Hz), 3.45 (1H,d,J=11Hz), 3.48 (1H,d,J=11Hz), 3.52-3.64 (2H,m), 3.99 (1H,s), 5.14 (2H,s), 7.10-7.20 (1H,m), 7.33-7.42 (5H,m)

Reference Example 2

Preparation of Benzyl 3-[N-(2,2,5,5-Tetramethyl -1,3-dioxane-4-carbonyl)amino]propionate

p-Toluenesulfonic acid hydrate (5.6 g) was added to solution of 90 g of benzyl 3-[N-(2,4-dihydroxy-3,3,-dimethyl-1-oxo)amino]-propionate in 700 ml of acetone, and the mixture was stirred at room temperature for one night. After completion of the reaction, the solvent was disstilled off under reduced pressure. The residue was dissolved in ethyl acetate, washed with saturated aqueous sodium bicarbonate solution, with water and then with extracted with ethyl acetate. The organic layer was washed with saturated saline, and dried over anhydrous sodium sulfate. The residue was subjected to silica gel column chromatography and purified to obtain 85 g of the objective compound (yield: 84%).
Property: Oily
IR(cm^{-1}, neat): ν_{NH} 3456
ν_{CO} 1740,1676
Mass Spectrometric Analysis:
Molecular formula: $C_{19}H_{27}NO_5$
Calculated : 349.1889
Found : 349.1882
NMR(δ, $CDCl_3$):
0.94 (3H,s), 1.03 (3H,s), 1.41 (3H,s), 1.44 (3H,s), 2.62 (2H,t,J=7Hz), 3.28 (1H,d,J= 12Hz), 3.67 (1H,d,J=12Hz), 3.42-3.65 (2H,m), 4.07 (1H,s), 5.14 (2H,s), 6.90-7.10 (1H,m), 7.30-7.40 (5H,m)

Reference Example 3

Preparation of 3-[N-(2,2,5,5-Tetramethyl-1,3 -dioxane-4-carbonyl)amino]propionic Acid

An aqueous 1N sodium hydroxide solution (100 ml) was added to a solution 35 g of benzyl 3-[N-(2,2,5,5-Tetramethyl-1,3-dioxane-4-carbonyl)amino]propionate in 350 ml of methanol, and the mixture was stirred under ice cooling for 1 hour. After completion of the reaction, methanol was distilled off under reduced pressure. The aqueous layer was extracted with ethyl acetate. After adding 1N hydrochloric acid to the aqueous layer to render it acidic, the aqueous layer was extracted with ethyl acetate. The organic layer washed with water and then with saturated saline, and dried over anhydrous sodium sulfate. Removal of the solvent by evapoation afforded 20.4 g of the objective compound (yield: 78%).
Property: Melting point, 87.2 to 89.2 °C
IR(cm^{-1}, neat): ν_{MH} 3420
ν_{CO} 1734,1636
Mass Spectrometric Analysis:
Molecular formula: $C_{12}H_{21}NO_5$
Calculated : 259.1419

Found : 259.1425
NMR(δ, $CDCl_3$):
0.98 (3H,s), 1.04 (3H,s), 1.43 (3H,s), 1.46 (3H,s), 2.62 (2H,t,J = 7Hz), 3.29 (1H,d,J = 12Hz), 3.68 (1H,d,J = 12Hz), 3.43-3.66 (2H,m), 4.11 (1H,s), 6.90-7.10 (1H,m)

Reference Example 4

Preparation of Benzyl 3-[N-(5,5-Dimethyl-2-phenyl-1,3-dioxane-4-carbonyl)amino]propionate

A solution of 3.09 g of benzyl 3-[N-(2,4-dihydroxy-3,3,-dimethyl-1-oxo)amino]propionate, 6.90 g of benzaldehyde dimethylacetal, and 0.19 g of p-toluenesulfonic acid in 100 ml of benzene was refluxed for 2 hours with removing water produced by azeotropy. After completion of the reaction, the reaction mixture was washed with saturated aqueous sodium bicarbonate solution, with water and then with saturated saline, and dried over anhydrous sodium sulfate. After removing the solvent by evapoation, the residue obtained was purified by silica gel column chromatography to obtain 3.18 g of the objective compound (yield: 80%).
Property: Oily
IR(cm^{-1}, neat): ν_{NH}3456,
ν_{CO}1740, 1676
Mass Spectrometric Analysis:
Molecular formula: $C_{19}H_{27}NO_5$
Calculated : 397.1889
Found : 397.1882
NMR(δ, $CDCl_3$):
1.08 (3H,s), 1.10 (3H,s), 2.62 (2H,t,J = 6Hz), 3.68 (1H,d,J = 11Hz), 3.45-3.64 (2H,m), 3.72 (1H,d,J = 1Hz), 4.09 (1H,s), 5.10(2H,s), 5.51 (1H,s), 6.92-7.04 (1H,m), 7.38-7.52 (10H,m)

Reference Example 5

Preparation of Benzyl 3-[N-(3,3-Dimethyl-1,5-dioxaspiro[5,5]-dodecane-2-carbonyl)amino)propionate

Benzyl 3-[N-(2,4-dihydroxy-3,3,-dimethyl-1-oxo)amino]-propionate (3.09 g and 1.47 g of cyclohexanone were reacted in the same manner as in Reference Example 4 to obtain 3.07 g of the objected compound (yield: 79%).
Property: Oily

$$IR(cm^{-1}, \text{ neat}): \ \nu_{CO}\ 1738,\ 1680$$

Mass Spectrometric Analysis:
Molecular formula: $C_{22}H_{31}NO_5$
Calculated : 389.2202
Found : 389.2214
NMR(δ, $CDCl_3$):
0.95 (3H,s), 1.03 (3H,s), 1.32-1.50 (4H,m), 1.54-1.70 (4H,m), 1.78-1.90 (2H,m), 2.62 (2H,t,J = 7Hz), 3.25 (1H,d,J = 12Hz), 3.46-3.66 (2H,m), 3.69 (1H,d,J = 12Hz), 4.08 (1H,s), 5.14 (2H,s), 7.00-7.10 (1H,m), 7.30-7.42 (5H,m)

Reference Example 6

Preparation of 3-[N-(5,5-Dimethyl-2-phenyl-1,3-dioxane-4-carbonyl)amino]propionic Acid

Benzyl 3-[N-(5,5-Dimethyl-2-phenyl-1,3-dioxane-4-carbonyl)amino]propionate (1.0 g) was reacted in the same manner as in Reference Example 3 to obtain 0.77 g of the objctived compound (yield: quantitiative).
Property: Oily
IR(cm^{-1}, neat): ν_{NH}3420,
ν_{CO}1732, 1636
Mass Spectrometric Analysis:
Molecular formula: $C_{16}H_{21}NO_5$
Calculated : 307.1419
Found : 307.1423
NMR(δ, $CDCl_3$):
1.11 (3H,s), 2.62 (2H,t,J = 7Hz), 3.28 (1H,d,J = 12Hz), 3.44-3.64 (2H,m), 3.68 (1H,d,J = 1Hz), 3.73 (1H,d,J = 11Hz), 4.12 (1H,s), 5.51 (1H,s), 7.00-7.10 (1H,m), 7.38-7.45 (3H,m), 7.45-7.52 (2H,m)

Referenc Example 7

Preparation of 3-[N-(3,3-Dimethyl-1,5-dioxaspiro[5,5)dodecane-2-carbonyl)amino]propionic Acid

In a solution of .95 g of benzyl 3-[N-(3,3-dimethyl-1,5-dioxaspiro[5,5)-dodecane-2-carbonyl)amino]-propionate in 20 ml of methanol was suspended 20 mg of 10% palladium-on-carbon, and the suspension was stirred at room temperature for one night under hydrogen gas atmosphere. After completion of the reaction, insoluble matter was filtered. Removal of the solvent by evaporation afforded 1.50 g of the objective compound.
Property: Oily
IR(cm^{-1}, neat): ν_{CO}1728, 1670
Mass Spectrometric Analysis:
Molecular formula: $C_{15}H_{25}NO_5$
Calculated : 299.1732
Found : 299.1718
NMR(δ, $CDCl_3$):
0.99 (3H,s), 1.04 (3H,s), 1.32-1.51 (4H,m), 1.54-1.94 (7H,m), 2.64 (2H,t,J = 6Hz), 3.26 (1H,d,J = 12Hz), 3.71 (1H,d,J = 12Hz), 3.46-3.64 (2H,m), 4.12 (1H,s), 7.08-7.14 (1H,m)

Reference Example 8

Preparation of 3-[N-(3,3-Dimethyl-1,5-dioxaspiro[5,5]dodecane-2-carbonyl)amino]propionic Acid

Acetic anhydride (10.2 g) was added to a suspension 4.47 g of calcium pantothenate in 20 ml of pyridine, and the mixture was stirred for one night. After completion of the reaction, the reaction mixture was poured in ice water. After stirring for 2 hours, 1N Hydrochloric acid was added to the reaction mixture to adjust pH to a value of about 2, followed by extraction with ethyl acetate. The organic layer was washed with saturated saline, and dried over anhydrous sodium sulfate. After removal of the solvent, 4.19 g of the objective compound was obtained as a residue (yield: 69%).
Property: Oily

Reference Example 9

Preparation of 4-Nitropheny 3-[N-(2,4-diacetoxy -3,3-dimethyl-1-oxobutyl)amino]propionate

Dicyclohexylcarbodiimide (15.5 g) was added to a solution of 22.6 g of 3-[N-(2,4-diacetoxy-3,3-dimethyl -1-oxobutyl)amino]propionic acid and 10.4 g of p-nitrophenol in 500 ml of tetrahydrofuran, and the mixture was stired for one night. After completion of the reaction, insoluble matter was removed and the solvent was distilled off under reduced pressure. The residue was dissolved in ethyl acetate. The resulting solution was

washed with saturated aqueous sodium bicarbonate solution, with water and then with saturated saline, and dried over anhydrous sodium sulfate. After removing the solvent by evaporation, 10.2 g of the objectived compound was obtained as a residue (yield: 32%).
Porperty: Oily
IR(cm^{-1}, neat): ν_{NH}3456, ν_{CO}1740, 1676
Mass Spectrometric Analysis:
Molecular formula: $C_{19}H_{24}N_2O_3$
Calculated : 424.1481
Found : 424.1467
NMR(δ, $CDCl_3$):
1.04 (3H,s), 1.08 (3H,s), 2.06 (3H,s), 2.10 (3H,s), 2.84-2.91 (2H,m), 3.50-3.76 (2H,m), 3.86 (1H,d,J = 11Hz), 6.06 (1H,d,J = 11Hz), 4.93 (1H,s), 6.50-6.66 (1H,m), 7.29 (2H,d,J,7Hz), 8.28 (2H,d,7Hz)

Reference Example 10

Preparation of Benzyl 2-[N-(2,4-Dihydroxy-3,3-dimethylbutanoyl)-amino]acetate

A solution of 13.0 g of pantolactone, 8.3 g of glycine and potassium hydroxide (final concentration: 85%) in 100 ml of methanol was heated under reflux for 3 hours. The solvent was distilled off under reduced pressure. After drying, the residue was dissolved in 150 ml of dimethylformamide, and 18.8 g of benzyl bromide was added to the resulting solution, followed by stirring at room temperature for 20 hours. The reaction mixture was distilled under pressure, and the residue obtained was dissolved in water and extracted with ethyl acetate. The organic layer was washed with water and then with saturate saline, and dried over anhydrous sodium sulfate. The residue obtained was purified by silica gel column chromatography to obtain 12.8 g of the objective compound (yield: 43%).
NMR(δ, $CDCl_3$) :
0.95 (3H,s), 1.60 (3H,s), 2.73 (2H,brs), 3.51 (1H,d,J = 11Hz), 3.56 (1H,d,J = 11Hz), 4.03-4.21 (2H,m), 4.09 (1H,s), 5.19 (2H,s), 7.23-7.28 (1H,m), 7.33-7.42 (5H,m)

Reference Example 11

Preparation of o-Oleoylaminoaniline

N,N'-Dicyclohexylcarbodiimide (2.27 g) was added to a solution of 2.82 g of oleic acid and 1.62 g of o-phenylenediamine in 50 ml of methylene chloride with stirring under ice cooling. The mixture was stirred at room temperature for one night. After completion of the reaction insoluble matter was filtered, followed by removal of the solvent by evaporation. The residue obtained was purified by silica gel column chromatography to obtain 2.84 g of the objective compound (yield: 76%).
Property: Oily
IR(cm^{-1}, neat): ν_{NH}3284, ν_{CO}1646
Mass Spectrometric Analysis:
Molecular formula: $C_{24}H_{40}N_2O$
Calculated : 372.3140
Found : 372.3129
0.88 (3H,t,J = 7Hz), 1.18-1.45 (20H,m), 1.65-1.81 (2H,m), 1.90-2.09 (4H,m), 2.41 (2H,t,J = 7Hz), 3.84 (2H,brs), 5.28-5.43 (2H,m), 6.76-6.83 (2H,m), 7.02-7.13 (2H,m), 7.17 (1H,d,J = 8Hz)

Reference Example 12

Preparation of m-Oleoylaminoaniline

Oleic acid (2.82 g) and m-phenylenediamine (1.62 g) were reacted in the same manner as Reference Example 11 to obtain 2.60 g of the objective compound (yield: 70%).
Property: Oily
IR(cm^{-1}, neat): ν_{NH}3324, ν_{CO}1658
Mass Spectrometric Analysis:
Molecular formula: $C_{24}H_{40}N_2O$
Calculated : 372.3140
Found : 372.3143
NMR(δ, $CDCl_3$):
0.88 (3H,t,J = 7Hz), 1.20-1.42 (20H,m), 1.64-1.78 (2H,m), 1.90-2.09 (4H,m), 2.32 (2H,t,J = 7Hz), 3.70 (2H,brs), 5.29-5.40 (2H,m), 6.42 (1H,d,J = 8Hz), 6.62 (1H,d,J = 8Hz), 7.00 (1H,brs), 7.21 (1H,s)

Reference Example 13

Preparation of p-Oleoylaminoaniline

Oleic acid (2.82 g) and p-phenylenediamine (1.62 g) were reacted in the same manner as in Reference Example 11 to obtain 2.85 g of the objective compound (yield: 77%).
Property: Oily
IR(cm^{-1}, neat): ν_{NH}3294, ν_{CO}1656
Mass Spectrometric Analysis:
Molecular formula: $C_{24}H_{40}N_2O$
Calculated : 372.3140
Found : 372.3138
NMR(δ, $CDCl_3$):
0.88 (3H,t,J = 7Hz), 1.18-1.42 (20H,m), 1.64-1.77 (2H,m), 1.92-2.09 (4H,m), 2.31 (2H,t,J = 7Hz), 3.60 (2H,brs), 5.29-5.40 (2H,m), 6.65 (2H,d,J = 9Hz), 6.92 (1H,brs), 7.26 (2H,d,J = 9Hz)

Reference Example 14

Preparation of p-Oleoylaminoaniline

Oleic acid (2.82 g) and p-aminophnol (1.64 g) were reacted in the same manner as in Reference Example 11 to obtain 1.57 g of the objective compound (yield: 42%).
Property: Oily
IR(cm^{-1}, neat): ν_{NH}, ν_{CO}1646,
Mass Spectrometric Analysis:
Molecular formula: $C_{24}H_{39}NO_2$
Calculated : 373.2980
Found : 373.2988
NMR(δ, $CDCl_3$):
0.88 (3H,t,J = 7Hz), 1.20-1.42 (20H,m), 1.65-1.79 (2H,m), 1.89-2.09 (4H,m), 2.31 (2H,t,J = 7Hz), 5.28-5.41 (2H,m), 6.77 (2H,d,9Hz), 7.04 (1H,brs), 7.32 (2H,d,J = 9Hz)

Reference Example 15

Preparation of 2,4-Diacetoxy-N-[3-[(4-hydroxyphenyl)amino]-3-oxopropyl]-3,3-dimethylbutanamide

1-Ethyl-3-(3-dimethylaminopropyl)carbodiimide (2.30 g) was added to a solution of 3.03 g of 3-[N-(2,4-diacetoxy-3,3-dimethyl-1-oxobutyl)amino]propionic acid and 2.18 g of p-aminophenol in 50 ml of methylene chloride, and the mixture was stirred for one night. After completion of the reaction, the reaction mixture was washed with water, and dried over anhydrous sodium sulfate. After removing the solvent by evapora-

tion, the residue obtained was purified by silica gel column chromatography to obtain 1.92 g of the objective compound was obtained as a residue (yield: 50%).
Property: Oily
IR(cm^{-1}, neat): ν_{CO}1750, 1660
Mass Spectrometric Analysis:
Molecular formula: $C_{19}H_{26}N_2O_7$
Calculated : 394.1740
Found : 394.1746
NMR(δ, $CDCl_3$):
1.02 (3H,s), 1.06 (3H,s), 2.05 (3H,s), 2.07 (3H,s), 2.55 (2H,t,J = 6Hz), 3.50-3.71 (2H,m), 3.84 (1H,d,J = 12Hz), 4.03 (1H,d,J = 12Hz), 4.90 (1H,s), 6.74-6.83 (1H,m), 6.79 (2H,d,J = 8Hz), 7.35 (2H,d,J = 8Hz), 7.47 (1H,brs)

Reference Example 16

Preparation of S-4-Aminophenyl 3-[N-(2,4,-Diacetoxy-3,3-dimethyl-1-oxobutyl)amino]propanethioate

3-[N-(2,4-Diacetoxy-3,3-dimethyl-1-oxobutyl)amino]propionic acid (1.52 g) and 1.00 g of p-aminothiophenol were reacted in the same manner as in Reference Example 11 to obtain 0.335 mg of the objective compound (yield: 16%)
Property: Oily
Mass Spectrometric Analysis:
Molecular formula: $C_{19}H_{26}N_2O_6S$
Calculated : 410.1511
Found : 410.1520
NMR(δ, $CDCl_3$):
1.01 (3H,s), 1.06 (3H,s), 2.06 (3H,s), 2.11 (3H,s), 2.87 (2H,t,J = 6Hz), 3.44-3.69 (2H,m), 3.81 (1H,d,J = 11Hz), 4.03 (1H,d,J = 11Hz), 4.97 (1H,s), 6.50 (1H,t,J = 6Hz), 6.92 (2H,d,J = 8Hz), 7.24 (2H,d,J = 8Hz)

Reference Example 17

Preparation of S-4-Aminophenyl 9-Octadecenethioate

Oleic acid (2.82 g) and 1.88 g of p-aminothiophenol were reacted in the same manner as in Reference Example 11 to obtain 2.86 g of the objective compound (yield: 74%).
Property: Oily
IR(cm^{-1}, neat): ν_{NH}3500, ν_{CO}1698
Mass Spectrometric Analysis:
Molecular formula: $C_{24}H_{39}NOS$
Calculated : 389.2752
Found : 389.2754
NMR(δ, $CDCl_3$):
0.88 (3H,t,J = 7Hz), 1.19-1.41 (20H,m), 1.62-1.75 (2H,m), 1.91-2.09 (4H,m), 2.60 (2H,t,J = 7Hz), 3.83 (2H,brs), 5.29-5.41 (2H,m), 6.68 (2H,d,8Hz), 7.16 (2H,d,J = 8Hz)

Reference Example 18

Preparation of N-(4-Hydroxyphenyl)-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propanamide

3-[N-(2,2,5,5-Tetramethyl-1,3-dioxane-4-carbonyl)amino]propionic acid (1.04 g) and 0.665 g of p-aminophenol were reacted in the same manner as in Reference Example 15 to obtain 1.37 g of the objective compound (yield: 98%).
Property: Oily

IR(cm^{-1}, neat): ν_{CO}1660
Mass Spectrometric Analysis:
Molecular formula: $C_{18}H_{26}N_2O_5$
Calculated : 350.1841
Found : 350.1846
NMR(δ, $CDCl_3$):
0.97 (3H,s), 1.04 (3H,s), 1.41 (3H,s), 1.45 (3H,s), 2.26 (2H,t,J=6Hz), 3.50-3.72 (2H,m), 3.28 (1H,d,J=12Hz), 3.68 (1H,d,J=12Hz), 4.10 (1H,s), 6.78 (2H,d,J=8Hz), 7.13 (1H,d,J=6Hz), 7.32 (2H,d,J=8Hz), 8.02 (1H,s)

Reference Example 19

Preparation of N-(4-Hydroxyphenyl)-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propanamide

3-[N-(2,2,5,5-Tetramethyl-1,3-dioxane-4-carbonyl)amino]propionic acid (1.30 g) and 1.00 g of p-aminothiophenol were reacted in the same manner as in Reference Example 15 to obtain 0.28 g of the objective compound (yield: 15%).
IR(cm^{-1}, neat): ν_{CO}1692
Mass Spectrometric Analysis:
Molecular formula: $C_{18}H_{26}N_2O_4S$
Calculated : 366.1613
Found : 366.1608
NMR(δ, $CDCl_3$):
1.00 (3H,s), 1.04 (3H,s), 1.42 (3H,s), 1.45 (3H,s), 2.78-2.97 (2H,m), 3.29 (1H,d,J= 11Hz), 3.45-3.71 (2H,m), 3.69 (1H,d,J=11Hz), 4.08 (1H,s), 6.69 (2H,d,J=8Hz), 6.84-6.92 (1H,m), 7.15 (2H,d,J=8Hz)

Reference Example 20

Preparation of p-Oleoylaminophenol

Sodium carbonate (1.27 g) was added to a solution of 1.09 g of 2-aminophenol in a mixed solvent composed of 20 ml of ethyl acetate and 20 ml of water. To the resulting mixture was added a solution of 3.01 g of oleoyl chloride in 10 ml of ethyl acetate portion-wise with stirring under ice cooling. The stirring was continued for additional 2 hours. After completion of the reaction, the organic layer was separated, washed with water and then with saturated saline, and dried over anhydrous sodium sulfate. After removal of the solvent by evaporation, the residue obtained was purified by silica gel column chromatography to obtain 3.40 g of the objective compound (yield: 91%).
Property: Oily
IR(cm^{-1}, neat): ν_{CO}1646
Mass Spectrometric Analysis:
Molecular formula: $C_{24}H_{39}NO_2$
Calculated : 373.2980
Found : 373.2988
NMR(δ, $CDCl_3$):
0.88 (3H,t,J=7Hz), 1.18-1.45 (20H,m), 1.66-1.80 (2H,m), 1.92-2.10 (4H,m), 2.45 (2H,d,J=7Hz), 5.28-5.40 (2H,m), 6.85 (2H,d,8Hz), 6.97 (1H,d,J=8Hz), 7.02 (1H,d,J= 8Hz), 7.13 (1H,d,J=8Hz), 7.45 (1H,brs)

Reference Example 21

Preparation of N-(2-Hydroxyphenyl)-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propanamide

3-[N-(2,2,5,5-Tetramethyl-1,3-dioxane-4-carbonyl)amino]propionic acid (0.26 g) and 0.13 g of o-aminophenol were reacted in the same manner as in Reference Example 15 to obtain 0.34 g of the

objective compound (yield: 98%).
Property: Oily
IR(cm^{-1}, neat): ν_{CO}1660
Mass Spectrometric Analysis:
Molecular formula: $C_{18}H_{26}N_2O_5$
Calculated : 350.1841
Found : 350.1843
NMR(δ, $CDCl_3$):
0.97 (3H,s), 1.03 (3H,s), 1.42 (3H,s), 1.46 (3H,s), 2.77 (2H,t,J = 6Hz), 3.28 (1H,d,J = 12Hz), 3.59-3.77 (2H,m), 4.11 (1H,s), 6.86 (1H,t,J = 8Hz), 7.01 (1H,d,J = 8Hz), 7.08-7.22 (3H,m) 8.80 (1H,s)

Reference Example 2 2

Preparation of N-(2-aminophenyl)-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propanamide

3-[N-(2,2,5,5-Tetramethyl-1,3-dioxane-4-carbonyl)amino]propionic acid (3.89 g) and 2.16 g of o-phenylenediamine were reacted in the same manner as in Reference Example 15 to obtain 2.48 g of the objective compound (yield: 47%).
Property: Oily
IR(cm^{-1}, neat): ν_{CO}1660
Mass Spectrometric Analysis:
Molecular formula: $C_{18}H_{27}N_3O_4$
Calculated : 349.2001
Found : 349.1993
NMR(δ, $CDCl_3$):
0.99 (3H,s), 1.03 (3H,s), 1.42 (3H,s), 1.45 (3H,s), 2.67 (2H,t,J = 6Hz), 3.59-3.70 2H,m), 3.28 (1H,d,J = 12Hz), 3.68 (1H,d,J = 12Hz), 4.10 (1H,s), 6.72.-6.82 (2H,m), 7.03-7.16 2H,m), 7.20 (1H,d,J = 8Hz), 7.87 (1H,s)

Reference Example 23

Preparation of m-Linoleoylaminoaniline

Linolic acid (0.841 g) and 0.541 g of o-phenylenediamine were reacted in the same manner as in Reference Example 11 to obtain 0.79 g of the objective compound (yield: 62%).
Property: Oily
IR(cm^{-1}, neat): ν_{CO}1646
Mass Spectrometric Analysis:
Molecular formula: $C_{24}H_{38}N_2O$
Calculated : 370.2984
Found : 370.2981
NMR(δ, $CDCl_3$):
0.89 (3H,t,J = 7Hz), 1.22-1.43 (14H,m), 1.63-1.88 (2H,m), 1.98-2.11 (4H,m), 2.32 (2H,t,J = 7Hz), 2.77 (2H,t,J = 6Hz), 5.28.-5.46 (4H,m), 6.47 (1H,d,J = 8Hz), 6.69 (1H,d,8Hz), 7.07 (1H,t,J = 8Hz), 7.14 (1H,s), 7.24 (1H,s)

Reference Example 24

Preparation of o-Lauroylaminoaniline

p-Phenylenediamine (342 mg) and 219 mg of 1-lauroyl chloride were reacted in the same manner as in Reference Example 20 to obtain 250 mg of the objective compound (yield: 86%).
Property: Oily

IR(cm^{-1}, neat): ν_{CO}1651
Mass Spectrometric Analysis:
Molecular formula: $C_{18}H_{30}N_2O$
Calculated : 290.2358
Found : 290.2362
NMR(δ, $CDCl_3$):
0.88 (3H,t,J = 7Hz), 1.17-1.42 (16H,m), 1.63-1.78 (2H,m), 2.31 (2H,t,J = 7Hz), 3.581 (2H,bris), 6.64 (2H,d,z), 6.98 (1H,brs), 7.26 (2H,d,J = 9Hz)

Reference Example 25

Preparation of p-Linolenoylaminoaniline

Linolenic acid (835 mg) and 546 mg of p-aminophenol were reacted in the same manner as in Reference Example 11 to obtain 1.03 g of the objective compound (yield: 55%).
Porperty: Oily
IR(cm^{-1}, neat): ν_{CO}1646
Mass Spectrometric Analysis:
Molecular formula: $C_{24}H_{35}NO_2$
Calculated : 369.2667
Found : 369.2672
NMR(δ, $CDCl_3$):
0.97 (3H,t,J = 7Hz), 1.19-1.44 (8H,m), 1.56-1.77 (2H,m), 1.98-2.12 (4H,m), 2.33 (2H,t,J = 7Hz), 2.71-2.88 (4H,m), 5.26-5.45 (6H,m), 6.77 (2H,d,9Hz), 7.05 (1H,s), 7.31 (2H,d,J = 9Hz)

Reference Example 26

Preparation of trans-2-(Oleoylamino)cyclohexylamine

Sodium methoxide (0.60 g) was added to a solution of 1.14 g of trans-1,2-diaminocyclohexane and 2.96 g of methyl oleate in 15 ml of benzene, and the mixture was heated under reflux for 20 hours. After completion of the reaction, the solvent was distilled off under reduced pressure and the residue was dissolved in ethyl acetate-water. The organic layer was washed with saturated saline, and dried over anhydrous sodium sulfate. After drying it over anhydrous sodium sulfate, the residue obtained was purified by silica gel column chromatography to obtain 2.54 g of the objective compound (yield: 68%).
Property: Oily
Mass Spectrometric Analysis:
Molecular formula: $C_{24}H_{46}N_2O$
Calculated : 378.3610
Found : 378.3611
NMR(δ, $CDCl_3$):
0.88 (3H,t,J = 7Hz), 1.12-1.48 (24H,m), 1.53-1.79 (4H,m), 1.91 (6H,m), 2.18-2.35 (2H,m), 2.52-2.95 (3H,m), 3.62-3.78 (1H,m), 5.28-5.40 (2H,m), 6.08-6.20 (1H,m)

Reference Example 27

Preparation of (S,S)-2-(Oleoylamino)cyclohexylamine

(S,S)-1,2-Diaminocyclohexane (1.14 g) and 2.96 g of methyl oleate were reacted in the same manner as in Reference Example 26 to obtain 2.41 g of the objective compound (yield: 65%)
Property: Oily
Mass Spectrometric Analysis:

Molecular formula: $C_{24}H_{46}N_2O$
Calculated : 378.3610
Found : 378.3612
NMR(δ, $CDCl_3$):
0.88 (3H,t,J = 7Hz), 1.12-1.48 (24H,m), 1.53-1.79 (4H,m), 1.91 (6H,m), 2.18-2.35 (2H,m), 2.52-2.95 (3H,m), 3.62-3.78 (1H,m), 5.28-5.40 (2H,m), 6.08-6.20 (1H,m)

Reference Example 28

Preparation of (1R,2R)-2-(Oleoylamino)cyclohexanol

(1R,2R)-2-Aminocyclohexanol (1.15 g) and 3.0 g of oleyl chloride were reacted in the same manner as in Reference Example 20 to obtain 3.74 g of the objective comound (yield: 99%).
Property: Oily
Mass Spectrometric Analysis:
Molecular formula: $C_{24}H_{45}NO_2$
Calculated : 379.3450
Found : 379.3453
NMR(δ, $CDCl_3$):
0.88 (3H,t,J = 7Hz), 1.10-1.42 (24H,m), 1.57-1.78 (4H,m), 1.89-2.10 (6H,m), 2.22 (2H,t,J = 7Hz), 3.32 (1H,ddd,J = 11Hz,11Hz,5Hz), 3.58-3.70 (1H,m), 5.28-5.50 (3H,m)

Reference Example 29

Preparation of (1S,2S)-2-(Oleoylamino)cyclohexanol

(1S,2S)-2-Aminocyclohexanol (1.15 g) and 3.0 g of oleyl chloride were reacted in the same manner as in Reference Example 20 to obtain 3.76 g of the objective compound (yield: 99%).
Property: Oily
Mass Spectrometric Analysis:
Molecular formula: $C_{24}H_{45}NO_2$
Calculated : 379.3450
Found : 379.3453
NMR(δ, $CDCl_3$):
0.88 (3H,t,J = 7Hz), 1.10-1.42 (24H,m), 1.57-1.78 (4H,m), 1.89-2.10 (6H,m), 2.22 (2H,t,J = 7Hz), 3.32 (1H,ddd,J = 11Hz,11Hz, 5Hz), 3.58-3.70 (1H,m), 5.28-5.50 (3H,m)

Reference Example 30

Preparation of (1R,2R)-2-(Stearoylamino)cyclohexanol

(1R,2R)-2-Aminocyclohexanol (1.15 g) and 3.02 g of stearyl chloride were reacted in the same manner as in Reference Example 20 to obtain 3.0 g of the objective compound (yield: 100%).
Property: Oily
Mass Spectrometric Analysis:
Molecular formula: $C_{24}H_{47}NO_2$
Calculated : 381.3606
Found : 381.3611
NMR(δ, $CDCl_3$):
0.88 (3H,t,J = 7Hz), 1.11-1.41 (32H,m), 1.57-1.78 (4H,m), 1.89-2.11 (2H,m), 2.22 (2H,t,J = 7Hz), 3.31 (1H,ddd,J = 11Hz,11Hz, 5Hz), 3.58-3.70 (1H,m), 5.42-5.51 (1H,m)

Reference Example 31

Preparation of (1S,2S)-2-(Linoleoylamino)cyclohexanol

(1S,2S)-2-Aminocyclohexanol (1.15 g) and 2.98 g of linolyl chloride were reacted in the same manner as in Reference Example 20 to obtain 3.76 g of the objective compound (yield: 99%).
Property: Oily
Mass Spectrometric Analysis:
Molecular formula: $C_{24}H_{43}NO_2$
Calculated : 377.3293
Found : 377.3299
NMR(δ, $CDCl_3$):
0.89 (3H,t,J=7Hz), 1.12-1.41 (18H,m), 1.58-1.77 (4H,m), 1.89-2.18 (6H,m), 2.22 (2H,t,J=8Hz), 2.77 (2H,t,J=6Hz), 3.31 (2H,ddd,J=11Hz,11Hz, 5Hz), 3.59-3.70 (1H,m), 5.29-5.47 (5H,m)

Reference Example 32

Preparation of (1S,2S)-2-(N-Benzyl-N-hexylcarbamoyl)aminocyclohexanol

A solution of 470 mg of phenyl chlorocarbonate in 5 ml of ethyl acetate was added portion-wise to a solution of 345 mg of (1S,2S)-2-aminocyclohexanol and 424 mg of sodium carbonate in a mixed solvent composed of 10 ml of ethyl acetate and 10 ml of water with stirring under ice cooling. After completion of the addition, the resulting mixture was stirred for additional 2 hours. After completion of the reaction, the aqueous layer was separated and extracted with ethyl acetate. The extract was combined with the organic layer, which was then washed with saturated saline. After drying it over anhydrous sodium sulfate, the combined organic layer was distilled to remove the solvent. Then, N-benzylhexylamine (1.15 g) was added to the residue obtained, and the mixture was stirred at 100°C for 1 hour. After completion of the reaction, the residue obtained was purified by silica gel column chromatography to obtain 866 mg of the objective compound (yield: 87%).
NMR(δ, $CDCl_3$):
0.87 (3H,t,J=7Hz), 0.96-2.08 (16H,m), 3.15-3.54 (4H,m), 4.25 (1H,d,J=6Hz), 4.47 (2H,s), 4.67 (1H,d,J=3Hz), 7.20-7.41 (5H,m)

Reference Example 33

Preparation of (S)-1-(t-Butoxycarbonel)-2-(oleoylaminomethyl)-pyrrolidine

(S)-2-Aminomethyl-1-(t-butoxycarbonyl)pyrrolidine (607 mg) and 903 mg of oleyl chloride were reacted in the same manner as in Reference Example 20 to obtain 1.16 g of the objective compound (yield: 83%).
Property: Oily
Mass Spectrometric Analysis:
Molecular formula: $C_{28}H_{52}N_2O_3$
Calculated : 464.3977
Found : 464.3969
NMR(δ, $CDCl_3$):
0.88 (3H,t,J=7Hz), 1.16-1.37 (20H,m), 1.16-1.37 (20H,m), 1.48 (9H,s), 1.53-2.09 (10H,m), 2.17 (2H,t,J=7Hz), 3.13-3.45 (4H,m), 3.97-4.10 (1H,m), 5.28-5.41 (2H,m), 7.42 (1H,brs)

Reference Example 34

Preparation of (R)-1-(t-Butoxycarbonel)-2-(oleoylaminomethyl)-pyrrolidine

(R)-2-Aminomethyl-1-(t-butoxycarbonyl)pyrrolidine (401 mg) and 600 mg of oleyl chloride were reacted in the same manner as in Reference Example 20 to obtain 816 mg of the objective compound (yield: 88%).
Property: Oily
Mass Spectrometric Analysis:
Molecular formula: $C_{28}H_{52}N_2O_3$
Calculated : 464.3977
Found : 464.3969
NMR(δ, $CDCl_3$):
0.88 (3H,t,J = 7Hz), 1.16-1.37 (20H,m), 1.48 (9H,s), 1.53-2.09 (10H,m), 2.17 (2H,t,J = 7Hz), 3.13-3.45 (4H,m), 3.97-4.10 (1H,m), 5.28-5.41 (2H,m), 7.42 (1H,brs)

Reference Example 35

(A) Preparation of (R)-1-(t-Butoxycarbonel)-2-(oleoylaminomethyl)pyrrolidine

3-Amino-1-(t-butoxycarbonyl)piperidine (400 mg) and 600 mg of oleyl chloride were reacted in the same manner as in Reference Example 20 to obtain 742 mg of the ojective compound (yield: 85%).
Property: Oily
Mass Spectrometric Analysis:
Molecular formula: $C_{28}H_{52}N_2O_3$
Calculated : 464.3977
Found : 464.3984
NMR(δ, $CDCl_3$):
0.88 (3H,t,J = 7Hz), 1.17-1.41 (20H,m), 1.49-1.84 (6H,m), 1.91-2.09 (4H,m), 2.15 (2H,t,J = 7Hz), 2.15 (2H,t,J = 7Hz), 3.22-3.53 (4H,m), 3.92-4.03 (1H,m), 5.28-5.41 (2H,m), 5.47-5.62 (1H,m)

(B) Preparation of 3-Oleoylaminopiperidine

A solution 464 mg of 1-(t-butoxycarbonyl)-3-(oleoylamino)piperidine in 6 ml of 50% trifluoroacetic acid-methylene chloride was stirred at room tempeature for 1 hour. After completion of the reaction, the solvent was distilled off. The residue obtained was dissolved in 20 ml of ethyl acetate. After adding saturated aqueous sodium carbonate solution to the solution to neutralize it, the organic layer was separated. The organic layer was washed with saturated saline, and dried over anhydrous sodium sulfate, followed by removal of the solvent therefrom by evaporation. The residue obtained was purified by silica gel column chromatography to obtain 332 g of the objective compound (yield: 91%).
Mass Spectrometric Analysis:
Molecular formula: $C_{23}H_{44}N_2O$
Calculated : 364.3453
Found : 364.3451
NMR(δ, $CDCl_3$):
0.88 (3H,t,J = 7Hz), 1.17-1.39 (20H,m), 1.54-1.86 (6H,m), 1.90-2.08 (4H,m), 2.20 (2H,t,J = 7Hz), 2.70-3.07 (4H,m), 4.00-4.07 (1H,m), 5.28-5.44 (2H,m), 6.49-6.63 (1H,m)

Reference Example 36

(A) Preparation of 1-(t-Butoxycarbonel)-3-[3-[N-(2,2,5,5-Tetramethyl-1,3-dioxane-4-carbonyl)amino]-propanoyl]aminopiperidine

3-Amino-1-(t-butoxycarbonyl)piperidine (681 mg) and 0.88 g of 3-[N-(2,2,5,5-Tetramethyl-1,3-dioxane-4-carbonyl)amino]propionic acid were reacted in the same manner as in Reference Example 25 to obtain 1.38 g of the objective compound (yield: 97%).
Property: Oily
Mass Spectrometric Analysis:

Molecular formula: $C_{22}H_{39}N_3O^6$
Calculated : 441.2838
Found : 441.2861
NMR(δ, $CDCl_3$):
0.97-0.98 (3H,m), 1.05 (3H,s), 1.42-1.43 (3H,m), 1.46 (10H,s), 2.43 (2H,t,J=7Hz), 3.05-3.27 (2H,m), 3.28 (1H,d,J=12Hz), 3.36-3.67 (5H,m), 3.69 (1H,d,J=12Hz), 3.87-4.00 (1H,m), 4.07-4.08 (1H,m), 5.93-6.02 (1H,m), 6.99-7.08 (1H,m)

Reference Example 37

Preparation of 1-Oleoyl-4-hydroxypiperidine

4-Hydroxypiperidine (2.02 g) and oleyl chloride (6 g) were reacted in the same manner as in Reference Example 20 to obtain 5.8 g of the objective compound (yield: 79%).
Property: Oily
IR(cm^{-1}, neat):
ν_{NH}3428,
ν_{CO}1628
Mass Spectrometric Analysis:
Molecular formula: $C_{23}H_{43}NO_2$
Calculated : 365.3293
Found : 365.3309
NMR(δ, $CDCl_3$):
1.88 (3H,t,J=7Hz), 1.22-1.40 (2H,s), 1.42-1.68 (4H,s), 1.82-2.06 (6H,m), 2.33 (2H,t,J=7Hz), 3.10-3.28 (2H,m), 3.68-3.82 (1H,m), 3.88-3.98 (1H,m), 4.02-4.18 (1H,m), 5.30-5.42 (2H,m)

Reference Example 38

Preparation of 1-Oleoyl-3-hydroxypiperidine

3-Hydroxypiperidine (1.38 g) and oleyl chloride (3.01 g) were reacted in the same manner as in Reference Example 20 to obtain 3.33 g of the objective compound (yield: 91%).
Property: Oily
IR(cm^{-1}, neat):
ν_{OH}3428
ν_{CO}1628
Mass Spectrometric Analysis:
Molecular formula: $C_{23}H_{43}NO_2$
Calculated : 365.3293
Found : 365.3286
NMR(δ, $CDCl_3$):
0.88 (3H,t,J=7Hz), 1.20-1.39 (20H,m), 1.40-2.09 (10H,m), 2.32 (2H,t,J=7Hz), 3.18-3.35 (2H,m), 3.67-3.84 (5H,m), 5.28-5.40 (2H,m)

Reference Example 39

Preparation of (R)-1-Oleoyl-2-pyrrolidinemethanol

D-2-pyrrolidinemethanol (405 mg) and oleyl chloride (1.20 g) were reacted in the same manner as in Reference Example 20 to obtain 1.46 g of the ojective compound (yield: 100%).
Property: Oily
IR(cm^{-1}, neat):

ν_{OH}3430,
ν_{CO}1625
Mass Spectrometric Analysis:
Molecular formula: $C_{23}H_{43}NO_2$
Calculated : 365.3293
Found : 365.3290
NMR(δ, $CDCl_3$):
0.83 (3H,t,J=7Hz), 1.18-1.48 (20H,m), 1.50-1.71 (3H,m), 1.79-2.10 (7H,m), 2.30 (2H,t,J=7Hz), 3.41-3.69 (4H,m), 4.18-4.27 (1H,m), 5.29-5.42 (2H,m)

Reference Example 40

Preparation of (S)-1-Oleoyl-2-pyrrolidinemethanol

L-2-pyrrolidinemethanol (506 mg) and oleyl chloride (1.50 g) were reacted in the same manner as in Reference Example 20 to obtain 1.73 g of the ojective compound (yield: 100%).
Property: Oily
IR(cm^{-1}, neat):
ν_{OH}3430,
ν_{CO}1625
Mass Spectrometric Analysis:
Molecular formula: $C_{23}H_{43}NO_2$
Calculated : 365.3293
Found : 365.3288
NMR(δ, $CDCl_3$):
0.88 (3H,t,J=7Hz), 1.88-1.48 (20H,m), 1.50-1.71 (3H,m), 1.79-2.10 (2H,t,J=7Hz), 2.30 (2H,t,J= 7Hz), 3.41-3.69 (4H,m), 4.18-4.27 (1H,m), 5.29-5.42 (2H,m)

Reference Example 41

Preparation of (S)-1-Stearoyl-2-pyrrolidinemethanol

L-2-pyrrolidinemethanol (101 mg) and stearyl chloride (303 mg) were reacted in the same manner as in Reference Example 20 to obtain 366 mg of the ojective compound (yield: 100%).
Property: Oily
Mass Spectrometric Analysis:
Molecular formula: $C_{23}H_{45}NO_2$
Calculated : 367.3450
Found : 367.3471
NMR(δ, $CDCl_3$):
0.88 (3H,t,J=7Hz), 1.17-1.47 (28H,m), 1.52-1.69 (3H,m), 1.79-2.11 (3H,m), 2.30 (2H,t,J=7Hz), 3.41-3.70 (4H,m), 4.17-4.28 (1H,m)

Reference Example 42

Preparation of (S)-1-Linoloyl-2-pyrrolidinemethanol

L-2-pyrrolidinemethanol (101 mg) and linolyl chloride (315 mg) were reacted in the same manner as in Reference Example 20 to obtain 360 mg of the ojective compound (yield: 100%).
Property: Oily
Mass Spectrometric Analysis:
Molecular formula: $C_{23}H_{41}NO_2$

Calculated : 363.3137
Found : 363.3152
NMR(δ, $CDCl_3$):
0.89 (3H,t,J = 7Hz), 1.21-1.44 (14H,m), 1.52-1.76 (3H,m), 1.77-2.11 (7H,m), 2.30 (2H,t,J = 7Hz), 2.77 (2H,t,J = 6Hz), 3.42-3.70 (4H,m), 4.18-4.28 (1H,m), 5.28-5.44 (4H,m)

Reference Example 43

(A) Preparation of (S)-1-Benzyloxycarbonyl-2-(1-oxo-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)-amino]propyl]-aminomethylpyrrolidine

(S)-2-Aminomethyl-1-benzyloxycarbonylpyrrolidine (234 mg) and 3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]-propionic acid (259 mg) were reacted in the same manner as in Reference Example 25 to obtain 424 mg of the ojective compound (yield: 89%).
Property: Oily
Mass Spectrometric Analysis:
Molecular formula: $C_{25}H_{37}N_3O_6$
Calculated : 475.2682
Found : 475.2701
NMR(δ, $CDCl_3$):
0.98 (3H,s), 1.04 (3H,s), 1.42 (3H,s), 1.46 (3H,s), 1.62-2.13 (4H,m),2.30-2.44 (2H,m), 3.16-3.62 (4H,m), 3.27 (1H,d,J = 12Hz), 3.68 (1H,d,J = 12Hz), 3.92-4.09 (1H,m), 4.07 (1H,s), 5.07-5.24 (2H,m), 7.05-7.16 (1H,m), 7.17-7.25 (1H,m), 7.28-7.48 (5H,m)

(B) Preparation of (S)-2-[1-Oxo-3-(2,2,5,5,-tetramethyl-1,3-dioxane-4-carbonyl)amino]propyl]-aminomethylpyrrolidine

(S)-1-Benzyloxycarbonyl-2-[1-Oxo-3-(2,2,5,5,-tetramethyl-1,3-dioxane-4-carbonylaminopropyl]-aminomethylpyrrolidine (424 mg) was reacted in the same manner as in Reference Example 7 to obtain 298 mg of the ojective compound (yield: 98%).
Property: Oily
Mass Spectrometric Analysis:
Molecular formula: $C_{17}H_{31}N_3O_4$
Calculated : 341.2314
Found : 341.2327
NMR(δ, $CDCl_3$):
1.00 (3H,s), 1.01 (3H,s), 1.45 (3H,s), 1.47 (3H,s), 1.58-1.78 (1H,m), 1.82-2.15 (3H,m), 2.36-2.56 (2H,m), 3.07-3.85 (9H,m), 4.12 (1H,s) 7.09 (1H,t,J = 6Hz), 7.48 (1H,t,J = 6Hz)

Reference Example 44

Preparation of (R)-1-Benzyloxycarbonyl-2-[1-oxo-3-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonylamino)propyl]-aminomethylpyrrolidine

(R)-2-Aminomethyl-1-benzyloxycarbonylpyrrolidine (750 mg) and 3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propionic acid (829 mg) were Example reacted in the same manner as in Reference Example 25 to obtain 1.10 g of the ojective compound (yield: 72%).
Property: Oily
Mass Spectrometric Analysis:
Molecular formula: $C_{25}H_{37}N_3O_6$
Calculated : 475.2682
Found : 475.2701
NMR(δ, $CDCl_3$):

0.96 (3H,s), 1.03 (3H,s), 1.41 (3H,s), 1.46 (3H,s), 1.65-2.17 (4H,m), 2.33 (2H,t,J = 6Hz), 3.14-3.32 (1H,m), 3.27 (1H,d,J = 12Hz), 3.35-3.63 (5H,m), 3.68 (1H,d,J = 12Hz), 3.93-4.09 (1H,s) 4.07 (1H,s), 5.07-5.28 (2H,m), 7.01-7.16 (1H,m), 7.20-7.44 (6H,m)

Reference Example 45

Preparation of 1-Oleoylpiperazine

A solution of 3.0 g of oleyl chloride in 10 mg of methylene chloride was added portion-wise to a solution of 4.3 g of piperazine in 30 ml of methylene chloride with stirring under ice cooling. After stirring the mixture in situ for additional 2 hours, 10 ml of water was added to the reaction mixture to separate the organic layer. The organic layer was further washed with water and dried over anhydrous sodium sulfate, followed by removal of the solvent by evaporation. The residue obtained was purified by silica gel column chromatography to obtain 2.68 g of the objective compound (yield: 76%).
Property: Oily
Mass Spectrometric Analysis:
Molecular formula: $C_{22}H_{42}N_2O$
Calculated : 350.3297
Found : 350.3308
NMR(δ, $CDCl_3$):
0.88 (3H,t,J = 7Hz), 1.18-1.39 (20H,m), 1.62-1.78 (2H,m), 1.91-2.07 (4H,m), 2.31 (2H,t,J = 7Hz), 2.90-3.00 (4H,m), 3.62-3.73 (4H,m), 3.62-3.73 (4H,m), 5.28-5.41 (2H,s)

Reference Example 46

Preparation of 1-Oleoyltetrahydro-1,4-diazepine

Tetrahydro-1,4-diazepine (5.0 g) and 3.0 g of oleyl chloride were Example reacted in the same manner as in Reference Example 44 to obtain 2.76 g of the objective compound (yield: 75%).
Property: Oily
Mass Spectrometric Analysis:
Molecular formula: $C_{23}H_{44}N_2O$
Calculated : 364.3453
Found : 364.3451
NMR(δ, $CDCl_3$):
0.88 (3H,t,J = 7Hz), 1.21-1.39 (20H,m), 1.59-2.08 8H,m), 2.27-2.38 (2H,m), 2.85-3.01 (4H,m), 3.50-3.68 (4H,m), 5.29-5.40 (2H,m)

Reference Example 47

(A) Preparation of 1-Benzyloxycarbonyl-4-[1-oxo-3-[N-(2,2,5,5,-tetramethyl-1,3-dioxane-4-carbonyl)amino]-propyl]amino]piperazine

3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propionic acid (5.18 g) and 6.60 g of 1-benzyloxycarbonyl-piperazine were reacted in the same manner as in Reference Example 25 to obtain 8.60 g of the ojective compound (yield: 94%).
Property: Oily
IR(cm^{-1}, neat): ν_{CO}1706, 1648
Mass Spectrometric Analysis:
Molecular formula: $C_{24}H_{35}N_3O_6$
Calculated : 461.2525
Found : 461.2537

NMR(δ, $CDCl_3$):
0.95 (3H,s), 1.03 (3H,s), 1.41 (3H,s), 1.46 (3H,s), 2.49-2.64 (2H,m), 3.27 (1H,d,J = 12Hz), 3.35-3.62 (10H,m), 3.67 (1H,d,J = 12Hz), 4.06 (1H,m), 5.15 (2H,s), 7.09 (1H,t,J = 6Hz), 7.28-7.43 (5H,m)

(B) Preparation of 1-[1-Oxo-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propyl]piperazine

1-Benzyloxycarbonyl-4-[1-oxo-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propyl]piperazine (1.4 g) was reacted in the same manner as in Reference Example 7 to obtain 0.993 g of the ojective compound (yield: 100%).
Property: Oily
Mass Spectrometric Analysis:
Molecular formula: $C_{16}H_{29}N_3O_4$
Calculated : 327.2158
Found : 327.2166
NMR(δ, $CDCl_3$):
0.97 (3H,s), 1.04 (3H,s), 1.42 (3H,s), 1.47 (3H,s), 2.47-2.63 (2H,m), 2.79-2.97 (4H,m), 3.40-3.76 (7H,m), 3.28 (1H,d,J = 12Hz), 4.07 (1H,s), 7.12 (1H,t,J = 6Hz)

Example 1

Preparation of N-[2-(Oleoylamino)phenyl]-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]-propanamide

1-Ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride (211 mg) was added to a solution of 372 mg of 2-oleoylaminoaniline and 259 mg of 3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propionic acid in 30 ml of methylene chloride under ice cooling. The mixture was stirred in situ for one night. The reaction mixture was washed with water and dried over anhydrous sodium sulfate, filtered and the filtate evaporation under vacuum to obtain the crude title products. Then, the residue obtained was subjected to silica gel column chromatography to obtain 500 mg of the title compound (yield: 82%).
Property: Oily
Specific Rotary Power $[\alpha]_D$: $+29.0^\circ$ (C = 1.0, $CHCl_3$)
IR(cm^{-1}, neat): $\nu_{C=O}$1664
Mass Spectrometric Analysis:
Molecular formula: $C_{36}H_{59}N_3O_5$
Calculated : 613.4454
Found : 613.4425
NMR(δ, $CDCl_3$):
0.88 (3H,t,J = 7Hz), 0.98 (3H,s), 1.04 (3H,s), 1.22-1.40 (20H,m), 1.42 (3H,s), 1.45 (3H,s), 1.62-1.77 (2H,m), 1.94-2.09 (4H,m), 2.36 (2H,t,J = 7Hz), 2.60 (2H,t,J = 6Hz), 3.28 (1H,d,J = 12Hz), 3.55-3.66 (2H,m), 3.69 (1H,d,J = 12Hz), 4.10 (1H,s), 5.29-5.42 (2H,m), 7.14-7.48 (2H,m), 7.39-7.48 (2H,m), 8.18 (1H,s), 8.60 (1H,brs)

Example 2

Preparation of N-[2-(Oleoylamino)phenyl]-3-[N-(2,4-diacetoxy-3,3-dimethyl-1-oxobutyl)amino]propanamide

2-Oleoylaminoaniline (744 mg) and 606 mg of 3-[N-(2,4-diacetoxy-3,3-dimethyl-1-oxobutyl)amino]-propionic acid were reacted in the same manner as in Example 1 to obtain 810 mg of the title compound (yield: 65%).
Property: Oily
Specific Rotary Power $[\alpha]_D$: $+6.30^\circ$ (C = 1.0, $CHCl_3$)
IR(cm^{-1}, neat): $\nu_{C=O}$1750, 1660
Mass Spectrometric Analysis:
Molecular formula: $C_{37}H_{59}N_3O_7$

Calculated : 657.4352
Found : 657.4369
NMR(δ, $CDCl_3$):
0.88 (3H,t,J = 7Hz), 1.02 (3H,s), 1.06 (3H,s), 1.23-1.45 (20H,m), 1.67-1.79 (2H,m), 1.95-2.09 (4H,m), 2.03 (2H,s), 2.04 (3H,s), 2.42 (2H,t,J = 7Hz), 2.58 (2H,t,J = 6Hz), 3.49-3.72 (2H,m), 3.83 (1H,d,J = 11Hz), 4.02 (1H,d,J = 11Hz), 4.89 (1H,s), 5.30-5.44 (2H,m), 6.72-6.81 (1H,m), 7.19-7.32 (2H,m), 7.37 (1H,d,J = 8Hz), 7.59 (1H,d,J = 8Hz), 7.88 (1H,brs), 8.19 (1H,brs)

Example 3

Preparation of N-[2-(Oleoylamino)phenyl]-3-[N-(2,4-dihydroxy-3,3-dimethyl-1-oxobutyl)amino]propanamide

An aqueous 1N sodium hydroxide solution (1,5 ml) was added to a solution of 470 mg of N-[2-(oleoylamino)phenyl]-3-[N-(2,4-diacetoxy-3,3-dimethyl-1-oxobutyl)amino]propanamide in 4 ml of methanol with stirring at room tempeature, and the mixture was stirred for additional 30 minutes. After completion of the reaction, 10 ml of water was added to the reaction mixture, which was then extracted with 20 ml of methylene chloride. The methylene chloride layer was washed with water and then with brine, and dried over anhydrous sodium sulfate. After removing the solvent by evaporation, the residue obtained was subjected to silica gel column chromatography to obtain 377 mg of the title compound (yield: 94%).
Property: Oily
Specific Rotary Power $[\alpha]_D$: $+21.9^\circ$ (C = 1.0, $CHCl_3$)
IR(cm^{-1}, neat): ν_{NH}, ν_{OH}, $\nu_{C=O}$1660
Mass Spectrometric Analysis:
Molecular formula: $C_{33}H_{55}N_3O_5$
Calculated : 573.4141
Found : 573.4146
NMR(δ, $CDCl_3$):
0.88 (3H,t,J = 7Hz), 0.90 (3H,s), 0.97 (3H,s), 1.20-1.42 (20H,m), 1.62-1.76 (2H,m), 1.94-2.01 (4H,m), 2.38 (2H,t,J = 7Hz), 2.52 (2H,t,J = 6Hz), 3.44 (2H,s), 3.49-3.72 (2H,m), 3.94 (1H,s), 5.28-5.42 (2H,m), 7.13-7.21 (2H,m), 7.29-7.49 (3H,m), 8.31 (1H,s), 8.69 (1H,s)

Example 4

Preparation of N-[2-(Linoleoylamino)phenyl]-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]-propanamide

A solution of 349 mg of N-(2-aminophenyl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]-propanamide, 280 mg of linolic acid and 227 mg of dicyclohexylcarbodiimide in 15 ml of toluene was heated under reflux for 2 hours. After cooling the reaction mixture, the crystals formed were filtered. The filtrate was concentrated and the residue obtained was subjected to silica gel column chromatography to obtain 266 mg of the title compound (yield: 44%).
Property: Oily
Specific Rotary Power $[\alpha]_D$: $+27.3^\circ$ (C = 1.0, $CHCl_3$)
IR(cm^{-1}, neat): $\nu_{C=O}$1662
Mass Spectrometric Analysis:
Molecular formula: $C_{36}H_{57}N_3O_5$
Calculated : 611.4298
Found : 611.4264
NMR(δ, $CDCl_3$):
0.89 (3H,t,J = 7Hz), 0.98 (3H,s), 1.04 (3H,s), 1.23-1.44 (14H,m), 1.42 (3H,s), 1.45 (3H,s), 1.65-1.77 (2H,m), 1.91-2.10 (4H,m), 2.37 (2H,t,J = 7Hz), 2.62 (2H,t,J = 6Hz), 2.77 (2H,t,J = 6Hz), 3.28 (1H,d,J = 12Hz), 3.56-3.67 (2H,m), 3.69 (1H,d,J = 12Hz), 4.10 (1H,m), 5.29-5.44 (4H,m), 7.09 (1H,t,J = 6Hz), 7.15-7.22 (2H,m), 7.42-7.49 (2H,m), 8.11 (1H,s), 8.55 (1H,s)

Example 5

Preparation of N-[2-(Linoleoylamino)phenyl]-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]-propanamide

N-(2-Aminophenyl)-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propanamide (349 mg) and 278 mg of linoleic acid were reacted in the same manner as in Example 4 to obtain 271 mg of the title compound (yield: 45%).

Property: Oily

Specific Rotary Power $[\alpha]_D$: $+26.2^\circ$ (C = 1.0, $CHCl_3$)

IR(cm^{-1}, neat): $\nu_{C=O}$1666

Mass Spectrometric Analysis:

Molecular formula: $C_{36}H_{55}N_3O_5$

Calculated : 609.4141

Found : 609.4144

NMR(δ, $CDCl_3$):

0.97 (3H,t,J = 7Hz), 0.98 (3H,s), 1.04 (3H,s), 1.23-1.43 (8H,m), 1.42 (3H,s), 1.46 (3H,s), 1.65-1.77 (2H,m), 2.03-2.12 (4H,m), 2.38 (2H,t,J = 7Hz), 2.63 (2H,t,J = 6Hz), 2.75-2.83 (4H,m), 3.28 (1H,d,J = 12Hz), 3.58-3.70 (2H,m), 3.69 (1H,d,J = 12Hz), 4.11 (1H,s), 5.29-5.43 (6H,m), 7.09 (1H,t,J = 6Hz), 7.17-7.22 (2H,m), 7.42-7.51 (2H,m), 8.06 (1H,brs), 8.51 (1H,brs)

Example 6

Preparation of N-[2-(Stearoylamino)phenyl]-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]-propanamide

To a solution of 349 mg of N-(2-aminophenyl)-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]-propanamide in 20 ml of methylene chloride were added portion-wise 1 ml of pyridine and then a solution of 303 mg of stearoyl chloride in 3 ml of methylene chloride with stirring under ice cooling. The mixture obtained was stirred for additional 1 hour. The reaction mixture was then washed with water and dried over anhydrous sodium sulfate, followed by removal of the solvent by evaporation. The residue obtained was subjected to silica gel column chromatography to obtain 507 mg of the title compound (yield: 82%).

Property: Oily

Specific Rotary Power $[\alpha]_D$: $+27.3^\circ$ (C = 1.0, $CHCl_3$)

IR(cm^{-1}, neat): $\nu_{C=O}$1664

Mass Spectrometric Analysis:

Molecular formula: $C_{36}H_{61}N_3O_5$

Calculated : 615.4611

Found : 615.4582

NMR(δ, $CDCl_3$):

0.88 (3H,t,J = 7Hz), 0.98 (3H,s), 1.04 (3H,s), 1.20-1.43 (28H,m), 1.42 (3H,s), 1.46 (3H,s), 1.68-1.78 (2H,m), 2.40 (2H,t,J = 7Hz), 2.65 (2H,t,J = 6Hz), 3.28 (1H,d,J = 12Hz), 3.58-3.72 (2H,m), 3.69 (1H,d,J = 12Hz), 4.11 (1H,s), 7.08 (1H,t,J = 6Hz), 7.17-7.23 (2H,m), 7.42-7.53 (2H,m), 8.00 (1H,s), 8.49 (1H,s)

Example 7

Preparation of N-[2-(Lauroylamino)phenyl]-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane 4-carbonyl)amino]-propanamide

N-(2-Aminophenyl)-3-[N-(2,2,5,5-tetramethyl -1,3-dioxane-4-carbonyl)amino]propanamide (349 mg) and 219 mg of lauroyl chloride were reacted in the same manner as in Example 6 to obtain 454 mg of the title compound (yield: 86%).

Property: Oily

Specific Rotary Power $[\alpha]_D$: +31.7° (C = 1.0, $CHCl_3$)
IR(cm^{-1}, neat): $\nu_{C=O}$1664
Mass Spectrometric Analysis:
Molecular formula: $C_{30}H_{49}N_3O_5$
Calculated : 531.3672
Found : 531.3692
NMR(δ, $CDCl_3$):
0.88 (3H,t,J = 7Hz), 0.98 (3H,s), 1.04 (3H,s), 1.21-1.43 (16H,m), 1.42 (3H,s), 1.45 (3H,s), 1.65-1.77 (2H,m), 2.38 (2H,t,J = 7Hz), 2.61 (2H,t,J = 6Hz), 3.28 (1H,d,J = 12Hz), 3.55-3.68 (2H,m), 3.69 (1H,d,J = 12Hz), 4.10 (1H,s), 7.09 (1H,t,J = 12Hz), 7.14-7.22 (2H,m), 7.40-7.49 (2H,m), 8.13 (1H,s), 8.57 (1H,s)

Example 8

Preparation of N-[2-(Octanoylamino)phenyl]-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]-propanamide

N-(2-Aminophenyl)-3-[N-(2,2,5,5-tetramethyl -1,3-dioxane-4-carbonyl)amino]propanamide (349 mg) and 163 mg of octanoyl chloride were reacted in the same manner as in Example 6 to obtain 413 mg of the title compound (yield: 87%).
Property: Oily
Specific Rotary Power $[\alpha]_D$: +35.1° (C = 1.0, $CHCl_3$)
IR(cm^{-1}, neat): $\nu_{C=O}$1664
Mass Spectrometric Analysis:
Molecular formula: $C_{26}H_{41}N_3O_5$
Calculated : 475.3046
Found : 475.3039
NMR(δ, $CDCl_3$):
0.89 (3H,t,J = 7Hz), 0.98 (3H,s), 1.04 (3H,s), 1.23-1.38 (8H,m), 1.42 (3H,s), 1.45 (3H,s), 1.62-1.77 (2H,m), 2.37 (2H,t,J = 7Hz), 2.60 (2H,t,J = 6Hz), 3.28 (1H,d,J = 12Hz), 3.57-3.71 (2H,m), 3.69 (1H,d,J = 12Hz), 4.10 (1H,s), 7.09 (1H,t,J = 6Hz), 7.14-7.21 (2H,m), 7.40-7.49 (2H,m), 8.16 (1H,s), 8.59 (1H,s)

Example 9

Preparation of N-[3-(Linoleylamino)phenyl]-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]-propanamide

3-Linoleoylaminoaniline (555 mg) and 389 mg of 3-[N-(2-Aminophenyl)-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propionic acid were reacted in the same manner as in Example 1 to obtain 786 mg of the title compound (yield: 86%).
Property: Oily
Specific Rotary Power $[\alpha]_D$: +30.8° (C = 1.0, $CHCl_3$)
IR(cm^{-1}, neat): $\nu_{C=O}$1664
Mass Spectrometric Analysis:
Molecular formula: $C_{36}H_{57}N_3O_5$
Calculated : 611.4298
Found : 611.4389
NMR(δ, $CDCl_3$):
0.89 (3H,t,J = 7Hz), 0.96 (3H,s), 1.03 (3H,s), 1.23-1.42 (14H,m), 1.41 (3H,s), 1.45 (3H,s), 1.62-1.78 (2H,m), 1.99-2.08 (4H,m), 2.33 (2H,t,J = 7Hz), 2.64 (2H,t,J = 6Hz), 2.77 (2H,t,J = 6Hz), 3.26 (1H,d,J = 12Hz), 3.52-3.73 (2H,m), 3.68 (1H,d,J = 12Hz), 4.11 (1H,s), 5.29-5.43 (2H,m), 7.09 (1H,t,J = 6Hz), 7.22-7.29 (2H,m), 7.34-7.42 (2H,m), 7.79 (1H,s), 8.36 (1H,brs)

Example 10

Preparation of N-[3-(Oleoylamino)phenyl]-3-[N-(2,4-diacetoxy-3,3-dimethyl 1-oxobutyl)amino]propanamide

3-Oleoylaminoaniline (744 mg) and 606 mg of 3-[N-(2,4-diacetoxy-3,3-dimethyl-1-oxobutyl)amino]-propionic acid were reacted in the same manner as in Example 1 to obtain 860 mg of the title compound (yield: 65%).
Property: Oily
Specific Rotary Power $[\alpha]_D$: +12.8° (C = 1.0, $CHCl_3$)
IR(cm^{-1}, neat): $\nu_{C=O}$1750, 1668
Mass Spectrometric Analysis:
Molecular formula: $C_{37}H_{59}N_3O_7$
Calculated : 657.4352
Found : 657.4342
NMR(δ, $CDCl_3$):
0.89 (3H,t,J = 7Hz), 1.03 (3H,s), 1.05 (3H,s), 1.21-1.42 (20H,m), 1.61-1.77 (2H,m), 1.97-2.13 (4H,m), 2.05 (3H,s), 2.10 (3H,s), 2.33 (2H,t,J = 7Hz), 2.56 (2H,t,J = 6Hz), 3.55-3.68 (2H,m), 3.87 (1H,d,J = 11Hz), 4.02 (1H,d,J = 10Hz), 4.91 (1H,s), 5.29-5.42 (2H,m), 6.84 (1H,d,J = 6Hz), 7.25 (1H,d,J = 8Hz), 7.33 (1H,d,J = 8Hz), 7.41 (1H,d,J = 8Hz), 7.54 (1H,brs), 7.63 (1H,brs), 8.01 (1H,brs)

Example 11

Preparation of N-[3-(Oleoylamino)phenyl]-3-[N-(2,4-dihydroxy-3,3-dimethyl-1-oxobutyl)amino]propanamide

N-[3-(Oleoylamino)phenyl]-3-[N-(2,4-diacetoxy -3,3-dimethyl-1-oxobutyl)amino]propanamide (470 mg) was reacted in the same manner as in Example 3 to obtain 378 mg of the title compound (yield: 94%).
Property: Oily
Specific Rotary Power $[\alpha]_D$: +23.1° (C = 1.0, $CHCl_3$)
IR(cm^{-1}, neat): $\nu_{C=O}$1660
Mass Spectrometric Analysis:
Molecular formula: $C_{33}H_{55}N_3O_5$
Calculated : 573.4141
Found : 573.4146
NMR(δ, $CDCl_3$):
0.88 (3H,t,J = 7Hz), 0.91 (3H,s), 0.98 (3H,s), 1.21-1.42 (20H,m), 1.62-1.73 (2H,m), 1.93-2.10 (4H,m), 2.32 (2H,t,J = 7Hz), 2.52 (2H,brs), 3.50-3.70 (2H,m), 4.01 (1H,s), 5.29-5.43 (2H,m), 7.17-7.31 (3H,m), 7.53-7.62 (1H,m), 7.71 (1H,brs), 7.92-8.00 (1H,m), 8.46-8.55 (1H,m)

Example 12

Preparation of N-[4-(Oleoylamino)phenyl]-3-[N-(2,4-diacetoxy-3,3-dimethyl-1-oxobutyl)amino]propanamide

4-Oleoylaminoaniline (744 mg) and 606 mg of 3-[N-(2,4-diacetoxy-3,3-dimethyl-1-oxobutyl)amino]-propionic acid were reacted in the same manner as in Example 1 to obtain 900 mg of the title compound (yield: 69%).
Property: Oily
Specific Rotary Power $[\alpha]_D$: +17.3° (C = 1.0, $CHCl_3$)
IR(cm^{-1}, neat): $\nu_{C=O}$1754, 1660
Mass Spectrometric Analysis:
Molecular formula: $C_{37}H_{59}N_3O_7$
Calculated : 657.4352
Found : 657.4357
NMR(δ, $CDCl_3$):
0.88 (3H,t,J = 7Hz), 1.02 (3H,s), 1.05 (3H,s), 1.19-1.43 (20H,m), 1.66-1.77 (2H,m), 1.92-2.09 (4H,m), 2.05 (3H,s), 2.08 (3H,s), 2.34 (1H,t,J = 7Hz), 2.56 (2H,t,J = 6Hz), 3.50-3.71 (2H,m), 3.84 (1H,d,J = 11Hz), 4.02 (1H,d,J = 11Hz), 4.89 (1H,s), 5.29-5.42 (2H,m), 6.76 (1H,t,J = 6Hz), 7.13 (1H,brs), 7.44-7.52 (4H,m), 7.64

(1H,brs)

Example 13

Preparation of N-[4-(Oleoylamino)phenyl]-3-[N-(2,4-dihydroxy-3,3-dimethyl-1-oxobutyl)amino]propanamide

N-[4-(Oleoylamino)phenyl]-3-[N-(2,4-diacetoxy -3,3-dimethyl-1-oxobutyl)amino]propanamide (657 mg) was reacted in the same manner as in Example 3 to obtain 495 mg of the title compound (yield: 86%).
Property: Melting Point 146.2 - 148.1 °C
Specific Rotary Power $[\alpha]_D$: +10.2° (C = 1.0, $CHCl_3$)
IR(cm^{-1}, neat): $\nu_{C=O}$1664
Mass Spectrometric Analysis:
Molecular formula: $C_{37}H_{59}N_3O_7$
Calculated : 573.4141
Found : 573.4144
NMR(δ, $CDCl_3$):
0.88 (3H,t,J = 7Hz), 0.89 (3H,s), 0.95 (3H,s), 1.15-1.43 (20H,m), 1.62-1.77 (2H,m), 1.92-2.08 (4H,m), 2.34 (2H,t,J = 7Hz), 2.56 (2H,brs), 3.45 (2H,s), 3.58 (2H,brs), 3.96 (1H,s), 5.27-5.42 (2H,m), 7.25-7.39 (4H,m), 7.48 (1H,brs), 7.71 (1H,brs), 8.54 (1H,brs)

Example 14

Preparation of N-[4-(Lauroylamino)phenyl]-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]-propanamide

4-Lauroylaminoaniline (250 mg) and 223 mg of 3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]-propionic acid were reacted in the same manner as in Example 1 to obtain 381 mg of the title compound (yield: 72%).
Property: Melting Point 144.3 - 144.9 °C
Specific Rotary Power $[\alpha]_D$: +34.6° (C = 1.0, $CHCl_3$)
IR(cm^{-1}, neat): $\nu_{C=O}$1664
Mass Spectrometric Analysis:
Molecular formula: $C_{30}H_{49}N_3O_5$
Calculated : 531.3672
Found : 531.3675
NMR(δ, $CDCl_3$):
0.88 (3H,t,J = 7Hz), 0.95 (3H,s), 1.04 (3H,s), 1.22-1.40 (16H,m), 1.41 (3H,s), 1.45 (3H,s), 1.68-1.80 (2H,m), 2.34 (2H,t,J = 7Hz), 2.65 (2H,t,J = 6Hz), 2.34 (2H,t,J = 7Hz), 2.65 (2H,t,J = 7Hz), 3.27 (1H,d,J = 12Hz), 3.50-3.75 (2H,m), 3.68 (1H,d,J = 12Hz), 4.10 (1H,s), 7.08 (1H,d,J = 6Hz), 7.16 (1H,s), 7.46 (2H,d,J = 8Hz), 7.49 (2H,d,J = 8Hz), 8.09 (1H,s)

Example 15

Preparation of 2-(Oleoylamino)phenyl 3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propionate

A solution of 303 mg of 2-oleoylaminophenol, 259 mg of 3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propionic acid, 227 mg of dicyclohexylcarbodiimide and 122 mg of 4-dimethylamino pyridine in 15 ml of toluene was heated under reflux for 2 hours. After cooling the reaction mixture, the crystals formed were filtered. The filtrate was concentrated and the residue obtained was subjected to silica gel column chromatography to obtain 445 mg of the title compound (yield: 72%).
Property: Oily
Specific Rotary Power $[\alpha]_D$: +26.9° (C = 1.0, $CHCl_3$)

IR(cm^{-1}, neat): $\nu_{C=O}$1772, 1658
Mass Spectrometric Analysis:
Molecular formula: $C_{36}H_{58}N_2O_6$
Calculated : 614.4294
Found : 614.4271
NMR(δ, $CDCl_3$):
0.88 (3H,t,J = 7Hz), 0.99 (3H,s), 1.00 (3H,s), 1.22-1.43 (20H,m), 1.43 (3H,s), 1.47 (3H,s), 1.65-1.78 (2H,m), 1.93-2.08 (4H,m), 2.44 (2H,t,J = 7Hz), 2.80 (2H,t,J = 6Hz), 3.28 (1H,d,J = 12Hz), 3.69-3.82 (2H,m), 3.68 (1H,d,J = 12Hz), 4.09 (1H,s), 5.29-5.39 (2H,m), 7.00 (1H,t,J = 6Hz), 7.06-7.12 (2H,m), 7.19-7.27 (1H,m), 8.22 (1H,d,J = 8Hz), 8.39 (1H,s)

Example 16

Preparation of 4-(Oleoylamino)phenyl 3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propionate

4-Hydroxyoleoylanilide (565 mg) and 393 mg of 3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)-amino]propionic acid were reacted in the same manner as in Example 15 to obtain 930 mg of the title compound (yield: 99%).
Property: Oily
Specific Rotary Power $[\alpha]_D$: +18.8° (C = 1.0, $CHCl_3$)
IR(cm^{-1}, neat): $\nu_{C=O}$1760, 1662
Mass Spectrometric Analysis:
Molecular formula: $C_{36}H_{58}N_2O_6$
Calculated : 614.4294
Found : 614.4312
NMR(δ, $CDCl_3$):
0.88 (3H,t,J = 7Hz), 1.00 (3H,s), 1.06 (3H,s), 1.23-1.43 (20H,m), 1.43 (3H,s), 1.45 (3H,s), 1.65-1.78 (2H,m), 1.93-2.09 (4H,m), 2.35 (2H,t,J = 7Hz), 2.82 (2H,t,J = 6Hz), 3.29 (1H,d,J = 12Hz), 3.52-3.77 (2H,m), 3.70 (1H,d,J = 12Hz), 4.11 (1H,s), 5.29-5.41 (2H,m), 6.98-7.07 (1H,m), 7.03 (2H,d,J = 8Hz), 7.54 (2H,d,J = 8Hz) 7.18 (1H,s)

Example 17

Preparation of 4-(Oleoylamino)phenyl 3-[N-(2,4-diacetoxy-3,3-dimethyl-1-oxobutyl)amino]propionate

4-Oleoylaminophenol (372 mg) and 259 mg of 3-[N-(2,4-diacetoxy-3,3-dimethyl-1-oxobutyl)amino]-propionic acid were reacted in the same manner as in Example 1 to obtain 255 mg of the title compound (yield: 39%).
Property: Oily
Specific Rotary Power $[\alpha]_D$: +19.4° (C = 1.0, $CHCl_3$)
IR(cm^{-1}, neat): $\nu_{C=O}$1750, 1666
Mass Spectrometric Analysis:
Molecular formula: $C_{37}H_{58}N_2O_8$
Calculated : 658.4193
Found : 658.4191
NMR(δ, $CDCl_3$):
0.88 (3H,t,J = 7Hz), 1.03 (3H,s), 1.08 (3H,s), 1.22-1.42 (20H,m), 1.66-1.78 (2H,m), 1.96-2.07 (4H,m), 2.01 (3H,s), 2.04 (3H,s), 2.35 (2H,t,J = 7Hz), 2.77-2.82 (2H,m), 3.84 (1H,d,J = 12Hz), 4.05 (1H,d,J = 12Hz), 4.97 (1H,s), 5.27-5.42 (2H,m), 6.61 (1H,t,J = 6Hz), 7.04 (2H,d,J = 8Hz), 7.15 (1H,brs), 7.54 (2H,d,J = 8Hz)

Example 18

Preparation of 4-(Oleoylamino)phenyl 3-[N-(2,4-dibenzyloxy-3,3-dimethyl-1-oxobutyl)amino]propionate

3-[N-(2,4-Dibenzyloxy-3,3-dimethyl-1-oxobutyl)amino]propionic acid (200 mg) and 186 mg of 4-(Oleoylamino)phenol were reacted in the same manner as in Example 15 to obtain 312 mg of the title compound (yield: 97%).
Property: Oily
Specific Rotary Power $[\alpha]_D$: +19.3° (C = 1.0, $CHCl_3$)
IR(cm^{-1}, neat): $\nu_{C=O}$1760, 1652
Mass Spectrometric Analysis:
Molecular formula: $C_{47}H_{66}N_2O_6$
Calculated : 754.4920
Found : 754.4890
NMR(δ, $CDCl_3$):
0.88 (3H,t,J = 7Hz), 0.94 (3H,s), 1.05 (3H,s), 1.20-1.41 (20H,m), 1.64 1.75 (2H,m), 1.95-2.09 (4H,m), 2.34 (3H,s), 2.75 (3H,t,J = 7Hz), 3.23 (1H,t,J = 9Hz), 3.61 (2H,dd,J = 6Hz,6Hz), 3.41 (1H,d,J = 9Hz), 3.90 (1H,s), 4.34-4.55 (4H,m), 5.29-5.42 (2H,m), 6.95 (2H,d,J = 8Hz), 7.03 (1H,d,J = 8Hz), 7.23-7.39 (10H,m), 7.50 (2H,d,J = 8Hz)

Example 19

Preparation of 4-(Oleoylamino)phenyl 3-[N-(2,4-dihydroxy-3,3-dimethyl-1-oxobutyl)amino]propionate

A solution of 500 mg of 4-(Oleoylamino)phenyl 3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]-propionate in a mixed solvent composed of 20 ml of acetic acid and 10 ml of water was stirred at room temperature for 15 hours. 20 ml of water was then added to the reaction mixture, which was then extracted with methylene chloride. The methylene chloride layer was washed with water, and dried over anhydrous sodium sulfate. After removal of the solvent under vacuum evaporation, the residue obtained was subjected to silica gel column chromatography to obtain 395 mg of the title compound (yield: 85%).
Property: Oily
Specific Rotary Power $[\alpha]_D$: +14.3° (C = 1.0, $CHCl_3$)
IR(cm^{-1}, neat): $\nu_{C=O}$1758, 1662
Mass Spectrometric Analysis:
Molecular formula: $C_{33}H_{54}N_2O_6$
Calculated : 574.3981
Found : 574.3952
NMR(δ, $CDCl_3$):
0.88 (3H,t,J = 7Hz), 0.93 (3H,s), 1.03 (3H,s), 1.21-1.43 (20H,m), 1.65-1.71 (2H,m), 1.71-2.18 (6H,m), 2.35 (2H,t,J = 7Hz), 3.82 (2H,t,J = 6Hz), 3.50 (1H,d,J = 10Hz,), 3.60-3.74 (2H,m), 3.54 (1H,d,J = 10Hz), 4.04 (1H,s), 5.28-5.43 (2H,m), 7.15-7.26 (2H,m), 7.04 (2H,d,J = 8Hz), 7.52 (2H,d,J = 8Hz)

Example 20

Preparation of 4-(Linolenoylamino)phenyl 3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propionate

4-Linolenoylaminoanilide (369 mg) and 259 mg of 3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)-amino]propionic acid were reacted in the same manner as in Example 15 to obtain 431 mg of the title compound (yield: 71%).
Property: Oily
Specific Rotary Power $[\alpha]_D$: +20.6° (C = 1.0, $CHCl_3$)
IR(cm^{-1}, neat): $\nu_{C=O}$1760, 1662
Mass Spectrometric Analysis:
Molecular formula: $C_{35}H_{52}N_2O_6$
Calculated : 608.3825
Found : 608.3836

NMR(δ, $CDCl_3$):
0.98 (3H,t,J = 7Hz), 1.00 (3H,s), 1.06 (3H,s), 1.24-1.42 (8H,m), 1.43 (3H,s), 1.45 (3H,s), 1.64-1.78 (2H,m), 2.01-2.12 (4H,m), 2.35 (2H,t,J = 7Hz), 2.72-2.86 (6H,m), 3.29 (1H,d,J = 12Hz), 3.52-3.77 (2H,m), 3.70 (1H,d,J = 12Hz), 4.11 (1H,s), 5.28-5.44 (6H,m), 7.00 (1H,t,J = 6Hz), 7.03 (2H,d,J = 8Hz), 7.15 (1H,s), 7.54 (2H,d,J = 8Hz)

Example 21

Preparation of N-[4-(Oleoylthio)phenyl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propanamide

S-4-Aminophenyl thiooleate (778 mg) and 518 mg of 3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)-amino]propionic acid were reacted in the same manner as in Example 1 to obtain 1.05 g of the title compound (yield: 83%).
Property: Oily
Specific Rotary Power $[\alpha]_D$: $+29.8^\circ$ (C = 1.0, $CHCl_3$)
IR(cm^{-1}, neat): $\nu_{C=O}$1696, 1666
Mass Spectrometric Analysis:
Molecular formula: $C_{36}H_{58}N_2O_5S$
Calculated : 630.4066
Found : 630.4069
NMR(δ, $CDCl_3$):
0.88 (3H,t,J = 7Hz), 0.90 (3H,s), 1.04 (3H,s), 1.21-1.39 (20H,m), 1.42 (3H,s), 1.46 (3H,s), 1.60-1.74 (2H,m), 1.92-2.09 (4H,m), 2.63 (2H,t,J = 7Hz), 2.68 (2H,t,J = 6Hz), 3.28 (1H,d,J = 12Hz), 3.54-3.75 (2H,m), 3.68 (1H,d,J = 12Hz), 4.10(1H,s), 5.30-5.42 (2H,m), 7.08 (1H,t,J = 6Hz), 7.35 (2H,d,J = 8Hz), 7.63 (2H,d,J = 8Hz), 8.29 (1H,s)

Example 22

Preparation of N-[4-(Oleoylthio)phenyl-3-[N-(2,4-dihydro-3,3-dimethyl-1-oxobutyl)amino]propanamide

N-[4-(Oleoylthio)phenyl]-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propanamide (500 mg) was reacted in the same manner as in Example 19 to obtain 406 mg of the title compound (yield: 87%).
Property: Oily
Specific Rotary Power $[\alpha]_D$: $+16.0^\circ$ (C = 1.0, $CHCl_3$)
IR(cm^{-1}, neat): $\nu_{C=O}$1670
Mass Spectrometric Analysis:
Molecular formula: $C_{33}H_{54}N_2O_5S$
Calculated : 590.3753
Found : 590.3731
NMR(δ, $CDCl_3$):
0.88 (3H,t,J = 7Hz), 0.91 (3H,s), 0.98 (3H,s), 1.20-1.42 (20H,m), 1.65-1.77 (2H,m), 1.93-2.09 (4H,m), 2.57 (2H,t,J = 6Hz), 2.66 (2H,t,J = 6Hz), 3.25 (2H,brs), 3.48 (2H,brs), 3.50-3.69 (2H,m), 4.01 (1H,s), 5.30-5.42 (2H,m), 7.28 (2H,t,J = 9Hz), 7.50 (2H,d,J = 9Hz), 7.54 (2H,d,J = 6Hz), 8.62 (1H,s)

Example 23

Preparation of S-4-(Oleoylamino)phenyl 3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]-propanethioate

S-4-Aminophenyl 3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propanethioate (281 mg) and 229 mg oleoyl chloroide were reacted in the same manner as in Example 6 to obtain 185 mg of the title compound (yield: 38%).

Property: Oily
Sepcific Rotary Power $[\alpha]_D$: +7.90° (C = 1.0, $CHCl_3$)
IR(cm^{-1}, neat): $\nu_{C=O}$1704, 1652
Mass Spectrometric Analysis:
Molecular formula: $C_{36}H_{58}N_2O_5S$
Calculated : 630.4066
Found : 630.4044
NMR(δ, $CDCl_3$):
0.88 (3H,t,J = 7Hz), 1.00 (3H,s), 1.05 (3H,s), 1.15-1.42 (20H,m), 1.42 (3H,s), 1.45 (3H,s), 1.65-1.79 (2H,m), 1.92-2.08 (4H,m), 2.37 (2H,t,J = 7Hz), 2.82-3.01 (2H,m), 3.29 (1H,d,J = 6Hz), 3.47-3.69 (2H,m), 3.69 (1H,d,J = 12Hz), 4.09 (1H,s), 5.29-5.42 (2H,m), 6.85-6.92 (1H,m), 7.16 (1H,s), 7.34 (2H,d,J = 8Hz), 7.60 (2H,d,J = 8Hz)

Example 24

Preparation of S-4-(Oleoylamino)phenyl 3-[N-(2,4-dihydroxy-3,3-dimethyl-1-oxobutyl)amino]propanethioate

S-4-(Oleoylamino)phenyl 3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propanethioate (483 mg) was reacted in the same manner as in Example 19 to obtain 404 mg of the title compound (yield: 89%).
Property: Oily
Specific Rotary Power $[\alpha]_D$: +8.80° (C = 1.0, $CHCl_3$)
IR(cm^{-1}, neat): $\nu_{C=O}$1698, 1670
Mass Spectrometric Analysis:
Molecular formula: $C_{33}H_{54}N_2O_5S$
Calculated : 590.3753
Found : 590.3762
NMR(δ, $CDCl_3$):
0.88 (3H,t,J = 7Hz), 0.91 (3H,s), 1.02 (3H,s), 1.19-1.43 (20H,m), 1.67-1.79 (2H,m), 1.87-2.17 (6H,m), 2.36 (2H,t,J = 7Hz), 2.92 (2H,t,J = 6Hz), 3.48 (1H,d,J = 12Hz), 3.53 (1H,d,J = 12Hz), 3.56-3.65 (2H,m), 4.01 (1H,s), 5.28-5.42 (2H,m), 7.12 (1H,t,J = 6Hz), 7.26 (1H,brs), 7.34 (2H,d,J = 8Hz), 7.59 (2H,d,J = 8Hz)

Example 25

Preparation of S-4-(Oleoylamino)phenyl 3-[N-(2,4-diacetoxy-3,3-dimethyl-1-oxobutyl)amino]propanethioate

S-4-aminophenyl 3-[N-(2,4-diacetoxy-3,3-dimethyl-1-oxobutyl)amino]propanethioate (276 mg) and 196 mg of oleoyl chloride were reacted in the same manner as in Example 6 to obtain 304 mg of the title compound (yield: 69%).
Property: Oily
Specific Rotary Power $[\alpha]_D$: +21.3° (C = 1.0, $CHCl_3$)
IR(cm^{-1}, neat): $\nu_{C=O}$1750, 1670
Mass Spectrometric Analysis:
Molecular formula: $C_{37}H_{58}N_2O_7S$
Calculated : 674,3964
Found : 674.3976
NMR(δ, $CDCl_3$):
0.88 (3H,t,J = 7Hz), 1.00 (3H,s), 1.06 (3H,s), 1.21-1.33 (20H,m), 1.62-1.77 (2H,m), 1.94-2.08 (4H,m), 2.06 (3H,s), 2.10 (3H,s), 2.37 (2H,t,J = 7Hz), 2.89 (2H,t,J = 6Hz), 3.44-3.68 (2H,m), 3.82 (1H,d,J = 11Hz), 4.03 (1H,d,J = 11Hz), 4.97 (1H,s), 5.29-5.41 (2H,m), 6.47 (1H,t,J = 6Hz), 7.19-7.32 (2H,m), 7.17 (1H,s), 7.35 (2H,d,J = 8Hz), 7.61 (2H,d,J = 8Hz)

Example 26

Preparation of N-[2-(Oleoyloxy)phenyl]-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propanamide

N-(2-Hydroxyphenyl)-3-[N-(2,2,5,5-tetramethyl -1,3-dioxane-4-carbonyl)amino]propanamide (350 mg) and 282 mg of oleic acid were reacted in the same manner as in Example 15 to obtain 411 mg of the title compound (yield: 67%).
property: Oily
Secific Rotary Power $[\alpha]_D$: +32.3° (C = 1.0, $CHCl_3$)
IR(cm^{-1}, neat): $\nu_{C=O}$1768, 1668
Mass Spectrometric Analysis:
Molecular Formula: $C_{36}H_{58}N_2O_6$
Calculated : 614.4294
Found : 614.4294
NMR(δ, $CDCl_3$):
0.88 (3H,t,J = 7Hz), 0.96 (3H,s), 1.03 (3H,s), 1.23-1.45 (20H,m), 1.40 (3H,s), 1.45 (3H,s), 1.71-1.83 (2H,m), 1.92-2.08 (4H,m), 2.58-2.67 (4H,m), 3.27 (1H,d,J = 12Hz), 3.56-3.64 (2H,m), 3.67 (1H,d,J = 12Hz), 4.07 (1H,s), 5.30-5.42 (2H,m), 7.03-7.17 (3H,m), 7.19-7.29 (1H,m), 7.49 (1H,brs), 8.18 (1H,s,J = 8Hz)

Example 27

Preparation of N-[4-(Oleoyloxy)phenyl]-3-[N-(2,4-diacetoxy-3,3-dimethyl-1-oxobutyl)amino]propanamide

N-(4-hydroxyphenyl)-3-[N-(2,4-diacetoxy-3,3 -dimethyl-1-oxobutyl)amino]propanamide (394 mg) and 301 mg of oleoyl chloride were reacted in the same manner as in Example 6 to obtain 530 mg of the title compound (yield: 81%).
property: Oily
Secific Rotary Power $[\alpha]_D$: +14.9° (C = 1.0, $CHCl_3$)
IR(cm^{-1}, neat): $\nu_{C=O}$1746, 1666
Mass Spectrometric Analysis:
Molecular Formula: $C_{37}H_{58}N_2O_8$
Calculated : 658.4193
Found : 658.4184
NMR(δ, $CDCl_3$):
0.88 (3H,t,J = 7Hz), 1.02 (3H,s), 1.05 (3H,s), 1.22-1.42 (20H,m), 1.68-1.79 (2H,m), 1.94-2.09 (4H,m), 2.07 (3H,s), 2.51-2.59 (4H,m), 3.54-3.71 (2H,m), 3.84 (1H,d,J = 12Hz), 4.02 (1H,d,J = 12Hz), 4.88 (1H,s), 5.28-5.42 (2H,m), 6.72 (1H,d,J = 6Hz), 7.34 (2H,d,J = 8Hz), 7.54 (2H,d,J = 8Hz), 7.71 (1H,brs)

Example 28

Preparation of N-[4-(Oleoyloxy)phenyl]-3-[N-(2,4-dihydroxy-3,3-dimethyl-1-oxobutyl)amino]propanamide

N-[4-(Oleoyloxyphenyl)-3-[N-(2,2,5,5-tetramethyl -1,3-dioxane-4-carbonyl)amino]propanamide (1.0 g) was reacted in the same manner as in Example 19 to obtain 830 mg of the title compound (yield: 89%).
property: Oily
Secific Rotary Power $[\alpha]_D$: +21.0° (C = 1.0, $CHCl_3$)
IR(cm^{-1}, neat): $\nu_{C=O}$1760, 1660
Mass Spectrometric Analysis:
Molecular Formula: $C_{33}H_{54}N_2O_6$
Calculated : 574.3981
Found : 574.3977
NMR(δ, $CDCl_3$):
0.88 (3H,t,J = 7Hz), 0.90 (3H,s), 0.98 (3H,s), 1.19-1.46 (20H,m), 1.41 (3H,s), 1.68-1.79 (2H,m), 1.93-2.09 (4H,m), 2.55 (2H,t,J = 7Hz), 2.59 (2H,t,J = 6Hz), 2.72 (2H,brs), 3.55-3.68 (2H,m), 3.48 (2H,s), 3.98 (1H,s), 5.29-5.42 (2H,m), 7.45-7.53 (1H,m), 7.00 (2H,d,J = 8Hz), 7.52 (2H,d,J = 8Hz), 8.35 (1H,s)

Example 29

Preparation of N-[4-(Oleoyloxy)phenyl]-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propanamide

N-(4-(Hydroxyphenyl)-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propanamide (1.44 g) and 1.20 g of oleoyl chloride were reacted in the same manner as in Example 6 to obtain 1.93 g of the title compound (yield: 79%).
property: Oily
Specific Rotary Power $[\alpha]_D$: +32.6° (C = 1.0, $CHCl_3$)
IR(cm^{-1}, neat): $\nu_{C=O}$1764, 1668
Mass Spectrometric Analysis:
Molecular Formula: $C_{36}H_{58}N_2O_6$
Calculated : 614.4294
Found : 614.4319
NMR(δ, $CDCl_3$):
0.88 (3H,t,J = 7Hz), 0.96 (3H,s), 1.04 (3H,s), 1.23-1.45 (20H,m), 1.41 (3H,s), 1.46 (3H,s), 1.66-1.70 (2H,m), 1.93-2.09 (4H,m), 2.54 (2H,t,J = 7Hz), 2.66 (2H,t,J = 6Hz), 3.27 (1H,d,J = 12Hz), 3.52-3.77 (2H,m), 3.68 (1H,d,J = 12Hz), 4.10 (1H,s), 5.29-5.42 (2H,m), 7.01-7.10 (1H,m), 7.01 (2H,d,J = 8Hz), 7.57 (2H,d,J = 8Hz), 8.11 (1H,s)

Example 30

Preparation of N-[4-(Oleoythio)phenyl]-3-[N-(2,4-diacetoxy-3,3-dimethyl-1-oxobutyl)amino]propanamide

S-p-aminophenyl thiooleate (799 mg) and 606 mg of 3-[N-(2,4-diacetoxy-3,3-dimethyl-1-oxobutyl)-amino]propionic acid were reacted in the same manner as in Example 1 to obtain 780 mg of the title compound (yield: 58%).
property: Oily
Specific Rotary Power $[\alpha]_D$: +14.5° (C = 1.0, $CHCl_3$)
IR(cm^{-1}, neat): $\nu_{C=O}$1748, 1672
Mass Spectrometric Analysis:
Molecular Formula: $C_{37}H_{58}N_2O_7S$
Calculated : 674.3964
Found : 674.3991
NMR(δ, $CDCl_3$):
0.88 (3H,t,J = 7Hz), 1.03 (3H,s), 1.06 (3H,s), 1.22-1.41 (20H,m), 1.64-1.75 (2H,m), 1.96-2.08 (4H,m), 2.05 (3H,s), 2.08 (3H,s), 2.58 (2H,t,J = 6Hz), 2.64 (2H,t,J = 7Hz), 3.55-3.70 (2H,m), 3.85 (1H,d,J = 11Hz), 4.02 (1H,d,J = 11Hz), 4.87 (1H,s), 5.28-5.43 (2H,m), 6.69 (1H,t,J = 6Hz), 7.34 (2H,d,J = 8Hz), 7.60 (2H,d,J = 8Hz), 7.81 (1H,brs)

Example 31

Preparation of N-[2-(Oleoylaminoethyl)-3-[N-(2,4-diacetoxy-3,3-dimethyl-1-oxobutyl)amino]propanamide

A solution of 1.07 g of N-(2-aminoethyl)oleamide and 1.40 g of 4-nitrophenyl 3-[N-(2,4-diacetoxy-3,3-dimethyl-1-oxobutyl)amino]propionate in 40 ml of tetrahydrofuranwas stirred at room temperature for 15 hours. The solvent was then distilled off under reduced pressure. The residue was dissolved in ethyl acetate, and the solution was washed with aqueous potassium carbonate solution and then with water. The organic layer was dried over anhydrous sodium sulfate, and the solvent was distilled off. The residue was subjected to silica gel column chromatography to obtain 4.45 g of the refined title compound (yield: 75%).
property: Oily
IR(cm^{-1}, neat): 2980, 1740, 1650
Mass Spectrometric Analysis:

Molecular Formula: $C_{33}H_{59}N_3O_7$
Calculated : 609.4352
Found : 609.4342
NMR(δ, $CDCl_3$):
0.88 (3H,t,J = 7Hz), 1.05 (3H,s), 1.09 (3H,s), 1.10-1.40 (18H,m), 1.54-2.42 (12H,m), 2.07 (3H,s), 2.16 (3H,s), 3.20-3.60 (6H,m), 3.86 (1H,t,J = 11Hz), 4.05 (1H,d,J = 11Hz), 4.86 (1H,s), 5.30-5.40 (2H,m), 6.14-6.22 (1H,brs), 6.52-6.60 (1H,brs), 7.04-7.12 (1H,brs)

Example 32

Preparation of N-[2-(Oleoylaminoethyl)-3-[N-(2,4-dihyroxy-3,3-dimethyl-1-oxobutyl)amino]propanamide

N-(2-Oleoylaminoethyl)-3-[N-(2,4-diacetoxy-3,3 -dimethyl-1-oxobutyl)amino]propanamide (200 mg) was reacted in the same manner as in Example 3 to obtain 150 mg of the title compound (yield: 86%).
property: Oily
IR(cm^{-1}, neat): ν_{OH}324, $\nu_{C=O}$1650
Mass Spectrometric Analysis:
Molecular Formula: $C_{29}H_{53}N_3O_4$
Calculated : 507.4011
Found : 507.4044
NMR(δ, $CDCl_3$):
0.88 (3H,t,J = 7Hz), 0.94 (3H,s), 1.00 (3H,s), 1.16-1.40 (17H,m), 1.50-1.64 (2H,m), 1.92-2.08 (4H,m), 2.19 (2H,t,J = 7Hz), 2.30-2.80 (6H,s), 3.20-3.54 (6H,m), 3.62-3.74 (1H,m), 4.02 (1H,s), 5.39-5.44 (2H,m), 6.40-6.50 (1H,m), 6.96-7.04 (1H,m), 7.45-7.53 (1H,m)

Example 33

Preparation of N-[3-N-(Oleoylaminopropyl)-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]-propanamide

N-(3-Aminopropyl)olelamide (3.38 g) and 2.59 g of 3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)-amino]propionic acid were reacted in the same manner as in Example 1 to obtain 4.58 g of the title compound (yield: 81%).
property: Oily
IR(cm^{-1}, neat): $\nu_{C=O}$1650
Mass Spectrometric Analysis:
Molecular Formula: $C_{32}H_{59}N_3O_5$
Calculated : 565.4455
Found : 565.4454
NMR(δ, $CDCl_3$):
0.88 (3H,t,J = 7Hz), 0.97 (3H,s), 1.04 (3H,s), 1.23-1.40 (14H,m), 1.43 (3H,s), 1.47 (H,s), 1.52-1.86 (6H,m), 1.92-2.10 (4H,m), 2.18 (2H,t,J = 7Hz), 2.46 (2H,t,J = 6Hz), 3.29 (1H,d,J = 12Hz), 3.38 (3H,brs), 3.44-3.62 (4H,m), 3.67 (1H,d,J = 12Hz), 4.08 (1H,s), 5.30-5.42 (2H,m), 6.20-6.30 (1H,brs), 6.65-6.73 (1H,brs), 6.99-7.08 (1H,brs)

Example 34

Preparation of N-(3-(Oleoylaminopropyl)-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]-propanamide

N-(3-Aminopropyl)oleamide (3.39 g) and 2.59 g of 3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)-amino]propionic acid were reacted in the same manner as in Example 1 to obtain 2.7 g of the title

compound (yield: 47%).
property: Oily
IR(cm^{-1}, neat): $\nu_{C=O}$1660
Mass Spectrometric Analysis:
Molecular Formula: $C_{33}H_{61}N_3O_5$
Calculated : 579.4611
Found : 579.4630
NMR(δ, $CDCl_3$):
0.88 (3H,t,J=7Hz), 0.97 (3H,s), 1.04 (3H,s), 1.10-1.40 (20H,m), 1.42 (3H,s), 1.46 (3H,s), 1.54-1.90 (5H,m), 1.90-2.10 (3H,m), 2.20 (2H,t,J=7Hz), 2.47 (2H,t,J=6Hz), 3.20-3.36 (5H,m), 3.48-3.66 (2H,m), 3.69 (1H,d,J=12Hz), 4.08 (1H,s), 5.30-5.40 (2H,m), 6.15-6.25 (1H,m), 6.58-6.66 (1H,m), 7.02-7.10 (1H,m)

Example 35

Preparation of N-(3-(Oleoylaminopropyl)-3-[N-(2,4-dihydroxy-3,3-dimethyl-1-oxobutyl)amino]propanamide

N-(3-Oleoylaminopropyl)- 3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propanamide (0.58 g) was reacted in the same manner as in Example 19 to obtain 0.48 g of the title compound (yield: 89%).
property: Oily
IR(cm^{-1}, neat): $\nu_{C=O}$1650
Mass Spectrometric Analysis:
Molecular Formula: $C_{30}H_{57}N_3O_5$
Calculated : 539.4297
Found : 539.4291
NMR(δ, $CDCl_3$):
0.88 (3H,t,J=7Hz), 0.90 (3H,s), 1.01 (3H,s), 1.20-1.40 (20H,m), 1.55-1.68 (4H,m), 1.92-2.08 (4H,m), 2.19 (2H,t,J=6Hz), 2.36-2.54 (2H,m), 3.16-3.40 (6H,m), 3.48 (2H,s), 3.42-3.56 (1H,m), 3.62-3.76 (1H,m), 4.00 (1H,s), 5.28-5.42 (2H,m), 6.18-6.24 (1H,m), 6.85-6.94 (1H,m), 7.42-7.52 (1H,m)

Example 36

Preparation of N-(3-(Oleoylaminopropyl)-3-[N-(2,4-dihydroxy-3,3-dimethyl-1-oxobutyl)amino]propanamide

Acetic anhydride (10 ml) was added to a solution N-(3-Oleoylaminopropyl)-3-[N-(2,4-dihydroxy-3,3-dimethyl-1-oxobutyl)amino]propanamide (0.54 g) in 5 ml of pyridine was stirred for 15 hours. The solvent was then distilled off under reduced pressure. The residue was subjected to silica gel column chromatography to obtain 0.62 g of the refined title compound (yield: 99%).
Property: Oily
IR(cm^{-1}, neat): $\nu_{C=O}$1738, 1658
Mass Spectrometric Analysis:
Molecular Formula: $C_{34}H_{61}N_3O_7$
Calculated : 623.4508
Found : 623.4499
NMR(δ, $CDCl_3$):
0.88 (3H,t,J=7Hz), 1.04 (3H,s), 1.08 (3H,s), 1.16-1.50 (23H,m), 1.56-1.72 (2H,m), 1.90-2.06 (2H,m), 2.07 (3H,s), 2.15 (3H,s), 2.19 (2H,t,J =7Hz), 2.46 (2H,t,J=6Hz), 2.32-2.48 (2H,m), 3.16-3.40 (5H,m), 3.48-3.62 (2H,m), 3.86 (1H,d,J=11Hz), 4.03 (1H,s), 4.90 (1H,s), 5.28-5.40 (2H,m), 5.59-6.06 (1H,m), 6.60-6.70 (1H,m), 7.18-7.28 (1H,m)

Example 37

Preparation of N-(4-Oleoylaminobutyl)-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4 carbonyl)amino]propanamide

N-(4-Aminobutyl)oleamide (3.77 g) and 2.59 g of 3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)-amino]propionic acid were reacted in the same manner as in Example 1 to obtain 2.66 g of the title compound (yield: 45%).
Property: Oily
IR(cm^{-1}, neat): $\nu_{C=O}$1648
Mass Spectrometric Analysis:
Molecular Formula: $C_{34}H_{63}N_3O_5$
Calculated : 593.4768
Found : 539.4797
NMR(δ, $CDCl_3$):
0.88 (3H,t,J = 7Hz), 0.97 (3H,s), 1.04 (3H,s), 1.20-1.40 (18H,m), 1.43 (3H,s), 1.46 (3H,s), 1.50-1.70 (6H,m), 1.86-2.10 (6H,m), 2.16 (2H,t,J = 8Hz), 2.45 (2H,t,J = 6Hz), 3.20-3.32 (5H,m), 3.20-3.32 (5H,m), 3.42-3.66 (2H,m), 3.69 (1H,d,J = 12Hz), 4.08 (1H,s), 5.26-5.42 (2H,m), 5.78-5.86 (1H,m), 6.35-6.45 (1H,m), 7.20-7.12 (1H,m)

Example 38

Preparation of N-(4-Oleoylaminobutyl)-3-[N-(2,4-dihydroxy-3,3-dimethyl-1-oxobutyl)amino]propanamide

N-(4-Oleoylaminobutyl)-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propanamide (1.19 g) was reacted in the same manner as in Example 19 to obtain 0.43 g of the title compound (yield: 39%).
property: Oily
IR(cm^{-1}, neat): $\nu_{C=O}$1650
Mass Spectrometric Analysis:
Molecular Formula: $C_{31}H_{59}N_3O_5$
Calculated : 553.4455
Found : 553.4474
NMR(δ, $CDCl_3$):
0.88 (3H,t,J = 7Hz), 0.95 (3H,s), 0.99 (3H,s), 1.18-1.40 (17H,m), 1.40-1.66 (6H,m), 1.92-2.10 (4H,m), 2.18 (2H,t,J = 6Hz), 2.40-2.50 (2H,m), 2.70-3.32 (6H,m), 3.32-3.72 (6H,m), 4.00 (1H,s), 5.30-5.42 (2H,m), 6.04-6.10 (1H,m), 6.60-6.70 (1H,m), 7.42-7.52 (1H,m)

Example 39

Preparation of N-(4-Oleoylaminobutyl)-3-[N-(2,4-diacetoxy-3,3-dimethyl-1-oxobutyl)amino]propanamide

N-(4-Oleoylaminobutyl)-3-[N-(2,4-dihydroxy-3,3-dimethyl-1-oxobutyl)amino]propanamide (0.55 g) and 10 ml of acetic anhydride were reacted in the same manner as in Example 36 to obtain 0.52 g of the title compound (yield: 82%).
property: Oily
IR(cm^{-1}, neat): $\nu_{C=O}$1730, 1650
Mass Spectrometric Analysis:
Molecular Formula: $C_{35}H_{63}N_3O_7$
Calculated : 637.4566
Found : 637.4584
NMR(δ, $CDCl_3$):
0.88 (3H,t,J = 7Hz), 1.03 (3H,s), 1.07 (3H,s), 1.20-1.40 (18H,m), 1.50-1.70 (6H,m), 1.70-2.10 (6H,m), 2.07 (3H,s), 2.16 (3H,s), 2.16 (2H,t,J = 7Hz), 2.38 (2H,t,J = 6Hz), 3.20-3.30 (4H,m), 3.42 -3.62 (2H,m), 3.85 (1H,d,J = 11Hz), 4.20 (1H,d,J = 11Hz), 4.93 (1H,s), 5.30-5.42 (2H,m), 5.76-5.86 (1H,m), 6.22-6.30 (1H,m), 7.00-7.08 (1H,m)

Example 40

Preparation of N-(5-Oleoylaminopentyl)-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propanamide

N-(5-Aminopentyl)oleamide (3.66 g) and 2.59 g of 3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)-amino]propionic acid were reacted in the same manner as in Example 1 to obtain 3.64 g of the title compound (yield: 60%).
property: Oily
IR(cm^{-1}, neat): $\nu_{C=O}$1660
Mass Spectrometric Analysis:
Molecular Formula: $C_{35}H_{65}N_3O_5$
Calculated : 607.4923
Found : 607.4906
NMR(δ, $CDCl_3$):
0.88 (3H,t,J = 7Hz), 0.97 (3H,s), 1.04 (3H,s), 1.20-1.74 (30H,m), 1.46 (3H,s), 1.48 (3H,s), 1.90-2.10 (4H,m), 2.16 (2H,t,J = 7Hz), 2.44 (2H,t,J = 7Hz), 3.24 (2H,dt,J = 6Hz,7Hz), 3.29 (1H,d,J = 12Hz), 3.44-3.665 (2H,m), 3.68 (1H,d,J = 12Hz), 4.07 (1H,s), 5.32-5.44 (2H,m), 5.44-5.62 (1H,m), 6.05-6.12 (1H,m) 6.96-7.08 (1H,m)

Example 41

Preparation of N-(6-Oleoylaminohexyl)-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propanamide

N-(6-Aminohexyl)oleamide (3.81 g) and 2.59 g of 3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)-amino]propionic acid were reacted in the same manner as in Example 1 to obtain 2.92 g of the title compound (yield: 47%).
property: Oily
IR(cm^{-1}, neat): $\nu_{C=O}$1664, 1644
Mass Spectrometric Analysis:
Molecular Formula: $C_{36}H_{67}N_3O_5$
Calculated : 621.5080
Found : 621.5057
NMR(δ, $CDCl_3$):
0.88 (3H,t,J = 7Hz), 0.97 (3H,s), 1.04 (3H,s), 1.18-1.76 (32H,m), 1.42 (3H,s), 1.46 (3H,s), 1.92-2.10 (4H,m), 2.15 (2H,t,J = 7Hz), 2.44 (2H,t,J = 7Hz), 3.23 (2H,dt,J = 6Hz,7Hz), 3.29 (1H,d,J = 12Hz), 2.44-3.66 (4H,m), 3.68 (1H,d,J = 12Hz), 4.07 (1H,s), 5.30-5.42 (2H,m), 5.48-5.58 (1H,m), 5.96-6.06 (1H,m), 7.00-7.06 (1H,m)

Example 42

Preparation of N-(8-Oleoylaminooctyl)-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propanamide

N-(8-Aminooctyl)oleamide (4.08 g) and 2.59 g of 3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)-amino]propionic acid were reacted in the same manner as in Example 1 to obtain 1.36 g of the title compound (yield: 21%).
property: Oily
IR(cm^{-1}, neat): $\nu_{C=O}$1664, 1644
Mass Spectrometric Analysis:
Molecular Formula: $C_{38}H_{71}N_3O_5$
Calculated : 649.5392
Found : 649.53886
NMR(δ, $CDCl_3$):
0.88 (3H,t,J = 7Hz), 0.97 (3H,s), 1.04 (3H,s), 1.20-1.40 (27H,m), 1.42 (3H,s), 1.46 (3H,s), 1.56-1.72 (4H,m), 1.92-2.10 (4H,m), 2.15 (2H,t,J = 7Hz), 2.43 (2H,t,J = 7Hz), 3.18-3.26 (5H,m), 3.28 (1H,d,J = 12Hz), 3.44-3.66 (4H,m), 3.68 (1H,d,J = 12Hz), 4.07 (1H,s), 5.30-5.40 (2H,m), 5.40-5.48 (1H,m), 5.86-5.94 (1H,m), 6.98-7.06 (1H,m)

Example 43

Preparation of N-(2-Oleoyloxyethyl)-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propanamide

2-Aminoethyl oleate (3.26 g) and 2.59 g of 3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]-propionic acid were reacted in the same manner as in Example 1 to obtain 1.75 g of the title compound (yield: 31%).
property: Oily
IR(cm^{-1}, neat): $\nu_{C=O}$1742, 1660
Mass Spectrometric Analysis:
Molecular Formula: $C_{32}H_{58}N_2O_6$
Calculated : 566.4294
Found : 566.4304
NMR(δ, $CDCl_3$):
0.88 (3H,t,J = 7Hz), 0.97 (3H,s), 1.04 (3H,s), 1.16-1.40 (H,m), 1.42 (3H,s), 1.46 (3H,s), 1.52-1.70 (4H,m), 1.70-1.90 (2H,m), 1.96-2.08 (2H,m), 2.32 (2H,t,J = 7Hz), 2.46 (2H,t,J = 7Hz), 3.29 (1H,d,J = 12Hz), 3.42-3.66 (4H,m), 3.68 (1H,d,J = 12Hz), 4.07 (1H,s), 4.15 (2H,t,J = 12Hz), 5.32-5.40 (2H,m), 6.08-6.18 (1H,m), 6.98-7.08 (1H,m)

Example 44

Preparation of 2-(N-Oleoylamino)ethyl 3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propionate

N-(2-Hydroxyethyl)oleamide (0.97 g) and 0.78 g of 3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)-amino]propionic acid were reacted in the same manner as in Example 15 to obtain 1.50 g of the title compound (yield: 90%).
property: Oily
IR(cm^{-1}, neat): $\nu_{C=O}$1742, 1658
Mass Spectrometric Analysis:
Molecular Formula: $C_{32}H_{58}N_2O_6$
Calculated : 566.4254
Found : 566.4274
NMR(δ, $CDCl_3$):
0.88 (3H,t,J = 7Hz), 0.98 (3H,s), 1.03 (3H,s), 1.22-1.38 (18H,m), 1.43 (3H,s), 1.47 (3H,s), 1.50-1.72 (5H,m), 1.92-2.08 (4H,m), 2.21 (2H,t,J = 7Hz), 2.56 (2H,t,J = 6Hz), 3.29 (1H,d,J = 12Hz), 3.42-3.70 (4H,m), 3.66 (1H,d,J = 12Hz), 4.08 (1H,s), 4.18 (1H,s), 5.28-5.40 (2H,m), 6.27-6.38 (1H,brs), 6.88 6.96 (1H,brs)

Example 45

Preparation of 2-(N-Oleoylamino)ethyl 3-[N-(2,4-dihydroxy-3,3-dimethyl-1-oxobutyl)amino]propionate

2-(N-oleoyl)amino)ethyl 3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propionic acid (880 mg) was reacted in the same manner as in Example 19 to obtain 740 mg of the title compound (yield: 91%).
property: Oily
IR(cm^{-1}, neat): ν_{NH}3324, $\nu_{C=O}$1740, 1650
Mass Spectrometric Analysis:
Molecular Formula: $C_{29}H_{54}N_2O_6$
Calculated : 526.3952
Found : 526.3961
NMR(δ, $CDCl_3$):
0.88 (3H,t,J = 7Hz), 0.94 (3H,s), 1.04 (3H,s), 1.20-1.40 (20H,m), 1.52-1.68 (2H,m), 1.90-2.10 (3H,m), 2.20 (2H,t,J = 7Hz), 2.49-2.58 (2H,m), 2.80-3.20 (3H,m), 3.38-3.76 (6H,m), 4.02 (1H,s), 4.05-5.42 (2H,m), 6.20-6.30 (1H,brs), 7.30-7.40 (1H,brs)

Example 46

Preparation of 2-(N-Methyl-N-oleoylamino)ethyl 3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]-propionate

N-Methyl-(2-hydroxyethyl)oleamide (3.40 g) and 2.59 g of 3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propionic acid were reacted in the same manner as in Example 15 to obtain 3.42 g of the title compound (yield: 59%).

property: Oily
IR(cm^{-1}, neat): $\nu_{C=O}$1740, 1658
Mass Spectrometric Analysis:
Molecular Formula: $C_{33}H_{60}N_2O_6$
Calculated : 580.4452
Found : 580.4478
NMR(δ, $CDCl_3$):
0.88 (3H,t,J = 7Hz), 0.97 (3H,s), 1.04 (3H,s), 1.22-1.42 (19H,m), 1.43 (3H,s), 1.47 (3H,s), 1.55-1.70 (3H,m), 1.90-2.10 (4H,m), 2.30 (2H,tt,J = 7Hz,7Hz), 2.52-2.60 (2H,m), 3.05 (3H,s), 3.29 (1H,d,J = 12Hz), 3.42-3.60 (4H,m), 3.68 (1H,d,J = 12Hz), 4.08 (1H,s), 4.24 (2H,t,J = 7Hz), 5.30-5.42 (2H,m), 6.98-7.08 (1H,m)

Example 47

Preparation of 3-(N-oleoylamino)propyl 3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propionate

N-(3-hydroxyethyl)oleamide (3.40 g) and 2.59 g of 3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)-amino]propionic acid were reacted in the same manner as in Example 15 to obtain 4.52 g of the title compound (yield: 59%).

property: Oily
IR(cm^{-1}, neat): $\nu_{C=O}$1740, 1654
Mass Spectrometric Analysis:
Molecular Formula: $C_{33}H_{60}N_2O_6$
Calculated : 580.4450
Found : 580.4449
NMR(δ, $CDCl_3$):
0.88 (3H,t,J = 7Hz), 0.98 (3H,s), 1.04 (3H,s), 1.10-1.50 (21H,m), 1.43 (3H,s), 1.46 (3H,s), 1.52-1.86 (2H,m), 1.84 (2H,tt,J = 6Hz,7Hz), 1.90-2.10 (3H,m), 2.17 (2H,t,J = 7Hz), 2.56 (1H,t,J = 6Hz), 3.28 (1H,d,J = 12Hz), 3.33 (2H,dd,J = 6Hz,7Hz), 3.35-3.60 (2H,m), 3.68 (1H,d,J = 12Hz), 4.08 (1H,s), 4.15 (2H,t,J = 7Hz), 5.28-5.42 (2H,m), 5.92-6.02 (1H,brs), 6.90-7.00 (1H,brs)

Example 48

Preparation of 3-(N-oleoylamino)propyl 3-[N-(2,4-dihydroxy-3,3-dimethyl-4-oxobutyl)amino]propionate

3-(N-Oleoylamino)propyl 3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propionate (0.58 g) was reacted in the same manner as in Example 19 to obtain 0.49 g of the title compound (yield: 90%).

property: Oily
IR(cm^{-1}, neat): $\nu_{C=O}$1740, 1652
Mass Spectrometric Analysis:
Molecular Formula: $C_{30}H_{56}N_2O_6$
Calculated : 540.4145
Found : 540.4138
NMR(δ, $CDCl_3$):
0.88 (3H,t,J = 7Hz), 0.93 (3H,s), 1.04 (3H,s), 1.18-1.40 (19H,m), 1.52-1.66 (2H,m), 1.83 (2H,tt,J = 6Hz,7Hz), 1.92-2.06 (4H,m), 2.19 (2H,t,J = 6Hz), 2.46-2.72 (2H,m), 3.00-3.56 (8H,m), 3.64-3.76 (1H,m), 3.98-4.10

(1H,m), 4.03 (1H,s), 4.19-4.30 (1H,m), 5.28-5.42 (2H,m), 5.86-5.98 (1H,m), 7.44-7.52 (1H,m)

Example 49

Preparation of 3-(N-Oleoylamino)propyl 3-[N-(2,4-diacetoxy-3,3-dimethyl-4-oxobutyl)amino]propionate

3-(N-Oleoylamino)propyl 3-[N-(2,4-dihydroxy-3,3-dimethyl-1-oxobutyl)amino]propionate (540 mg) and 10 ml of acetic anhydride were reacted in the same manner as in Example 36 to obtain 500 mg of the title compound (yield: 80%).
property: Oily
IR(cm^{-1}, neat): $\nu_{C=O}$1740, 1650
Mass Spectrometric Analysis:
Molecular Formula: $C_{34}H_{60}N_2O_8$
Calculated : 624.4348
Found : 624.4323
NMR(δ, $CDCl_3$):
0.88 (3H,t,J = 7Hz), 1.04 (3H,s), 1.07 (3H,s), 1.15-1.40 (21H,m), 1.55-1.72 (2H,m), 1.84 (2H,tt,J = 6Hz,6Hz), 1.92-2.10 (3H,m), 2.07 (3H,s), 2.15 (3H,s), 2.16 (2H,t,J = 7Hz), 2.54 (2H,t,J = 6Hz), 3.20-3.68 (4H,m), 3.83 (1H,d,J = 11Hz), 4.09 (1H,d,J = 11Hz), 4.12 (2H,d,J = 6Hz), 4.93 (1H,s), 5.30-5.38 (2H,m), 5.92-6.02 (1H,m), 6.70-6.80 (1H,m)

Example 50

Preparation of 3-(N-Oleoylamino)propyl 3-[N-(2,4-dibenzyloxy-3,3-dimethyl-4-oxobutyl)amino]propionate

3-(N-Oleoylamino)propyl 3-[N-(2,4-dihydroxy-3,3-dimethyl-1-oxobutyl)amino]propionate (270 mg) and 281 mg of benzoyl chloride were reacted in the same manner as in Example 36 to obtain 260 mg of the title compound (yield: 69%).
property: Oily
IR(cm^{-1}, neat): $\nu_{C=O}$1722, 1650
Mass Spectrometric Analysis:
Molecular Formula: $C_{44}H_{64}N_2O_8$
Calculated : 748.4662
Found : 748.4673
NMR(δ, $CDCl_3$):
0.88 (3H,t,J = 7Hz), 1.20-1.40 (25H,m), 1.52-1.64 (2H,m), 1.76 (2H,tt,J = 6Hz,6Hz), 1.94-2.06 (4H,m), 2.11 (2H,t,J = 7Hz), 2.51 (2H,t,J = 6Hz), 3.18-3.40 (2H,m), 3.40-3.66 (2H,m), 4.02 (2H,t,J = 6Hz), 4.28 (1H,d,J = 10Hz), 4.33 (1H,d,J = 10Hz), 5.30-5.40 (2H,m), 5.82-5.92 (1H,m), 6.78-6.86 (1H,m), 7.40-7.50 (4H,m), 7.52-7.64 (2H,m), 8.00-8.10 (4H,m)

Example 5 1

Preparation of 3-(N-Oleoylamino)propyl 3-[N-(4-benzyloxy-2-hydroxy-3,3-dimethyl-1-oxobutyl)amino]-propionate

3-(N-Oleoylamino)propyl 3-[N-(2,4-dihydroxy-3,3-dimethyl-1-oxobutyl)amino]propionate (540 mg) and 140 mg of benzoyl chloride were reacted in the same manner as in Example 36 to obtain 318 mg of the title compound (yield: 51%).
property: Oily
IR(cm^{-1}, neat): $\nu_{C=O}$1740, 1720, 1660
Mass Spectrometric Analysis:
Molecular Formula: $C_{37}H_{60}N_2O_6$

Calculated : 628.4449
Found : 628.4423
NMR(δ, $CDCl_3$):
0.88 (3H,t,J = 7Hz), 1.06 (3H,s), 1.18 (3H,s), 1.16-1.40 (17H,m), 1.48-1.62 (2H,m), 1.62-1.70 (3H,m), 1.81 (2H,tt,J = 7Hz,7Hz), 1.92-2.08 (3H,m), 2.11 (3H,t,J = 7Hz), 2.42-2.70 (2H,m), 3.18-3.30 (1H,m), 3.34-3.48 (2H,m), 3.64-3.76 (1H,m), 4.00-4.05 (2H,m), 4.12 (1H,d,J = 12Hz), 4.14-4.24 (1H,m), 4.38 (1H,t,J = 12Hz), 4.64-4.68 (1H,brs), 5.28-5.40 (2H,m), 5.72-5.82 (1H,brs), 7.30-7.38 (1H,m), 7.44 (2H,dd,J = 7Hz,7Hz), 7.56 (1H,dd,J = 7Hz,7Hz), 8.05 (2H,d,J = 7Hz)

Example 52

Preparation of 3-(N-Oleoylamino)propyl 3-[N-(2-phenyl-5,5-dimethyl-1,3-dioxane-4-carbonyl)amino]-propionate

N-(3-hydroxypropyl)oleamide (3.40 g) and 3.07 g of 3-[N-(2-phenyl-5,5-dimethyl-1,3-dioxane-4-carbonyl)amino]propionic acd were reacted in the same manner as in Example 15 to obtain 5.34 g of the title compound (yield: 85%).
property: Oily
IR(cm^{-1}, neat): $\nu_{C=O}$1738, 1662
Mass Spectrometric Analysis:
Molecular Formula: $C_{37}H_{60}N_2O_6$
Calculated : 628.4452
Found : 628.4465
NMR(δ, $CDCl_3$):
0.88 (3H,t,J = 7Hz), 1.11 (3H,s), 1.20 (3H,s), 1.22-1.43 (13H,m), 1.52-1.72 (6H,m), 1.77 (2H,tt,J = 7Hz,7Hz), 1.90-2.06 (4H,m), 2.14 (2H,tt,J = 7Hz,7Hz), 2.38 (2H,t,J = 7Hz), 2.52 (2H,t,J = 7Hz), 3.26 (1H,dt,J = 6Hz,7Hz), 3.46-3.62 (4H,m), 3.69 (1H,d,J = 12Hz), 4.10 (1H,t,J = 7Hz), 4.11 (1H,s), 5.30-5.42 (2H,m), 5.52 (1H,s), 5.82-5.92 (1H,m), 6.90-7.04 (1H,m), 7.38-7.44 (3H,m), 7.48-7.53 (2H,m)

Example 53

Preparation of 3-(N-Oleoylamino)propyl 3-[N-(3,3-dimethyl-1,5-dioxaspiro[5,5]-3-carbonyl)amino]propionate

N-(3-hydroxypropyl)oleamide (3.40 g) and 2.99 g of 3-[N-(3,3-dimethyl-1,5-dioxaspiro[5,5]-3-carbonyl)-amino]propionic acid were reacted in the same manner as in Example 15 to obtain 5.46 g of the title compound (yield: 88%).
property: Oil
IR(cm^{-1}, neat): $\nu_{C=O}$1740, 1652
Mass Spectrometric Analysis:
Molecular formula: $C_{36}H_{64}N_2O_6$
Calculated : 620.4763
Found : 620.4761
NMR(δ, $CDCl_3$):
0.88 (3H,t,J = 7Hz), 0.98 (3H,s), 1.03 (3H,s), 1.22-2.10 (36H,m), 2.17 (2H,t,J = 7Hz), 2.56 (2H,t,J = 7Hz), 3.26 (1H,d,J = 12Hz), 3.32 (2H,dt,J = 6Hz,7Hz), 3.50-3.68 (4H,m), 3.71 (1H,d,J = 12Hz), 4.10 (1H,s), 4.15 (2H,t,J = 7Hz), 5.28-5.40 (2H,m), 5.90-5.98 (1H,m), 6.98-7.10 (1H,m)

Example 54

Preparation of 3-(N-Oleoylamino)propyl 3-[N-(2-hydroxy-3,3-dimethyl-4-(trimethyloxy-1-oxobutyl)amino]-propionate

3-(N-Oleoylamino)propyl 3-[N-(2,4-dihydroxy -3,3-dimethyl-1-oxobutyl)amino]propionate (540 mg) and 220 mg of pivaloyl chloride were reacted in the same manner as in Example 36 to obtain 139 mg of the title compound (yield: 22%).
property: Oil
IR(cm^{-1}, neat): $\nu_{C=O}$1740, 1660
NMR(δ, $CDCl_3$):
0.88 (3H,t,J = 7Hz), 1.20-1.38 (25H,m), 1.57 (9H,s), 1.52-1.70 (2H,m), 1.85 (2H,tt,J = 7Hz, 7Hz), 1.94-2.06 (6H,m), 2.17 (2H,t,J = 7Hz), 2.56 (1H,t,J = 7Hz), 3.28-3.40 (2H,m), 3.54-3.62 (2H,m), 4.07 (1H,d,J = 12Hz), 4.10-4.20 (2H,m), 4.68 (1H,d,J = 12Hz), 5.11 (1H,s), 5.28-5.40 (2H,m), 5.70-5.80 (1H,m), 6.94-7.02 (1H,m)

Example 55

Preparation of 3-(N-Hexanoylamino)propyl 3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]-propionate

N-(3-Hydroxypropyl)hexamide (1.75 g) and 2.59 g of 3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)-amino]propionic acid were reacted in the same manner as in Example 15 to obtain 1.90 g of the title compound (yield: 46%)
Property: Oily
IR(cm^{-1}, neat): $\nu_{C=O}$1740, 1658
Mass Spectrometric Analysis
Molecular Formula : $C_{21}H_{38}N_2O_6$
Calculated : 414.2730
Found : 414.2741
NMR(δ, $CDCl_3$):
0.90 (3H,t,J = 7Hz), 0.98 (3H,s), 1.04 (3H,s), 1.22-1.36 (3H,m), 1.43 (3H,s), 1.46 (3H,s), 1.58-1.74 (1H,m), 1.85 (2H,tt,J = 7Hz,7Hz), 2.18 (2H,t,J = 7Hz), 2.56 (2H,t,J = 7Hz), 3.29 (1H,d,J = 12Hz), 3.33 (2H,dt,J = 6Hz,7Hz), 3.46-3.66 (4H,m), 3.68 (1H,d,J = 12Hz), 4.08 (1H,s), 4.16 (2H,t,J = 12Hz), 5.94-6.02 (1H,m), 6.92-7.04 (1H,m)

Example 56

Prepartion of 3-(N-Octanoylamino)propyl 3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propionate

N-(3-Hydroxypropyl)octamide (2.-3 g) and 2.56 g of 3-[N-(2,2,5,5-tetramethyl)-1,3-dioxane-4-carbonyl)-amino]propionic acid were reacted in the same manner as in Example 15 to obtain 2.91 g of the title compound (yield: 66%)
Property: Oily
IR(cm^{-1}, neat): $\nu_{C=O}$1738, 1658
Mass Spectrometric Analysis
Molecular Formula : $C_{23}H_{42}N_2O_6$
Calculated 442.3043
Found 442.3054
NMR(δ, $CDCl_3$):
0.88 (3H,t,J = 7Hz), 0.98 (3H,s), 1.04 (3H,s), 1.20-1.36 (5H,m), 1.42 (3H,s), 1.46 (3H,s), 1.56-1.74 (3H,m), 1.84 (2H,tt,J = 7Hz,7Hz), 2.17 (2H,t,J = 7Hz), 2.56 (2H,t,J = 7Hz), 3.29 (1H,d,J = 12Hz), 3.33 (2H,dt,J = 6Hz,7Hz), 3.46-3.66 (4H,m), 3.68 (1H,d,J = 12Hz), 4.08 (1H,s), 4.15 (2H,t,J = 7Hz), 5.94-6.02 (1H,m), 6.92-7.04 (1H,m)

Example 57

Preparation of 3-(N-Decanoylamino)propyl 3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]-

propionate

N-(3-Hydroxypropyl)decanamide (2.29 g) and 2.59 g of 3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propionic acid were reacted in the same manner as in Example 15 to obtain 4.61 g of the title compound (yield: 98%)
Property: Oily
IR(cm^{-1}, neat): $\nu_{C=O}$1740, 1662
Mass Spectrometric Analysis
Molecular Formula : $C_{25}H_{46}N_2O_6$
Calculated 470.3356
Found 470.3377
NMR(δ, $CDCl_3$):
0.88 (3H,t,J=7Hz), 0.98 (3H,s), 1.04 (3H,s), 1.20-1.34 (6H,m), 1.42 (3H,s), 1.46 (3H,s), 1.56-1.78 (4H,m), 1.82-1.94 (3H,m), 2.17 (2H,t,J=7Hz), 2.36-2.44 (1H,m), 2.56 (2H,t,J= 7Hz), 3.29 (1H,d,J=12Hz), 3.33 (2H,dt,J= 6Hz,7Hz), 3.46-3.66 (4H,m), 3.68 (1H,d,J=12Hz), 4.08 (1H,s), 4.15 (2H,t,J=12Hz), 5.92-6.02 (1H,m), 6.08-6.18 (1H,m), 6.92-7.07 (1H,m)

Example 58

Preparation of 3-(N-Dodecanoylamino)propyl 3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]-propionate

N-(3-Hydroxypropyl)dodecanamide (2.57 g) and 2.59 g of 3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propionic acid were reacted in the same manner as in Example 15 to obtain 3.19 g of the title compound (yield: 64%)
Property: Oily
IR(cm^{-1}, neat): $\nu_{C=O}$1738, 1660
Mass Spectrometric Analysis
Molecular Formula : $C_{27}H_{50}N_2O_6$
Calculated : 498.3668
Found : 498.3676
NMR(δ, $CDCl_3$):
0.87 (3H,t,J=7Hz), 0.97 (3H,s), 1.03 (3H,s), 1.18-1.36 (7H,m), 1.41 (3H,s), 1.45 (3H,s), 1.56-1.76 (6H,m), 1.78-1.94 (4H,m), 2.16 (2H,t,J=7Hz), 2.36-2.42 (2H,m), 2.55 (2H,t,J= 7Hz), 3.28 (1H,d,J=7Hz), 3.31 (2H,dt,J= 6Hz,7Hz), 3.44-3.65 (4H,m), 3.67 (1H,d,J=12Hz), 4.06 (1H,s), 4.14 (2H,t,J=7Hz), 5.96-6.02 (1H,m), 6.90-7.04 (1H,m)

Example 59

Preparation of 3-(N-Tetradecanoylamino)propyl 3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]-propionate

N-(3-Hydroxypropyl)tetradecanamide (2.87 g) and 2.59 g of 3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propionic acid were reacted in the same manner as in Example 15 to obtain 4.63 g of the title compound (yield: 88%)
Property: Oily
IR(cm^{-1}, neat): $\nu_{C=O}$1740, 1656
Mass Spectrometric Analysis
Molecular Formula : $C_{29}H_{54}N_2O_6$
Calculated 526.3981
Found 526.3983
NMR(δ, $CDCl_3$):
0.88 (3H,t,J=7Hz), 0.98 (3H,s), 1.04 (3H,s), 1.20-1.34 (15H,m), 1.42 (3H,s), 1.46 (3H,s), 1.52-1.64 (4H,m), 1.84 (2H,tt,J=7Hz,7Hz), 2.17 (2H,t,J=7Hz), 2.36-2.44 (1H,m), 2.56 (2H,t,J= 7Hz), 3.29 (1H,d,J=12Hz), 3.33

(2H,dt,J = 6Hz,7Hz), 3.48-3.66 (4H,m), 3.68 (1H,d,J = 12Hz), 4.08 (1H,s), 4.16 (2H,t,J = 7Hz), 5.92-5.96 (1H,m), 6.90-7.02 (1H,m)

Example 60

Preparation of 3-(N-Hexadecanoylamino)propyl 3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]-propionate

N-(3-Hydroxypropyl)hexadecanamide (3.13 g) and 2.59 g of 3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propionic acid were reacted in the same manner as in Example 15 to obtain 5.48 g of the title compound (yield: 99%)
Property: Oily
IR(cm^{-1}, neat): $\nu_{C=O}$1740, 1658
Mass Spectrometric Analysis
Molecular Formula : $C_{31}H_{58}N_2O_6$
Calculated 554.4294
Found 554.4301
NMR(δ, $CDCl_3$):
0.88 (3H,t,J = 7Hz), 0.98 (3H,s), 1.04 (3H,s), 1.21-1.36 (22H,m), 1.43 (3H,s), 1.46 (3H,s), 1.56-1.98 (6H,m), 1.84 (2H,tt,J = 7Hz,7Hz), 2.17 (2H,t,J = 7Hz), 2.56 (2H,t,J = 7Hz), 3.29 (1H,d,J = 12Hz), 3.32 (2H,dt,J = 6Hz,7Hz), 3.67 (2H,d,J = 12Hz), 4.08 (1H,s), 4.16 (2H,t,J = 12Hz), 5.92-5.98 (1H,m), 6.92-7.04 (1H,m)

Example 61

Preparation of 3-(N-Octadecanoylamino)propyl 3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]-propionate

N-(3-Hydroxypropyl)otadecanamide (3.42 g) and 2.59 g of 3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propionic acid were reacted in the same manner as in Example 15 to obtain 3.90 g of the title compound (yield: 67%)
Property: Oily
IR(cm^{-1}, neat): $\nu_{C=O}$1738, 1652
Mass Spectrometric Analysis
Molecular Formula : $C_{33}H_{62}N_2O_6$
Calculated 582.4608
Found 582.4619
NMR(δ, $CDCl_3$):
0.88 (3H,t,J = 7Hz), 0.98 (3H,s), 1.04 (3H,s), 1.20-1.36 (17H,m), 1.42 (3H,s), 1.46 (3H,s), 1.54-1.96 (10H,m), 2.17 (3H,t,J = 7Hz), 2.56 (2H,t,J = 7Hz), 3.28 (1H,t,J = 12Hz), 3.33 (2H,dt,J = 6Hz,7Hz), 3.44-3.62 (4H,m), 3.67 (1H,d,J = 12Hz), 4.08 (1H,s), 4.16 (2H,t,J = 7Hz), 5.96-6.02 (1H,m), 6.92-7.04 (1H,m)

Example 62

Preparation of 3-(N-Linoleoylamino)propyl 3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propionate

N-(3-Hydroxypropyl)linoleamide (3.38 g) and 2.59 g of 3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)-amino]propionic acid were reacted in the same manner as in Example 15 to obtain of the title compound (yield: 67%)
Property: Oily
IR(cm^{-1}, neat): $\nu_{C=O}$1740, 1654
Mass Spectrometric Analysis

Molecular Formula : $C_{33}H_{58}N_2O_6$
Calculated 578.4294
Found 578.4291
NMR(δ, $CDCl_3$):
0.89 (3H,t,J = 7Hz), 0.98 (3H,s), 1.04 (3H,s), 1.20-1.44 (17H,m), 1.43 (3H,s), 1.46 (3H,s), 1.52-1.76 (4H,m), 1.84 (2H,tt,J = 7Hz,7Hz), 2.00 -2.10 (6H,m), 2.17 (2H,t,J = 7Hz), 2.36-2.44 (1H,m), 2.56 (2H,t,J = 7Hz), 2.77 (2H,t,J = 7Hz), 3.29 (1H,d,J = 12Hz), 3.32 (2H,dd,J = 6Hz,7Hz), 3.46-3.64 (4H,m), 3.67 (1H,d,J = 12Hz), 4.08 (1H,s), 4.16 (2H,t,J = 12Hz), 5.28-5.42 (4H,m), 5.92-6.00 (1H,m), 6.94-7.02 (1H,m)

Example 63

Preparation of 3-(N-Linolenoylamino)propyl 3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]-propionate

N-(3-Hydroxypropyl)linolenamide (3.35 g) and 2.59 g of 3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propionic acid were reacted in the same manner as in Example 15 to obtain 4.09 g of the title compound (yield: 67%)
Property: Oily
IR(cm^{-1}, neat): $\nu_{C=O}$1738, 1652
Mass Spectrometric Analysis
Molecular Formula : $C_{33}H_{56}N_2O_6$
Calculated 576.4138
Found 576.4126
NMR(δ, $CDCl_3$):
0.97 (3H,t,J = 7Hz), 0.98 (3H,s), 1.05 (3H,s), 1.26-1.44 (12H,m), 1.43 (3H,s), 1.46 (3H,s), 1.58-1.74 (6H,m), 1.80-1.92 (4H,m), 2.02-2.10 (2H,m), 2.17 (2H,t,J = 7Hz), 2.34-2.42 (2H,m), 2.56 (2H,t,J = 7Hz), 3.29 (1H,d,J = 12Hz), 2.74-2.86 (2H,m), 3.28 (1H,d,J = 12Hz), 3.32 (2H,dd,J = 6Hz,7Hz), 3.42-3.66 (4H,m), 3.68 (1H,d,J = 12Hz), 4.07 (1H,s), 4.15 (2H,t,J = 12Hz), 5.26-5.44 (6H,m), 5.90-6.00 (1H,m), 6.92-7.06 (1H,m)

Example 64

Preparation of 3-(N-Oleoylamino)propyl 3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propionate

N-(3-Hydroxypropyl)oleamide (3.54 g) and 2.59 g of 3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)-amino]propionic acid were reacted in the same manner as in Example 15 to obtain 5.05 g of the title compound (yield: 85%)
Property: Oily
IR(cm^{-1}, neat): $\nu_{C=O}$1740, 1662
Mass Spectrometric Analysis
Molecular Formula : $C_{34}H_{62}N_2O_6$
Calculated 594.4608
Found 594.4618
NMR(δ, $CDCl_3$):
0.88 (3H,t,J = 7Hz), 0.97 (3H,s), 1.04 (3H,s), 1.20-1.40 (23H,m), 1.43 (3H,s), 1.47 (3H,s), 1.50-1.80 (6H,m), 1.86-2.10 (3H,m), 2.17 (2H,dt,J = 6Hz,7Hz), 2.56 (2H,t,J = 6Hz), 3.28 (1H,d,J = 12Hz), 3.40-3.66 (2H,m), 3.69 (1H,d,J = 12Hz), 4.08 (1H,s), 4.12 (2H,t,J = 6Hz), 5.30-5.40 (2H,m), 5.48-5.56 (1H,m), 6.90-7.00 (1H,m)

Example 65

Preparation of 4-(N-Oleoylamino)propyl 3-[N-(2,4-dihydroxy-3,3-dimethyl-1-oxobutyl)amino]propionate

4-(N-Oeloylamino)butyl 3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propionate (0.59 g) was

reacted in the same manner as in Example 19 to obtain 0.50 g of the title compound (yield: 91%)
Property: Oily
IR(cm^{-1}, neat): $\nu_{C=O}$1740, 1658
Mass Spectrometric Analysis
Molecular Formula : $C_{31}H_{58}N_2O_6$
Calculated 554.4293
Found 554.4291
NMR(δ, $CDCl_3$):
0.88 (3H,t,J = 7Hz), 0.94 (3H,s), 1.01 (3H,s), 1.18-1.42 (21H,m), 1.50-1.80 (6H,m), 1.90-2.12 (3H,m), 2.18 (1H,d,J = 7Hz), 2.45-2.57 (2H,m), 3.10-3.80 (8H,m), 4.02 (1H,m), 4.05-4.13 (1H,m), 4.18-4.26 (1H,m), 5.30-5.41 (2H,m), 5.88-5.96 (1H,m), 7.34-7.44 (1H,m)

Example 66

Preparation of 5-(N-Oleoylamino)propyl 3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propionate

N-(5-Hydroxypentyl)oleamide (3.68 g) and 2.59 of 3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)-amino]propionic acid were reacted in the same manner as in Example 15 to obtain 4.99 g of the title compound (yield: 82%)
Property: Oily
IR(cm^{-1}, neat): $\nu_{C=O}$1738, 1658
Mass Spectrometric Analysis
Molecular Formula : $C_{35}H_{64}N_2O_6$
Calculated 608.4764
Found 608.4764
NMR(δ, $CDCl_3$):
0.88 (3H,t,J = 7Hz), 0.97 (3H,s), 1.04 (3H,s), 1.20-1.80 (26H,m), 1.42 (3H,s), 1.46 (3H,s), 1.84-2.10 (4H,m), 2.15 (2H,t,J = 6Hz), 2.56 (2H,t,J = 6Hz), 3.25 (1H,dt,J = 6Hz,6Hz), 3.29 (1H,d,J = 12Hz), 3.40-3.68 (4H,m), 3.68 (1H,d,J = 12Hz), 4.08 (1H,s), 4.10 (2H,t,J = 12Hz), 5.30-5.40 (2H,m), 5.48-5.54 (1H,m), 6.90-7.02 (1H,m)

Example 67

Preparation of 6-(N-Oleoylamino)propyl 3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propionate

N-(6-Hydroxypentyl)oleamide (3.82 g) and 2.59 of 3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)-amino]propionic acid were reacted in the same manner as in Example 15 to obtain 2.80 g of the title compound (yield: 45%)
Property: Oily
IR(cm^{-1}, neat): $\nu_{C=O}$1740, 1656
Mass Spectrometric Analysis
Molecular Formula : $C_{36}H_{66}N_2O_6$
Calculated 622.4920
Found 622.4923
NMR(δ, $CDCl_3$):
0.88 (3H,t,J = 7Hz), 0.97 (3H,s), 1.04 (3H,s), 1.20-1.54 (24H,m), 1.43 (3H,s), 1.47 (3H,s), 1.56-1.70 (6H,m), 1.90-2.10 (4H,m), 2.15 (2H,t,J = 6Hz), 2.55 (2H,t,J = 6Hz), 3.24 (2H,dt,J = 6Hz,6Hz), 3.29 (1H,d,J = 12Hz), 3.40-3.66 (2H,m), 3.68 (1H,d,J = 12Hz), 4.08 (1H,s), 4.09 (2H,t,J = 6Hz), 5.30-5.40 (2H,m), 5.40-5.50 (1H,m), 6.92-7.02 (1H,m)

Example 68

Preparation of S-2-(N-Oleoylamino)propyl 3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]-

propanethionate

N-(2-Mercaptoethyl)oleamide (3.42 g) and 2.59 of 3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)-amino]propionic acid were reacted in the same manner as in Example 15 to obtain 4.77 g of the title compound (yield: 82%)
Property: Oily
IR(cm^{-1}, neat): $\nu_{C=O}$1730, 1656
Mass Spectrometric Analysis
Molecular Formula : $C_{32}H_{58}N_2O_5S$
Calculated 582.4123
Found 582.4095
NMR(δ, $CDCl_3$):
0.88 (3H,t,J = 7Hz), 0.98 (3H,s), 1.04 (3H,s), 1.20-1.40 (19H,m), 1.43 (3H,s), 1.47 (3H,s), 1.58-1.70 (2H,m), 1.84-2.10 (4H,m), 2.17 (2H,t,J = 7Hz), 2.78-2.86 (2H,m), 3.05 (2H,t,J = 6Hz), 3.29 (1H,dt,J = 12Hz), 3.35-3.62 (5H,m), 3.67 (1H,d,J = 12Hz), 4.07 (1H,s), 5.34-5.41 (2H,m), 5.93-6.02 (1H,m), 6.83-6.92 (1H,m)

Example 69

Preparation of S-2-(N-Oleoylamino)ethyl 3-[N-(2,4-dihydroxy-3,3-dimethyl-1-oxobutyl)aminopropanethionate

S-2-(N-Oleoylamino)ethyl 3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propanethionate (0.58 g) was reacted in the same manner as in Example 19 to obtain 0.16 g of the title compound (yield: 29%)
Property: Oily
IR(cm^{-1}, neat): $\nu_{C=O}$1650
Mass Spectrometric Analysis
Molecular Formula : $C_{29}H_{54}N_2O_5S$
Calculated 542.3753
Found 542.3765
NMR(δ, $CDCl_3$):
0.88 (3H,t,J = 7Hz), 0.93 (3H,s), 1.04 (3H,s), 1.15-1.40 (16H,m), 1.50-1.70 (2H,m), 1.90-2.06 (4H,m), 2.17 (2H,t,J = 8Hz), 2.25-2.60 (6H,m), 2.70-2.80 (1H,m), 2.82-2.98 (2H,m), 3.05-3.15 (1H,m), 3.30-3752 (6H,m), 4.01 (1H,s), 5.30-5.42 (2H,m), 5.90-6.00 (1H,brs), 7.22-7.32 (1H,brs)

Example 70

Preparation of N-[(1S,2S)-2-(Oleoylamino)cyclohexane]-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)-amino]propanamide

3-N-(2,2,5,5-Tetramethyl-1,3-dioxane-4-carbonyl)amino]propionic acid (745 mg) and 800 mg of N-(2-aminocyclohexyl)-oleamide were reacted in the same manner as in Example 1 to obtain 504 mg of the title compound (yield: 34%)
Property: Oily
Specific Rotary Power $[\alpha]_D$: -15.1° (C = 1.0, $CHCl_3$)
IR(cm^{-1}, neat): $\nu_{C=O}$1662, 1642
Mass Spectrometric Analysis
Molecular Formula : $C_{36}H_{65}N_3O_5$
Calculated 619.4924
Found 619.4913
NMR(δ, $CDCl_3$):
0.88 (3H,t,J = 7Hz), 0.97 (3H,s), 1.04 (3H,s), 1.15-1.37 (24H,m), 1.43 (3H,s), 1.46 (3H,s), 1.50-1.62 (2H,m), 1.68-1.82 (2H,m), 1.90-2.08 (6H,m), 2.11 (2H,t,J = 7Hz), 2.28-2.44 (2H,m), 3.28 (1H,d,J = 12Hz), 3.36-3.48 (1H,m), 3.55-3.68 (3H,m), 3.69 (1H,d,J = 12Hz), 4.08 (1H,d,J = 11Hz), 5.29-5.40 (2H,m), 5.84 (1H,brs), 6.38 (1H,brs), 7.00 (1H,t,J = 6Hz)

Example 71

Preparation of N-[(1S,2S)-2-(Oleoylamino)cyclohexane]-3-[(2R)-2,4-diacetoxy-3,3-dimethyl-1-oxobutyl] amino]propanamide

3-N-[(2R)-2,4-Diacetoxy-3,3-dimethyl-1-oxobutyl]amino]propionic acid (187 mg) and 1.72 mg of (1S,2S)-N-(2-aminocyclohexyl)oleamide were reacted in the same manner as in Example 1 to obtain 194 mg of the title compound (yield: 56%)
Property: Oily
Specific Rotary Power $[\alpha]_D$: -3.10° (C = 1.0, $CHCl_3$)
IR(cm^{-1}, neat): $\nu_{C=O}$1750, 1660
Mass Spectrometric Analysis
Molecular Formula : $C_{37}H_{65}N_3O_7$
Calculated 663.4822
Found 663.4833
NMR(δ, $CDCl_3$):
0.88 (3H,t,J = 7Hz), 1.03 (3H,s), 1.08 (3H,s), 1.18-1.39 (24H,m), 1.07-1.83 (2H,m), 1.92-2.09 (6H,m), 2.08 (3H,s), 2.14 (2H,t,J = 7Hz), 2.20 (3H,s), 2.32 (2H,t,J = 7Hz), 3.28-3.40 (1H,m), 3.49-3.59 (2H,m), 3.61-3.74 (1H,m), 3.82 (1H,d,J = 12Hz), 4.04 (1H,d,J = 11Hz), 4.09 (1H,s), 5.29-5.40 (2H,m), 5.79 (1H,d,J = 8Hz), 6.19 (1H,d,J = 8Hz), 7.03 (1H,t,J = 6Hz)

Example 72

Preparation of N-[2-(Oleoylamino)cyclohexane]-3-[(2R)-2,4-diacetoxy-3,3-dimethyl-1-oxobutyl] amino]-propanamide

3-N-[(2,4-Diacetoxy-3,3-dimethyl-1-oxo-butyl]amino]propionic acid (1.01 g) and 1.26 g of N-(2-aminocyclohexyl)oleamide were reacted in the same manner as in Example 1. The crude products was purified by silica gel column chromatography to obtain two diastereomers of the title compound, i.e., diastereomer A: N-[(1R,2R)-2-(oleoylamino)cyclohexane-1-yl]-3-[N-[(2R)-2,4-diacetoxy-3,3-dimethyl-1-oxobutyl]amino]propanamide in an amount of 603 mg (yield: 28%) and diastereomer B: N-[(1S,2S)-2-(oleoylamino)cyclo-hexane-1-yl]-3-[N-[(2R)-2,4-diacetoxy-3,3-dimethyl-1-oxobutyl]amino]propanamide in an amount of 714 mg (yield: 33%)
A
Property: Oily
Specific Rotary Power $[\alpha]_D$: -32.0° (C = 1.0, $CHCl_3$)
IR(cm^{-1}, neat): $\nu_{C=O}$1750, 1660
Mass Spectrometric Analysis
Molecular Formula : $C_{37}H_{65}N_3O_7$
Calculated 663.4822
Found 663.4834
NMR(δ, $CDCl_3$):
0.88 (3H,t,J = 7Hz), 1.08 (3H,s), 1.10 (3H,s), 1.21-1.38 (24H,m), 1.46-1.65 (2H,m), 1.69-1.79 (2H,m), 1.88-2.08 (6H,m), 2.08 (3H,s), 2.13 (2H,t,J = 7Hz), 2.14-2.26 (1H,m), 2.16 (3H,s), 2.23-2.42 (1H,m), 3.06-3.16 (1H,m), 3.56-3.79 (3H,m), 3.90 (1H,d,J = 11Hz), 4.07 (1H,d,J = 11Hz), 4.80 (1H,s), 5.29-5.42 (1H,m), 5.69 (1H,d,J = 8Hz), 6.56 (1H,d,J = 8Hz), 7.41 (1H,t,J = 6Hz)
B
Property: Oily
Specific Rotary Power $[\alpha]_D$: -3.10° (C = 1.0, $CHCl_3$)
IR(cm^{-1}, neat): $\nu_{C=O}$1750, 1660
Mass Spectrometric Analysis
Molecular Formula : $C_{37}H_{65}N_3O_7$
Calculated 663.4822
Found 663.4833
NMR(δ, $CDCl_3$):

0.88 (3H,t,J = 7Hz), 1.03 (3H,s), 1.08 (3H,s), 1.18-1.39 (24H,m), 1.07-1.83 (2H,m), 1.92-2.09 (6H,m), 2.08 (3H,s), 2.14 (2H,t,J = 7Hz), 2.20 (3H,s), 2.32 (2H,t,J = 7Hz), 3.28-3.40 (1H,m), 3.49-3.59 (2H,m), 3.61-3.74 (1H,m), 3.82 (1H,d,J = 12Hz), 4.04 (1H,d,J = 12Hz), 4.09 (1H,s), 5.29-5.40 (2H,m), 5.79 (1H,d,J = 8Hz), 6.19 (1H,d,J = 8Hz), 7.03 (1H,t,J = 6Hz)

Example 73

Preparation of N-[(1R,2R)-2-(Oleoylamino)cyclohexane-1-yl]-3-[N-[(2R)-2,4-dihydroxy-3,3-dimethyl-1-oxobutyl]amino]propanamide

N-[(1R,2R)-2-(Oleoylamino)cyclohexane-1-yl]-3-[N-[(2R)-2,4-diaceotxy-3,3-dimethyl-1-oxobutyl]amino]-propanamide (380 mg) was reacted in the same manner as in Example 3 to obtain 293 mg of the title compound (yield: 89%)
Property: Oily
Specific Rotary Power $[\alpha]_D$: +34.1° (C = 1.0, $CHCl_3$)
IR(cm^{-1}, neat): $\nu_{C=O}$1642
Mass Spectrometric Analysis
Molecular Formula : $C_{33}H_{61}N_3O_5$
Calculated 579.4611
Found 579.4596
NMR(δ, $CDCl_3$):
0.88 (3H,t,J = 7Hz), 0.95 (3H,s), 1.04 (3H,s), 1.17-1.38 (24H,m), 1.49-1.62 (2H,m), 1.73-1.82 (2H,m), 1.93-2.08 (6H,m), 2.14 (2H,t,J = 7Hz), 3.31-2.45 (2H,m), 2.52-2.86 (2H,m), 3.44-3.73 (6H,m), 3.98 (1H,s), 5.28-5.40 (2H,m), 6.08 (1H,brs), 6.63 (1H,brs), 7.34 (1H,t,J = 6Hz)

Example 74

Preparation of N-[(1S,2S)-2-(Oleoylamino)cyclohexane-1-yl]-3-[N-[(2R)-2,4-dihydroxy-3,3-dimethyl-1-oxobutyl]amino]propanamide

N-[(1S,2S)-2-(Oleoylamino)cyclohexane-1-yl]-3-[N-[(2R)-2,4-diaceotxy-3,3-dimethyl-1-oxobutyl]amino]-propanamide (485 mg) was reacted in the same manner as in Example 3 to obtain 410 mg of the title compound (yield: 97%)
Property: Oily
Specific Rotary Power $[\alpha]_D$: -0.60° (C = 1.0, $CHCl_3$)
IR(cm^{-1}, neat): $\nu_{C=O}$1644
Mass Spectrometric Analysis
Molecular Formula : $C_{33}H_{61}N_3O_5$
Calculated 579.4611
Found 579.4603
NMR(δ, $CDCl_3$):
0.88 (3H,t,J = 7Hz), 0.94 (3H,s), 1.05 (3H,s), 1.16-1.38 (24H,m), 1.46-1.62 (2H,m), 1.71-1.82 (2H,m), 1.87-2.07 (6H,m), 2.12 (2H,t,J = 7Hz), 2.32-2.44 (1H,m), 2.48-2.58 (1H,m), 2.63-3.05 (2H,m), 3.18-3.29 (1H,m), 3.46 (2H,d,J = 11Hz), 3.51 (2H,d,J = 11Hz), 3.86-3.99 (1H,m), 4.12 (1H,s), 5.29-5.41 (2H,m), 5.99 (1H,d,J = 8Hz), 7.02 (1H,d,J = 8Hz), 7.11-7.19 (1H,m)

Example 75

Preparation of N-[2-(Oleoylamino)cyclohexane-1-yl]-3-[N-[(2R)-2,4-diacetoxy-3,3-dimethyl-1-oxobutyl]amino]-propanamide

dl-3-[N-2,4-Diaceotxy-3,3-dimethyl-1-oxobutyl]amino]propionic (1.51 g) and 1.90 g of (1R,2R)-N-(2-

aminocyclohexyl)oleamide were reacted in the same manner as in Example 1. The crude products was purified by silica gel column chromatography to obtain two diastereomers of the title compound, diastereomer A: N-(1R,2R)-2-(oleoylamino)cyclohexane-1-yl]-3-[N-[(2R)-2,4-diacetoxy-3,3-dimethyl-1-oxobutyl]-amino]propanamide in an amount of 0.848 g (yield: 28%) and diastereomer B: N-[(1R,2R)-2-(oleoylamino)-cyclo-hexane-1-yl]-3-[N-[(2R)-2,4-diacetoxy-3,3-dimethyl-1-oxobutyl]amino]propanamide in an amount of 1.00 g (yield: 33%)

A

Property: Oily

Specific Rotary Power $[\alpha]_D$: -32.0° (C = 1.0, $CHCl_3$)

IR(cm^{-1}, neat): $\nu_{C=O}$1750, 1660

Mass Spectrometric Analysis

Molecular Formula : $C_{37}H_{65}N_3O_7$

Calculated 663.4822

Found 663.4834

NMR(δ, $CDCl_3$):

0.88 (3H,t,J = 7Hz), 1.08 (3H,s), 1.10 (3H,s), 1.21-1.38 (24H,m), 1.46-1.65 (2H,m), 1.69-1.79 (2H,m), 1.88-2.08 (6H,m), 2.08 (3H,s), 2.13 (2H,t,J = 7Hz), 2.14-2.26 (1H,m), 2.16 (3H,s), 2.23-2.42 (1H,m), 3.06-3.16 (1H,m), 3.56-3.79 (3H,m), 3.90 (1H,d,J = 11Hz), 4.07 (1H,d,J = 11Hz), 4.80 (1H,s), 5.29-5.42 (1H,m), 5.69 (1H,d,J = 8Hz), 6.56 (1H,d,J = 8Hz), 7.41 (1H,t,J = 6Hz)

B

Property: Oily

Specific Rotary Power $[\alpha]_D$: +2.04° (C = 1.0, $CHCl_3$)

IR(cm^{-1}, neat): $\nu_{C=O}$1750, 1660

Mass Spectrometric Analysis

Molecular Formula : $C_{37}H_{65}N_3O_7$

Calculated 663.4822

Found 663.4833

NMR(δ, $CDCl_3$):

0.88 (3H,t,J = 7Hz), 1.03 (3H,s), 1.08 (3H,s), 1.18-1.39 (24H,m), 1.07-1.83 (2H,m), 1.92-2.09 (6H,m), 2.08 (3H,s), 2.14 (2H,t,J = 7Hz), 2.20 (3H,s), 2.32 (2H,t,J = 7Hz), 3.28-3.40 (1H,m), 3.49-3.59 (2H,m), 3.61-3.74 (1H,m), 3.82 (1H,d,J = 12Hz), 4.04 (1H,d,J = 12Hz), 4.09 (1H,s), 5.29-5.40 (2H,m), 5.79 (1H,d,J = 8Hz), 6.19 (1H,d,J = 8Hz), 7.03 (1H,t,J = 6Hz)

Example 76

Preparation of N-[2-(Oleoylamino)cyclohexane-1-yl]-3-[N-2,4-diacetoxy-3,3-dimethyl-1-oxobutyl]amino]-propanamide

dl-3-[N-2,4-Diaceotxy-3,3-dimethyl-1-oxobutyl]amino]propionic (1.44 g) and 1.80 g of (1S,2S)-N-(2-aminocyclohexyl)oleamide were reacted in the same manner as in Example 1. The crude products was purified by silica gel column chromatography to obtain two diastereomers of the title compound, diastereomer A: N-[(1S,2S)-2-(oleoylamino)cyclohexane-1-yl]-3-[N-[(2R)-2,4-diacetoxy-3,3-dimethyl-1-oxobutyl]-amino]propanamide in an amount of 0.859 g (yield: 29%) and diastereomer B: N-[(1S,2S)-2-(oleoylamino)-cyclo-hexane-1-yl]-3-[N-[(2S)-2,4-diacetoxy-3,3-dimethyl-1-oxobutyl]amino]propanamide in an amount of 0.80 g (yield: 27%)

B

Property: Oily

Specific Rotary Power $[\alpha]_D$: -32.0° (C = 1.0, $CHCl_3$)

IR(cm^{-1}, neat): $\nu_{C=O}$1750, 1660

Mass Spectrometric Analysis

Molecular Formula : $C_{37}H_{65}N_3O_7$

Calculated 663.4822

Found 663.4834

NMR(δ, $CDCl_3$):

0.88 (3H,t,J = 7Hz), 1.08 (3H,s), 1.10 (3H,s), 1.21-1.38 (24H,m), 1.46-1.65 (2H,m), 1.69-1.79 (2H,m), 1.88-2.08 (6H,m), 2.08 (3H,s), 2.13 (2H,t,J = 7Hz), 2.14-2.26 (1H,m), 2.16 (3H,s), 2.23-2.42 (1H,m), 3.06-3.16 (1H,m),

3.56-3.79 (3H,m), 3.90 (1H,d,J = 11Hz), 4.07 (1H,d,J = 11Hz), 4.80 (1H,s), 5.29-5.42 (1H,m), 5.69 (1H,d,J = 8Hz), 6.56 (1H,d,J = 8Hz), 7.41 (1H,t,J = 6Hz)

Example 77

Preparation of (1R,2R)-2-(Oleoylamino)cyclohexane-1-yl 3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)-amino]propionate

(1S,2S)-2-(N-Oleoylamino)cyclohexanol (3.79 g) and 2.59 g of 3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propionic acid were reacted in the same manner as in Example 15 to obtain 3.52 g of the title compound (yield: 57%)
Property: Oily
Specific Rotary Power $[\alpha]_D$: +26.2° (C = 1.0, $CHCl_3$)
IR(cm^{-1}, neat): $\nu_{C=O}$1736, 1654
Mass Spectrometric Analysis
Molecular Formula : $C_{36}H_{64}N_2O_6$
Calculated 620.4764
Found 620.4759
NMR(δ, $CDCl_3$):
0.88 (3H,t,J = 7Hz), 0.99 (3H,s), 1.04 (3H,s), 1.07-1.39 (24H,m), 1.43 (3H,s), 1.48 (3H,s), 1.50-1.83 (4H,m), 1.92-2.17 (6H,m), 2.10 (2H,t,J = 7Hz), 2.51 (2H,t,J = 6Hz), 3.32-3.43 (1H,m), 3.57-3.68 (1H,m), 3.69 (1H,d,J = 12Hz), 3.83-3.95 (1H,m), 4.08 (1H,s), 4.64 (1H,td,J = 11Hz,5Hz), 5.28-5.40 (1H,m), 5.74 (1H,d,J = 8Hz), 6.95 (1H,d,J = 6Hz)

Example 78

Preparation of (1S,2S)-2-(Oleoylamino)cyclohexane-1-yl 3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)-amino]propionate

(1S,2S)-2-(N-Oleoylamino)cyclohexanol (3.79 g) and 2.59 g of 3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propionic acid were reacted in the same manner as in Example 15 to obtain 3.52 g of the title compound (yield: 57%)
Property: Oily
Specific Rotary Power $[\alpha]_D$: +14.3° (C = 1.0, $CHCl_3$)
IR(cm^{-1}, neat): $\nu_{C=O}$1734, 1654
Mass Spectrometric Analysis
Molecular Formula $C_{36}H_{64}N_2O_6$
Calculation 620.4764
Found 620.4777
NMR(δ, $CDCl_3$):
0.88 (3H,t,J = 7Hz), 0.96 (3H,s), 1.04 (3H,s), 1.06-1.38 (24H,m), 1.43 (3H,s), 1.47 (3H,s), 1.48-1.80 (4H,m), 1.92-2.17 (6H,m), 2.10 (2H,t,J = 7Hz), 2.51 (2H,t,J = 6Hz), 3.28 (1H,t,J = 12Hz), 3.45-3.57 (2H,m), 3.69 (1H,d,J = 12Hz), 3.82-3.93 (1H,m), 4.08 (1H,s), 4.64 (1H,td,J = 11Hz,5Hz), 5.79 (1H,d,J = 8Hz), 6.91 (1H,d,J = 6Hz)

Example 79

Preparation of (1R,2R)-2-(Stearoylamino)cyclohexane-1-yl 3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)-amino]propionate

(1R,2R)-2-(N-Stearoylamino)cyclohexanol (3.81 g) and 2.59 g of 3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propionic acid were reacted in the same manner as in Example 15 to obtain 2.82 g of the

title compound (yield: 45%)
Property: Oily
Melting Point : 69.1 - 70.2
Specific Rotary Power $[\alpha]_D$: +25.8° (C = 1.0, $CHCl_3$)
IR(cm^{-1}, neat): $\nu_{C=O}$1734, 1660, 1646
Mass Spectrometric Analysis
Molecular Formula : $C_{36}H_{66}N_2O_6$
Calculated 622.4920
Found 622.4930
NMR(δ, $CDCl_3$):
0.88 (3H,t,J = 7Hz), 0.99 (3H,s), 1.04 (3H,s), 1.11-1.34 (32H,m), 1.43 (3H,s), 1.48 (3H,s), 1.50-1.82 (4H,m), 1.95-2.18 (2H,m), 2.10 (2H,t,J = 7Hz), 2.51 (1H,t,J = 6Hz), 3.29 (1H,d,J = 12Hz), 3.31-3.34 (1H,m), 3.57-3.68 (1H,m), 3.69 (1H,d,J = 12Hz), 3.83-3.95 (1H,m), 4.08 (1H,s), 4.64 (1H,td,J = 11Hz,5Hz), 5.74 (1H,d,J = 8Hz), 6.95 (1H,d,J = 6Hz)

Example 80

Preparation of (1S,2S)-2-(Linoleoylamino)cyclohexane-1-yl 3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)-amino]propionate

(1S,2S)-2-(N-Linoleoylamino)cyclohexanol (3.77 g) and 2.59 g of 3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propionic acid were reacted in the same manner as in Example 15 to obtain 2.72 g of the title compound (yield: 44%)
Property: Oily
Specific Rotary Power $[\alpha]_D$: +13.5° (C = 1.0, $CHCl_3$)
IR(cm^{-1}, neat): $\nu_{C=O}$1736, 1654
Mass Spectrometric Analysis
Molecular Formula : $C_{36}H_{62}N_2O_6$
Calculated 618.4607
Formula 618.4612
NMR(δ, $CDCl_3$):
0.88 (3H,t,J = 7Hz), 0.96 (3H,s), 1.04 (3H,s), 1.11-1.39 (18H,m), 1.43 (3H,s), 1.47 (3H,s), 1.51-1.81 (4H,m), 1.95-2.18 (6H,m), 2.10 (2H,t,J = 7Hz), 2.50 (2H,t,J = 6Hz), 2.77 (2H,d,J = 6Hz), 3.28 (1H,d,J = 12Hz), 3.46-3.57 (2H,m), 3.69 (1H,d,J = 12Hz), 3.32-3.43 (1H,m), 4.09 (1H,s), 4.64 (1H,td,J = 11Hz,5Hz), 5.28-5.43 (4H,m), 5.80 (1H,d,J = 8Hz), 6.91 (1H,d,J = 6Hz)

In a similar manner as described above, the following compounds were synthesized.

Example 81

(R)-1-Methyl-2-eleoylaminoethyl 3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propionate
Molecular Formula : $C_{33}H_{60}N_2O_6$
Molecular Weight : 580.85
Mass Spectrometric Analysis:
Calculated : 580.4451
Found : 580.4448
Melting Point (° C): Oil
Specific Rotary Power: $[\alpha]^{22}_D$ +31.1° (C = 1.0, $CHCl_3$)
IR(νneat, cm^{-1}) : 3332, 2932, 2860, 1740, 1660
NMR(δ, $CDCl_3$):
0.88 (3H,t,J = 7Hz), 0.99 (3H,s), 1.02 (3H,s), 1.21-1.38 (23H,m), 1.43 (3H,s), 1.47 (3H,s), 1.55-1.69 (2H,m), 1.91-2.08 (4H,m), 2.28 (2H,t,J = 7Hz), 2.44-2.62 (2H,m), 3.29 (1H,t,J = 12Hz), 3.30-3.53 (3H,m), 3.65-3.78 (1H,m), 3.68 (1H,d,J = 12Hz), 4.07 (3H,s), 4.92-5.03 (1H,m), 5.29-5.40 (2H,m), 6.30-6.38 (1H,m), 6.91 (1H,t,J = 6Hz)

Example 82

(S)-1-Methyl-2-oleoylaminoethyl-3-[N-(2,2,5,5 -tetramethyl-1,3-dioxane-4-carbonyl)amino]propionate
Molecular Formula : $C_{33}H_{60}N_2O_6$
Molecular Weight : 580.85
Mass Spectrometric Analysis:
Calculated : 580.4451
Found : 580.4458
Melting Point (°C): Oil
Specific Rotary Power: $[\alpha]^{22}_D + 21.6°$ (C = 1.0, $CHCl_3$)
IR(νneat, cm^{-1}): 3332, 2932, 2860, 1738, 1662
NMR(δ, $CDCl_3$):
0.88 (3H,t,J = 7Hz), 0.97 (3H,s), 1.04 (3H,s), 1.20-1.37 (23H,m), 1.43 (3H,s), 1.47 (3H,s), 1.56-1.68 (2H,m), 1.91-2.08 (4H,m), 2.20 (2H,t,J = 7Hz), 2.44-2.62 (2H,m), 3.26-3.35 (1H,m), 3.28 (1H,d,J = 12Hz), 3.42-3.58 (2H,m), 3.64-3.75 (1H,m), 3.70 (1H,d,J = 12Hz), 4.07 (1H,s), 4.93-5.03 (1H,m), 5.28-5.41 (2H,m), 6.27-6.34 (1H,m), 6.88-6.96 (1H,m)

Example 83

(1S,2S)-2-(Oleoylamino)cyclopentane-1-yl-3-[N-2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]-propionate
Molecular Formula : $C_{35}H_{62}N_2O_6$
Molecular Weight : 606.89
Mass Spectrometric Analysis:
Calculated : 606.4607
Found : 606.4617
Melting Point (°C): Oil
Specific Rotary Power: $[\alpha]^{23}_D + 24.5°$ (C = 1.0, $CHCl_3$)
IR(νneat, cm^{-1}): 3324, 2932, 2860, 1736, 1654
NMR(δ, $CDCl_3$):
0.88 (3H,t,J = 7Hz), 0.96 (3H,s), 1.04 (3H,s), 1.20-1.48 (22H,m), 1.43 (3H,s), 1.46 (3H,s), 1.52-2.09 (9H,m), 2.13 (2H,t,J = 7Hz), 2.18-2.22 (1H,m), 2.54 (2H,t,J = 6Hz), 3.28 (1H,d,J = 12Hz), 3.48-3.59 (2H,m), 3.69 (1H,d,J = 12Hz), 4.08 (1H,s), 4.08-4.19 (1H,m), 4.92-5.01 (1H,m), 5.29-5.40 (2H,m), 5.72 (1H,d,J = 7Hz), 6.98 (1H,t,J = 6Hz)

Example 84

(1R,2R)-2-(Oleoylamino)cyclopentane-1-yl-3-[N-2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]-propionate
Molecular Formula : $C_{35}H_{62}N_2O_6$
Molecular Weight : 606.89
Mass Spectrometric Analysis:
Calculated : 606.4607
Found : 606.4614
Melting Point (°C): Oil
Specific Rotary Power: $[\alpha]^{24}_D + 14.9°$ (C = 1.0, $CHCl_3$)
IR(νneat, cm^{-1}): 3328, 2932, 2860, 1740, 1656
NMR(δ, $CDCl_3$):
0.88 (3H,t,J = 7Hz), 0.99 (3H,s), 1.04 (3H,s), 1.21-1.47 (22H,m), 1.43 (3H,s), 1.46 (3H,s), 1.53-2.12 (9H,m), 2.13 (2H,t,J = 7Hz), 2.18-2.31 (1H,m), 2.54 (2H,t,J = 6Hz), 3.28 (1H,d,J = 12Hz), 3.36-3.49 (1H,m), 3.58-3.69 (1H,m), 3.69 (1H,d,J = 12Hz), 4.08 (1H,s), 4.09-4.20 (1H,m), 4.95-5.02 (1H,m), 5.29-5.40 (2H,m), 5.72 (1H,d,J = 7Hz), 7.02 (1H,t,J = 6Hz)

Example 85

(Z)-4-Oleoylamino-2-butenyl-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propionate
Molecular Formula : $C_{34}H_{60}N_2O_6$
Molecular Weight : 592.80
Mass Spectrometric Analysis:
Calculated : 592.4451
Found : 592.4424
Melting Point (°C): Oil
Specific Rotary Power: $[\alpha]^{24}_D + 22.2°$ (C = 1.0, $CHCl_3$)
IR(νneat, cm^{-1}): 3336, 2932, 2860, 1740, 1660
NMR(δ, $CDCl_3$):
0.88 (3H,t,J = 7Hz), 0.90 (3H,s), 1.04 (3H,s), 1.20-1.38 (20H,m), 1.43 (3H,s), 1.46 (3H,s), 1.54-1.69 (2H,m), 1.91-2.08 (4H,m), 2.17 (2H,t,J = 7Hz), 2.57 (2H,t,J = 6Hz), 3.28 (1H,d,J = 12Hz), 3.42-3.67 (2H,m), 3.69 (1H,d,J = 12Hz), 3.97 (2H,dd,J = 6Hz,6Hz), 4.08 (1H,s), 4.70 (2H,d,J = 6Hz), 5.29-5.40 (2H,m), 5.59-5.80 (3H,m), 6.88-6.96 (1H,m)

Example 86

(R)-2-Methyl-2-oleoylaminoethyl 3-[N-(2,5,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propionate
Molecular Formula : $C_{33}H_{60}N_2O_6$
Molecular Weight : 580.85
Mass Spectrometric Analysis:
Calculated : 580.4451
Found : 580.4458
Melting Point (°C): Oil
Specific Rotary Power: $[\alpha]^{25}_D + 31.0°$ (C = 1.0, $CHCl_3$)
IR(νneat, cm^{-1}): 3324, 2932, 2860, 1740, 1660
NMR(δ, $CDCl_3$):
0.88 (3H,t,J = 7Hz), 0.98 (3H,s), 1.04 (3H,s), 1.18 (3H,d,J = 6Hz), 1.23-1.39 (20H,m), 1.43 (3H,s), 1.47 (3H,s), 1.57-1.68 (2H,m), 1.92-2.08 (4H,m), 2.16 (2H,t,J = 7Hz), 2.58 (2H,t,J = 6Hz), 3.28 (1H,d,J = 12Hz), 3.57 (2H,dt,J = 6Hz,6Hz), 3.69 (1H,d,J = 12Hz), 4.03-4.14 (2H,m), 4.07 (1H,s), 4.26-4.37 (1H,m), 5.29-5.40 (2H,m), 5.84 (1H,d,J = 8Hz), 6.98 (1H,t,J = 6Hz)

Example 87

(S)-2-Methyl-2-oleoylaminoethyl 3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propionate
Molecular Formula : $C_{33}H_{60}N_2O_6$
Molecular Weight : 580.85
Mass Spectrometric Analysis:
Calculated : 580.4451
Found : 580.4442
Melting Point (°C): Oil
Specific Rotary Power: $[\alpha]^{24}_D + 13.1°$ (C = 1.0, $CHCl_3$)
IR(νneat, cm^{-1}): 3320, 2932, 2860, 1744, 1654
NMR(δ, $CDCl_3$):
0.88 (3H,t,J = 7Hz), 0.97 (3H,s), 1.03 (3H,s), 1.16 (3H,d,J = 6Hz), 1.21-1.39 (20H,m), 1.42 (3H,s), 1.47 (3H,s), 1.54-1.68 (2H,m), 1.92-2.08 (4H,m), 2.17 (2H,t,J = 7Hz), 2.58 (2H,t,J = 6Hz), 3.28 (1H,d,J = 12Hz), 3.49-3.67 (2H,m), 3.69 (1H,d,J = 12Hz), 4.05 (1H,dd,J = 11Hz, 4Hz), 4.07 (1H,s), 4.13 (1H,dd,J = 11Hz,5Hz), 4.22-4.36 (1H,m), 5.29-5.42 (2H,m), 5.92 (1H,d,J = 8Hz), 6.92 (1H,t,J = 5Hz)

Example 88

(E)-4-Oleoylaminobutenyl 3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propionate
Molecular Formula : $C_{34}H_{60}N_2O_6$
Molecular Weight : 592.86
Mass Spectrometric Analysis:

Calculated : 592.4451
Found : 592.4459
Melting Point (°C): Oil
Specific Rotary Power: $[\alpha]^{25}_{D}$ +22.1° (C = 1.0, $CHCl_3$)
IR(νneat, cm^{-1}): 3328, 2932, 2860, 1740, 1660
NMR(δ, $CDCl_3$):
0.88 (3H,t,J = 7Hz), 0.96 (3H,s), 1.04 (3H,s), 1.21-1.38 (20H,m), 1.43 (3H,s), 1.46 (3H,s), 1.56-1.69 (2H,m), 1.91-2.08 (4H,m), 2.18 (2H,t,J = 7Hz), 2.58 (2H,t,J = 6Hz), 3.28 (1H,d,J = 12Hz), 3.41-3.68 (2H,m), 3.69 (1H,d,J = 12Hz), 3.90 (2H,dd,J = 6Hz,6Hz), 4.08 (1H,s), 4.57 (2H,d,J = 6Hz), 5.28-5.41 (2H,m), 5.52-5.62 (1H,m), 5.65-5.83 (2H,m), 6.95 (1H,t,J = 6Hz)

Example 89

4-Oleoylaminobutenyl 3-[N-(2,2,5,5-tetramethyl -1,3-dioxane-4-carbonyl)amino]propionate
Molecular Formula : $C_{34}H_{58}N_2O_6$
Molecular Weight : 590.85
Mass Spectrometric Analysis:
Calculated : 590.4294
Found : 590.4279
Specific Rotary Power: $[\alpha]^{25}_{D}$ +21.2° (C = 1.0, $CHCl_3$)
IR(νneat, cm^{-1}): 3320, 2932, 2860, 1748, 1662
NMR(δ, $CDCl_3$):
0.88 (3H,t,J = 7Hz), 0.97 (3H,s), 1.04 (3H,s), 1.21-1.39 (20H,m), 1.43 (3H,s), 1.47 (3H,s), 1.58-1.72 (2H,m), 1.92-2.08 (4H,m), 2.18 (2H,t,J = 7Hz), 2.61 (2H,t,J = 6Hz), 3.28 (1H,d,J = 12Hz), 3.42-3.68 (2H,m), 3.70 (1H,d,J = 12Hz), 4.08 (1H,s), 4.08-4.11 (2H,m), 4.69-4.72 (2H,m), 5.29-5.42 (2H,m), 5.68-5.78 (1H,m), 6.96 (1H,t,J = 5Hz)

Example 90

(R)-2-Oleoylamino-2-phenylethyl 3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propionate
Molecular Formula : $C_{38}H_{62}N_2O_6$
Molecular Weight : 642.92
Mass Spectrometric Analysis:
Calculated : 642.4607
Found : 642.4613
Melting Point (°C): Oil
Specific Rotary Power: $[\alpha]^{24}_{D}$ -0.4° (C = 1.0, $CHCl_3$)
IR(ν_{neat}, cm^{-1}): 3320, 2932, 2864, 1744, 1654
NMR(δ, $CDCl_3$):
0.88 (3H,t,J = 7Hz), 0.90 (3H,s), 1.04 (3H,s), 1.21-1.38 (20H,m), 1.44 (3H,s), 1.47 (3H,s), 1.57-1.70 (2H,m), 1.92-2.08 (4H,m), 2.25 (2H,t,J = 7Hz), 2.52 (2H,t,J = 6Hz), 3.28 (1H,d,J = 12Hz), 3.46-3.65 (2H,m), 3.69 (1H,d,J = 12Hz), 4.08 (1H,s), 4.29-4.39 (2H,m), 5.29-5.42 (3H,m), 6.60 (1H,d,J = 8Hz), 6.93 (1H,t,J = 5Hz), 7.26-7.38 (5H,m)

Example 91

(S)-2-Oleoylamino-2-phenylethyl 3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propionate
Molecular Formula : $C_{38}H_{62}N_2O_6$
Molecular Weight : 642.92
Mass Spectrometric Analysis:
Calculated : 642.4607
Found : 642.4613
Melting Point (°C): Oil
Specific Rotary Power: $[\alpha]^{26}_{D}$ +40.2° (C = 1.0, $CHCl_3$)
IR(νneat, cm^{-1}): 3320, 2932, 2860, 1742, 1660

NMR(δ, $CDCl_3$):
0.88 (3H,t,J = 7Hz), 0.90 (3H,s), 1.03 (3H,s), 1.21-1.39 (20H,m), 1.42 (3H,s), 1.46 (3H,s), 1.57-1.74 (2H,m), 1.91-2.08 (4H,m), 2.25 (2H,t,J = 7Hz), 2.51 (2H,t,J = 6Hz), 3.28 (1H,d,J = 12Hz), 3.42-3.67 (2H,m), 3.68 (1H,d,J = 12Hz), 4.05 (1H,s), 4.31 (1H,dd,J = 12Hz,5Hz), 4.39 (1H,dd,J = 12Hz,6Hz), 5.28-5.41 (3H,m), 6.59 (1H,d,J = 8Hz) 6.91 (1H,t,J = 5Hz), 7.25-7.38 (5H,m)

Example 92

(Trans)-2-(oleoylamino)cyclopentane-1-yl 3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]-propionate
Molecular Formula : $C_{37}H_{66}N_2O_6$
Molecular Weight : 634.94
Mass Spectrometric Analysis:
Calculated : 634.4920
Found : 634.4911
Melting Point (°C): Oil
Specific Rotary Power: $[\alpha]^{26}_D$ +22.0° (C = 1.0, $CHCl_3$)
IR(νneat, cm^{-1}): 3328, 2932, 2864, 1734, 1660
NMR(δ, $CDCl_3$):
0.88 (3H,t,J = 7Hz), 1.00 (3H,s), 1.04 (3H,s), 1.21-1.38 (20H,m), 1.41-2.08 (16H,m), 1.43 (3H,s), 1.47 (3H,s), 2.09 (2H,t,J = 7Hz), 2.50 (2H,t,J = 6Hz), 3.28 (1H,d,J = 12Hz), 3.32-3.44 (1H,m), 3.57-3.68 (1H,m), 3.69 (1H,d,J = 12Hz), 3.99-4.09 (1H,m), 4.08 (1H,s), 4.77-4.84 (1H,m), 5.29-5.40 (2H,m), 5.82 (1H,d,J = 8Hz), 6.97 (1H,t,J = 6Hz)

Example 93

(Trans)-2-(oleoylamino)cyclopentane-1-yl 3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]-propionate
Molecular Formula : $C_{37}H_{66}N_2O_6$
Molecular Weight : 634.94
Mass Spectrometric Analysis:
Calculated : 634.4920
Found : 634.4904
Melting Point (°C): Oil
Specific Rotary Power: $[\alpha]^{26}_D$ +13.1° (C = 1.0, $CHCl_3$)
IR(νneat, cm^{-1}): 3324, 2932, 2864, 1734, 1650
NMR(δ, $CDCl_3$):
0.88 (3H,t,J = 7Hz), 0.96 (3H,s), 1.04 (3H,s), 1.21-1.38 (20H,m), 1.40-2.08 (16H,m), 1.43 (3H,s), 1.47 (3H,s), 2.10 (2H,t,J = 7Hz), 2.50 (2H,t,J = 6Hz), 3.28 (1H,d,J = 12Hz), 3.51 (2H,tt,J = 6Hz,6Hz), 3.69 (1H,d,J = 12Hz), 3.97-4.08 (1H,m), 4.09 (1H,s), 4.77-4.84 (1H,m), 5.29-5.42 (2H,m), 5.89 (1H,d,J = 8Hz), 6.92 (1H,t,J = 6Hz)

Example 94

(S)-3-Methyl-2-oleoylaminobutyl 3-[N-(2,2,5,5 -tetramethyl-1,3-dioxane-4-carbonyl)amino]propionate
Molecular Formula : $C_{35}H_{64}N_2O_6$
Molecular Weight : 608.91
Mass Spectrometric Analysis:
Calculated : 608.4764
Found : 608.4741
Melting Point (°C): Oil
Specific Rotary Power: $[\alpha]^{24}_D$ +4.9° (C = 1.0, $CHCl_3$)
IR(νneat, cm^{-1}): 3324, 2932, 2860, 1734, 1652
NMR(δ, $CDCl_3$):
0.88 (3H,t,J = 7Hz), 0.93 (3H,d,J = 6Hz), 0.95 (3H,d,J = 6Hz), 0.97 (3H,s), 1.03 (3H,s), 1.21-1.39 (20H,m), 1.43 (3H,s), 1.47 (3H,s), 1.56-1.86 (3H,s), 1.90-2.08 (4H,m), 2.20 (2H,t,J = 7Hz), 2.56 (2H,t,J = 6Hz), 3.28 (1H,d,J =

12Hz), 3.56 (2H,dt,J = 6Hz,6Hz), 3.68 (1H,d,J = 12Hz), 3.95-4.29 (3H,m), 4.07 (1H,s), 5.29-5.41 (2H,m), 5.79 (1H,d,J = 8Hz), 6.93 (1H,t,J = 6Hz)

Example 95

(S)-2-Oleoylaminobutyl 3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propionate
Molecular Formula : $C_{34}H_{62}N_2O_6$
Molecular Weight : 594.88
Mass Spectrometric Analysis:
Calculated : 594.4607
Found : 594.4597
Melting Point (°C): Oil
Specific Rotary Power: $[\alpha]^{25}_D$ +6.2° (C = 1.0, $CHCl_3$)
IR(ν neat, cm^{-1}): 3320, 2932, 2864, 1742, 1652
NMR(δ, $CDCl_3$):
0.88 (3H,t,J = 7Hz), 0.91 (3H,d,J = 7Hz), 0.97 (3H,s), 1.03 (3H,s), 1.21-1.38 (20H,m), 1.42 (3H,s), 1.44-1.68 (4H,m), 1.47 (3H,s), 1.91-2.08 (4H,m), 2.17 (2H,t,J = 7Hz), 2.58 (2H,t,J = 6Hz), 3.29 (1H,d,J = 12Hz), 3.57 (2H,dt,J = 6Hz,6Hz), 3.68 (1H,d,J = 12Hz), 4.03-4.24 (3H,m), 4.07 (1H,s), 5.29-5.42 (2H,m), 5.84 (1H,d,J = 8Hz), 6.92 (1H,t,J = 6Hz)

Example 96

2-Oleoylamino-1-phenylethyl 3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propionate
Molecular Formula : $C_{38}H_{62}N_2O_6$
Molecular Weight : 642.92
Mass Spectrometric Analysis:
Calculated : 642.4607
Found : 642.4606
Melting Point (°C): Oil
Specific Rotary Power: $[\alpha]^{24}_D$ +24.3° (C = 1.0, $CHCl_3$)
IR(ν neat, cm^{-1}): 3324, 2932, 2864, 1744, 1660
NMR(δ, $CDCl_3$):
0.88 (3H,t,J = 7Hz), 0.91 (3/2H,s), 0.99 (3/2H,s), 1.03 (3/2H,s), 1.04 (3/2H,s), 1.19-1.38 (20H,m), 1.41 (3/2H,s), 1.42 (3/2H,s), 1.43 (3H,s), 1.52-1.66 (2H,m), 1.92-2.08 (4H,m), 2.12-2.22 (2H,m), 2.48-2.67 (2H,m), 3.26 (1/2H,d,J = 12Hz), 3.29 (1/2H,d,J = 12Hz), 3.42-3.85 (4H,m), 3.68 (1H,d,J = 12Hz), 4.06 (1/2H,s), 4.07 (1/2H,s), 5.29-5.41 (2H,m), 5.84 (1/2H,d,J = 8Hz), 5.86 (1/2H,d,J = 8Hz), 6.16-6.27 (1H,m), 6.88-6.97 (1H,m), 7.27-7.38 (5H,m)

Example 97

(S)-2-Oleoylamino-3-phenylpropyl 3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propionate
Molecular Formula : $C_{39}H_{64}N_2O_6$
Molecular Weight : 656.95
Mass Spectrometric Analysis:
Calculated : 656.4764
Found : 656.4740
Melting Point (°C): Oil
Specific Rotary Power: $[\alpha]^{25}_D$ +18.3° (C = 1.0, $CHCl_3$)
IR(ν neat, cm^{-1}): 3316, 2932, 2860, 1742, 1660
NMR(δ, $CDCl_3$):
0.88 (3H,t,J = 7Hz), 0.97 (3H,s), 1.03 (3H,s), 1.17-1.38 (20H,m), 1.41 (3H,s), 1.46 (3H,s), 1.50-1.68 (2H,m), 1.92-2.08 (4H,m), 2.16 (2H,t,J = 7Hz), 2.59 (2H,t,J = 6Hz), 2.78 (1H,dd,J = 13Hz,7Hz), 2.89 (1H,dd,J = 13Hz,6Hz), 3.28 (1H,d,J = 12Hz), 3.39-3.69 (2H,m), 3.69 (1H,d,J = 12Hz), 4.04-4.09 (2H,m), 4.08 (1H,s), 4.37-4.44 (1H,m), 5.28-5.41 (2H,m), 6.07 (1H,d,J = 8Hz), 6.93 (1H,t,J = 5Hz), 7.16-7.32 (5H,m)

Example 98

(S)-4-Methyl-2-oleoylaminopentyl 3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propionate
Molecular Formula : $C_{36}H_{66}N_2O_6$
Molecular Weight : 622.93
Mass Spectrometric Analysis:
Calculated : 622.4920
Found : 622.4895
Melting Point (°C): Oil
Specific Rotary Power: $[\alpha]^{25}_D$ +7.6° (C = 1.0, $CHCl_3$)
IR(νneat, cm^{-1}): 3320, 2932, 2864, 1742, 1652
NMR(δ, $CDCl_3$):
0.88 (3H,t,J = 7Hz), 0.91 (3H,t,J = 6Hz), 0.93 (3H,t,J = 6Hz), 0.97 (3H,s), 1.03 (3H,s), 1.19-1.41 (20H,m), 1.42 (3H,s), 1.47 (3H,s), 1.53-1.77 (5H,m), 1.90-2.08 (4H,m), 2.17 (2H,t,J = 7Hz), 2.57 (2H,t,J = 6Hz), 3.28 (1H,d,J = 12Hz), 3.56 (2H,dt,J = 6Hz,6Hz), 3.68 (1H,d,J = 12Hz), 4.07 (1H,s), 4.07 (1H,dd,J = 11Hz,4Hz), 4.13 (1H,dd,J = 11Hz,4Hz), 4.21-4.35 (1H,m), 5.28-5.41 (2H,m), 5.72 (1H,d,J = 8Hz), 6.94 (1H,t,J = 6Hz)

Example 99

2-(1-Oleoylaminocyclohexyl)ethyl 3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propionate
Molecular Formula : $C_{37}H_{66}N_2O_6$
Molecular Weight : 634.94
Mass Spectrometric Analysis:
Calculated : 634.4920
Found : 634.4899
Melting Point (°C): Oil
Specific Rotary Power: $[\alpha]^{29}_D$ +22.0° (C = 1.0, $CHCl_3$)
IR(νneat, cm^{-1}): 3352, 2936, 2864, 1742, 1664
NMR(δ, $CDCl_3$):
0.88 (3H,t,J = 7Hz), 0.97 (3H,s), 1.04 (3H,s), 1.21-1.67 (32H,m), 1.42 (3H,s), 1.46 (3H,s), 1.91-2.13 (3H,m), 2.15 (2H,t,J = 7Hz), 2.56 (2H,t,J = 6Hz), 3.28 (1H,d,J = 12Hz), 3.46-3.63 (2H,m), 3.68 (1H,d,J = 12Hz), 4.07 (1H,s), 4.31 (1H,d,J = 11Hz), 4.36 (1H,d,J = 11Hz), 5.13 (1H,s), 5.28-5.42 (2H,m), 6.96 (1H,t,J = 5Hz)

Example 100

(S)-2-Oleoylamino-2-phenylethyl N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)aminopropionate
Molecular Formula : $C_{37}H_{60}N_2O_6$
Molecular Weight : 628.90
Mass Spectrometric Analysis:
Calculated : 628.4451
Found : 628.4440
Melting Point (°C): Oil
Specific Rotary Power: $[\alpha]^{29}_D$ +46.9° (C = 1.0, $CHCl_3$)
IR(νneat, cm^{-1}): 3320, 2932, 2864, 1760, 1662
NMR(δ, $CDCl_3$):
0.88 (3H,t,J = 7Hz), 1.04 (6H,s), 1.19-1.38 (20H,m), 1.43 (3H,s), 1.48 (3H,s), 1.51-1.69 (2H,m), 1.91-2.05 (4H,m), 2.24 (2H,t,J = 7Hz), 3.30 (1H,d,J = 12Hz), 3.69 (1H,d,J = 12Hz), 3.98 (2H,d,J = 5Hz), 4.09 (1H,s), 4.39 (1H,dd,J = 11Hz,6Hz), 4.56 (1H,dd,J = 11Hz,5Hz), 5.28-5.40 (3H,m), 6.25 (1H,d,J = 8Hz), 7.00 (1H,t,J = 5Hz), 7.26-7.39 (5H,m)

Example 101

(S)-2-Oleoylamino-2-phenylethyl 4-[N-(2,2,5,5 -tetramethyl-1,3-dioxane-4-carbonyl)animo]butanoate
Molecular Formula : $C_{39}H_{64}N_2O_6$
Molecular Weight : 656.95

Mass Spectrometric Analysis:
Calculated : 656.4764
Found : 656.4770
Melting Point (°C): Oil
Specific Rotary Power: $[\alpha]^{30}_D$ +41.4° (C = 1.0, $CHCl_3$)
IR(νneat, cm^{-1}): 3320, 2932, 2864, 1744, 1654
NMR(δ, $CDCl_3$):
0.88 (3H,t,J = 7Hz), 0.99 (6H,s), 1.06 (3H,s), 1.21-1.38 (20H,m), 1.44 (3H,s), 1.48 (3H,s), 1.56-2.07 (8H,m), 2.26 (2H,t,J = 7Hz), 2.32 (2H,d,J = 6Hz), 3.16-3.38 (2H,m), 3.30 (1H,d,J = 12Hz), 3.70 (1H,d,J = 12Hz), 4.09 (1H,s), 4.38 (1H,dd,J = 11Hz,6Hz), 4.44 (1H,dd,J = 11Hz,5Hz), 5.28-5.42 (3H,m), 6.64 (1H,d,J = 5Hz), 6.76 (1H,t,J = 8Hz), 7.26-7.38 (5H,m)

Example 102

(S)-2-Oleoylamino-2-phenylethyl 5-[N-(2,2,5,5 -tetramethyl-1,3-dioxane-4-carbonyl)amino]pentanoate
Molecular Formula : $C_{40}H_{66}N_2O_6$
Molecular Weight : 670.98
Mass Spectrometric Analysis:
Calculated : 670.4920
Found : 670.4912
Melting Point (°C): Oil
Specific Rotary Power: $[\alpha]^{30}_D$ +40.6° (C = 1.0, $CHCl_3$)
IR(νneat, cm^{-1}): 3324, 2932, 2864, 1742, 1654
NMR(δ, $CDCl_3$):
0.88 (3H,t,J = 7Hz), 0.99 (3H,s), 1.05 (3H,s), 1.20-1.38 (20H,m), 1.43 (3H,s), 1.47 (3H,s), 1.47-1.70 (6H,m), 1.92-2.08 (4H,m), 2.22 (2H,t,J = 7Hz), 2.33 (2H,d,J = 6Hz), 3.12-3.30 (2H,m), 3.29 (1H,d,J = 12Hz), 3.69 (1H,d,J = 12Hz), 4.08 (1H,s), 4.28 (1H,dd,J = 11Hz,5Hz), 4.43 (1H,dd,J = 11Hz,6Hz), 5.28-5.40 (3H,m), 6.19 (1H,d,J = 8Hz), 6.68 (1H,t,J = 5Hz), 7.26-7.39 (5H,m)

Example 103

(1S,2S)-2-(2,2,-Dimethylstearoryl)aminocyclohexane-1-yl 3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propionate
Molecular Formula : $C_{38}H_{70}N_2O_6$
Molecular Weight : 650.99
Mass Spectrometric Analysis:
Calculated : 650.5233
Found : 650.5244
Melting Point (°C): Oil
Specific Rotary Power: $[\alpha]^{28}_D$ +10.6° (C = 1.0, $CHCl_3$)
IR(νneat, cm^{-1}): 3380, 2932, 2860, 1734
NMR(δ, $CDCl_3$):
0.88 (3H,t,J = 7Hz), 0.96 (3H,s), 1.04 (3H,s), 1.09 (6H,s), 1.10-2.16 (38H,m), 1.43 (3H,s), 1.47 (3H,s), 2.42-2.62 (2H,m), 3.28 (1H,d,J = 12Hz), 3.39-3.63 (2H,m), 3.69 (1H,d,J = 12Hz), 3.81-3.93 (1H,m), 4.08 (1H,s), 4.73 (1H,ddd,J = 11Hz,11Hz,4Hz), 5.80 (1H,d,J = 8Hz), 6.92 (1H,t,J = 5Hz)

Example 104

(1S,2S)-2-(2,2,-Dimethyloleoyl)aminocyclohexane-1-yl 3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)-amino]propionate
Molecular Formula : $C_{38}H_{68}N_2O_6$
Molecular Weight : 648.97
Mass Spectrometric Analysis:
Calculated : 648.5077
Found : 648.5063

Melting Point (°C): Oil
Specific Rotary Power: $[\alpha]^{28}_D$ +10.9° (C = 1.0, $CHCl_3$)
IR(νneat, cm^{-1}): 3380, 2936, 2864, 1734, 1672
NMR(δ, $CDCl_3$):
0.88 (3H,t,J = 7Hz), 0.96 (3H,s), 1.00-2.18 (34H,m), 1.03 (3H,s), 1.08 (6H,s), 1.42 (3H,s), 1.47 (3H,s), 2.41-2.62 (2H,m), 3.28 (1H,d,J = 12Hz), 3.38-3.62 (2H,m), 3.69 (1H,d,J = 12Hz), 3.80-3.92 (1H,m), 4.07 (1H,s), 4.73 (1H,ddd,J = 11Hz,11Hz,4Hz), 5.28-5.41 (2H,m), 5.79 (1H,d,J = 8Hz), 6.92 (1H,t,J = 5Hz)

Example 105

(1S,2S)-2-(2-Methyloleoyl)aminocyclohexane-1-yl 3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)-amino]propionate
Molecular Formula : $C_{37}H_{66}N_2O_6$
Molecular Weight : 634.94
Mass Spectrometric Analysis:
Calculated : 634.4920
Found : 634.4950
Melting Point (°C): Oil
Specific Rotary Power: $[\alpha]^{28}_D$ +10.8° (C = 1.0, $CHCl_3$)
IR(νneat, cm^{-1}): 3324, 2936, 2864, 1734
NMR(δ, $CDCl_3$):
0.88 (3H,t,J = 7Hz), 0.96 (3H,s), 1.03 (3H,s), 1.06 (3/2H,d,J = 7Hz), 1.08 (3/2H,d,J = 7Hz), 1.09-2.18 (35H,m), 1.43 (3H,s), 1.47 (3H,s), 2.50 (2H,t,J = 6Hz), 3.28 (1H,d,J = 12Hz), 3.51 (2H,dt,J = 6Hz,6Hz), 3.69 (1H,d,J = 12Hz), 3.81-3.94 (1H,m), 4.08 (1H,s), 4.61-4.73 (1H,m), 5.28-5.42 (2H,m), 5.70-5.78 (1H,m), 6.91 (1H,t,J = 6Hz)

Example 106

(1S,2S)-2-(2-Methylpalmitoyl)aminocyclohexane-1-yl 3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)-amino]propionate
Molecular Formula : $C_{35}H_{64}N_2O_6$
Molecular Weight : 608.91
Mass Spectrometric Analysis:
Calculated : 608.4764
Found : 608.4754
Melting Point (°C): 77 - 79° C (benzene/hexane)
Specific Rotary Power: $[\alpha]^{28}_D$ +14.4° (C = 1.0, $CHCl_3$)
IR(νKBr, cm^{-1}): 3312, 2932, 2860, 1742, 1652
NMR(δ, $CDCl_3$):
0.88 (3H,t,J = 7Hz), 0.96 (3H,s), 1.03 (3H,s), 1.39 (3H,d,J = 7Hz), 1.10-2.18 (35H,m), 1.43 (3H,s), 1.47 (3H,s), 2.43-2.58 (2H,m), 3.28 (1H,d,J = 12Hz), 3.51 (2H,dt,J = 6Hz,6Hz), 3.69 (1H,d,J = 12Hz), 3.38-3.93 (1H,m), 4.08 (1H,s), 4.68 (1H,ddd,J = 11Hz,11Hz,4Hz), 5.76 (1H,d,J = 8Hz), 6.91 (1H,t,J = 6Hz)

Example 107

(1S,2S)-2-(2-Methylpalmitoyl)aminocyclohexane-1-yl 3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)-amino]propionate
Molecular Formula : $C_{35}H_{64}N_2O_6$
Molecular Weight : 608.91
Mass Spectrometric Analysis:
Calculated : 608.4764
Found : 608.4762
Melting Point (°C): 92 - 94° C (benzene/hexane)
Specific Rotary Power: $[\alpha]^{19}_D$ +6.7° (C = 1.0, $CHCl_3$)
IR(νKBr, cm^{-1}): 3284, 2928, 2860, 1736, 1652

NMR(δ, $CDCl_3$):
0.88 (3H,t,J = 7Hz), 0.96 (3H,s), 1.03 (3H,s), 1.06 (3H,d,J = 7Hz), 1.10-2.17 (35H,m), 1.43 (3H,s), 1.47 (3H,s), 2.50 (2H,t,J = 6Hz), 3.28 (1H,d,J = 12Hz), 3.51 (2H,dt,J = 6Hz,6Hz), 3.69 (1H,d,J = 12Hz), 3.82-3.95 (1H,m), 4.08 (1H,s), 4.67 (1H,ddd,J = 11Hz,11Hz,4Hz), 5.73 (1H,d,J = 8Hz), 6.92 (1H,t,J = 6Hz)

Example 108

(1S,2S)-2-(2-Ethylmyristoyl)aminocyclohexane-1-yl 3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)-amino]propionate
Molecular Formula : $C_{34}H_{62}N_2O_6$
Molecular Weight : 594.88
Mass Spectrometric Analysis:
Calculated : 594.4607
Found : 594.4621
Melting Point (°C): Oil
Specific Rotary Power: $[\alpha]^{19}_D$ +10.1° (C = 1.0, $CHCl_3$)
IR(νneat, cm^{-1}): 3320, 2936, 2864, 1734, 1648
NMR(δ, $CDCl_3$):
0.85 (3H,t,J = 7Hz), 0.88 (3H,d,J = 7Hz), 0.96 (3H,s), 1.04 (3H,s), 1.10-2.23 (33H,m), 1.43 (3H,s), 1.47 (3H,s), 2.42-2.59 (2H,m), 3.28 (1H,d,J = 12Hz), 3.51 (2H,dt,J = 6Hz,6Hz), 3.69 (1H,d,J = 12Hz), 3.38-3.95 (1H,m), 4.08 (1H,s), 4.68 (1H,ddd,J = 11Hz,11Hz,4Hz), 5.85 (1H,d,J = 8Hz), 6.92 (1H,t,J = 6Hz)

Example 109

(1S,2S)-2-(2-Ethylmyristoyl)aminocyclohexane-1-yl 3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)-amino]propionate
Molecular Formula : $C_{34}H_{62}N_2O_6$
Molecular Weight : 594.88
Mass Spectrometric Analysis:
Calculated : 594.4607
Found : 594.4591
Melting Point (°C): Calomel
Specific Rotary Power: $[\alpha]^{20}_D$ +9.6° (C = 1.0, $CHCl_3$)
IR(νKBr, cm^{-1}): 3288, 2928, 2860, 1736, 1680, 1648
NMR(δ, $CDCl_3$):
0.82 (3H,t,J = 7Hz), 0.88 (3H,d,J = 7Hz), 0.96 (3H,s), 1.03 (3H,s), 1.09-2.18 (33H,m), 1.43 (3H,s), 1.47 (3H,s), 2.41-2.58 (2H,m), 3.28 (1H,d,J = 12Hz), 3.51 (2H,dd,J = 6Hz,6Hz), 3.69 (1H,d,J = 12Hz), 3.85-3.98 (1H,m), 4.08 (1H,s), 4.68 (1H,ddd,J = 11Hz,11Hz,4Hz), 5.79 (1H,d,J = 8Hz), 6.92 (1H,t,J = 6Hz)

Example 110

(1S,2S)-2-(2-Propylstearoyl)aminocyclohexane-1-yl 3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)-amino]propionate
Molecular Formula : $C_{39}H_{72}N_2O_6$
Molecular Weight : 665.01
Mass Spectrometric Analysis:
Calculated : 664.5390
Found : 664.5395
Melting Point (°C): Calomel
Specific Rotary Power: $[\alpha]^{19}_D$ +9.6° (C = 1.0, $CHCl_3$)
IR(νneat, cm^{-1}): 3288, 2932, 2860, 1730, 1670, 1644
NMR(δ, $CDCl_3$):
0.87 (3H,t,J = 7Hz), 0.88 (3H,d,J = 7Hz), 0.96 (3H,s), 1.04 (3H,s), 1.12-2.23 (43H,m), 1.43 (3H,s), 1.47 (3H,s), 2.42-2.58 (2H,m), 3.28 (1H,d,J = 12Hz), 3.51 (2H,dt,J = 6Hz,6Hz), 3.69 (1H,d,J = 12Hz), 3.83-3.95 (1H,m), 4.08 (1H,s), 4.68 (1H,ddd,J = 11Hz,11Hz,4Hz), 5.82 (1H,d,J = 8Hz), 6.92 (1H,t,J = 6Hz)

Example 111

(1S,2S)-2-(2-Propylstearoyl)aminocyclohexane-1-yl 3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)-amino]propionate
Molecular Formula : $C_{39}H_{72}N_2O_6$
Molecular Weight : 665.01
Mass Spectrometric Analysis:
Calculated : 664.5390
Found : 664.5390
Melting Point (°C): 103 - 105°C (benzene/hexane)
Specific Rotary Power: $[\alpha]^{20}_D$ +8.0° (C = 1.0, $CHCl_3$)
IR(νKBr, cm^{-1}): 3288, 2928, 2860, 1730, 1666, 1644
NMR(δ, $CDCl_3$):
0.86 (3H,t,J = 7Hz), 0.88 (3H,t,J = 7Hz), 0.96 (3H,s), 1.04 (3H,s), 1.11-2.21 (43H,m), 1.43 (3H,s), 1.47 (3H,s), 2.41 2.60 (2H,m), 3.28 (1H,d,J = 12Hz), 3.51 (2H,dt,J = 6Hz,6Hz), 3.69 (1H,d,J = 12Hz), 3.83-3.97 (1H,m), 4.08 (1H,s), 4.68 (1H,ddd,J = 11Hz,11Hz,4Hz), 5.77 (1H,d,J = 8Hz), 6.92 (1H,t,J = 6Hz)

Example 112

(1S,2S)-2-(1-Laurylcyclopentanecarbonyl)aminocyclohexane-1-yl 3-[N-(2,2,5,5-tetramethyl-1,3-dioxane -4-carbonyl)amino]propionate
Molecular Formula : $C_{36}H_{64}N_2O_6$
Molecular Weight : 620.92
Mass Spectrometric Analysis:
Calculated : 620.4764
Found : 620.4775
Melting Point (°C): Oil
Specific Rotary Power: $[\alpha]^{22}_D$ +9.2° (C = 1.0, $CHCl_3$)
IR(νneat, cm^{-1}): 3360, 2932, 2864, 1732
NMR(δ, $CDCl_3$):
0.88 (3H,t,J = 7Hz), 0.96 (3H,s), 1.04 (3H,s), 1.11-2.18 (38H,m), 1.43 (3H,s), 1.47 (3H,s), 2.42-2.62 (2H,m), 3.28 (1H,d,J = 12Hz), 3.38-3.42 (2H,m), 3.69 (1H,d,J = 12Hz), 3.80-3.92 (1H,m), 4.08 (1H,s), 4.73 (1H,ddd,J = 11Hz,11Hz,4Hz), 5.76 (1H,d,J = 8Hz), 6.92 (1H,t,J = 6Hz)

Example 113

(1S,2S)-2-(1-Decylcyclobutanecarbonyl)aminocyclohexane-1-yl 3-[N-(2,2,5,5-tetramethyl-1,3-dioxane -4-carbonyl)amino]propionate
Molecular Formula : $C_{33}H_{58}N_2O_6$
Molecular Weight : 578.84
Mass Spectrometric Analysis:
Calculated : 578.4294
Found : 578.4285
Melting Point (°C): Oil
Specific Rotary Power: $[\alpha]^{22}_D$ +8.9° (C = 1.0, $CHCl_3$)
IR(νneat, cm^{-1}): 3336, 2936, 2864, 1734
NMR(δ, $CDCl_3$):
0.88 (3H,t,J = 7Hz), 0.96 (3H,s), 1.04 (3H,s), 1.06-1.40 (20H,m), 1.43 (3H,s), 1.47 (3H,s), 1.57-2.34 (12H,m), 2.43-2.62 (2H,m), 3.28 (1H,d,J = 12Hz), 3.41-3.62 (2H,m), 3.69 (1H,d,J = 12Hz), 3.81-3.94 (1H,m), 4.07 (1H,s), 4.71 (1H,ddd,J = 11Hz,11Hz,5Hz), 5.59 (1H,d,J = 8Hz), 7.92 (1H,t,J = 5Hz)

Example 114

(1S,2S)-2-(1-Oleylcyclopentanecarbonyl)aminocyclohexane-1-yl 3-[N-(2,2,5,5-tetramethyl-1,3-dioxane -4-carbonyl)amino]propionate

Molecular Formula : $C_{42}H_{74}N_2O_6$
Molecular Weight : 701.05
Mass Spectrometric Analysis:
Calculated : 702.5546
Found : 702.5570
Melting Point (°C): Oil
Specific Rotary Power: $[\alpha]^{22}_D$ +8.6° (C = 1.0, $CHCl_3$)
IR(νneat, cm^{-1}): 3368, 2932, 2864, 1734
NMR(δ, $CDCl_3$):
0.88 (3H,t,J = 7Hz), 0.96 (3H,s), 1.04 (3H,s), 1.09-2.17 (46H,m), 1.43 (3H,s), 1.47 (3H,s), 2.41-2.61 (2H,m), 3.28 (1H,d,J = 12Hz), 3.37-3.62 (2H,m), 3.69 (1H,d,J = 12Hz), 3.81-3.93 (1H,m), 4.08 (1H,s), 4.73 (1H,ddd,J = 11Hz,11Hz,4Hz), 5.28-5.40 (2H,m), 5.75 (1H,d,J = 8Hz), 6.93 (1H,t,J = 5Hz)

Example 115

(1S,2S)-2-[(1-Methyl-8-heptadecenyl)carbamoyl]aminocyclohexane-1-yl 3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propionate
Molecular Formula : $C_{37}H_{67}N_3O_6$
Molecular Weight : 649.96
Mass Spectrometric Analysis:
Calculated : 649.5029
Found : 649.5029
Melting Point (°C): Oil
Specific Rotary Power: $[\alpha]^{21}_D$ +19.3° (C = 1.0, $CHCl_3$)
IR(νneat, cm^{-1}): 3360, 2936, 2864, 1734, 1682, 1644
NMR(δ, $CDCl_3$):
0.88 (3H,t,J = 7Hz), 0.96 (3H,s), 1.04 (3H,s), 1.08 (3/2H,d,J = 6Hz), 1.09 (3/2H,d,J = 6Hz), 1.14-1.50 (24H,m), 1.44 (3H,s), 1.47 (3H,s), 1.52-2.26 (11H,m), 2.37-2.59 (2H,m), 3.28-3.46 (1H,m), 3.58-3.80 (3H,m), 3.69 (1H,d,J = 12Hz), 4.10 (1H,s), 4.55 (1H,ddd,J = 11Hz,11Hz,4Hz), 5.28-5.42 (2H,m), 6.86-6.96 (1H,m)

6xample 116

(1S,2S)-2-[(1-Methylpentadecanyl)carbamoyl)aminocyclohexane-1-yl 3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propionate
Molecular Formula : $C_{35}H_{65}N_3O_6$
Molecular Weight : 623.92
Mass Spectrometric Analysis:
Calculated : 623.4873
Found : 623.4852
Melting Point (°C): Oil
Specific Rotary Power: $[\alpha]^{21}_D$ +20.5° (C = 1.0, $CHCl_3$)
IR(νKBr, cm^{-1}) 3360, 2932, 2860, 1738, 1682, 1642
NMR(δ, $CDCl_3$):
0.88 (3H,t,J = 7Hz), 0.96 (3H,s), 1.04 (3H,s), 1.08 (3H,d,J = 6Hz), 1.12-1.78 (32H,m), 1.44 (3H,s), 1.47 (3H,s), 1.94-2.58 (4H,m), 3.28 (1H,d,J = 12Hz), 3.34-3.79 (4H,m), 3.69 (1H,d,J = 12Hz), 4.10 (1H,s), 4.55 (1H,ddd,J = 11Hz,11Hz, 4Hz), 6.92 (1H,t,J = 5Hz)

Example 117

(1S,2S)-2-(1-Octylcyclobutanecarbonyl)aminocyclohexane-1-yl 3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propionate
Molecular Formula : $C_{31}H_{54}N_2O_6$
Molecular Weight : 550.78
Mass Spectrometric Analysis:
Calculated : 550.3981

Found : 550.4005
Melting Point (°C): Oil
Specific Rotary Power: $[\alpha]^{30}_D$ +13.1° (C = 1.0, $CHCl_3$)
IR(νneat, cm^{-1}): 3336, 2932, 2860, 1732
NMR(δ, $CDCl_3$):
0.88 (3H,t,J = 7Hz), 0.96 (3H,s), 1.04 (3H,s), 1.06-1.58 (16H,m), 1.43 (3H,s), 1.47 (3H,s), 1.60-2.36 (12H,m), 3.43-2.63 (2H,m), 3.28 (1H,d,J = 12Hz), 3.39-3.63 (2H,m), 3.69 (1H,d,J = 12Hz), 3.81-3.94 (1H,m), 4.08 (1H,s), 4.72 (1H,ddd,J = 11Hz,11Hz,4Hz), 5.60 (1H,d,J = 8Hz), 6.93 (1H,t,J = 5Hz)

Example 118

(1S,2S)-2-(1-Isopropyllauroyl)aminocyclohexane-1-yl 3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)-amino]propionate
Molecular Formula : $C_{33}H_{60}N_2O_6$
Molecular Weight : 580.85
Mass Spectrometric Analysis:
Calculated : 580.4451
Found : 580.4435
Melting Point (°C): wax
Specific Rotary Power: $[\alpha]^{27}_D$ +11.9° (C = 0.9, $CHCl_3$)
IR(νKBr, cm^{-1}): 3288, 2932, 2860, 1730
NMR(δ, $CDCl_3$):
0.88 (3H,t,J = 7Hz), 0.88 (3H,d,J = 6Hz), 0.91 (3H,d,J = 6Hz), 0.96 (3H,s), 1.04 (3H,s), 1.00-1.82 (26H,m), 1.43 (3H,s), 1.47 (3H,s), 1.93-2.04 (1H,m), 2.15-2.26 (1H,m), 2.41-2.58 (2H,m), 3.28 (1H,d,J = 12Hz), 3.42-3.60 (2H,m), 3.69 (1H,d,J = 12Hz), 3.82-3.94 (1H,m), 4.08 (1H,s), 4.67 (1H,ddd,J = 11Hz,11Hz,4Hz), 5.87 (1H,d,J = 8Hz), 6.91 (1H,t,J = 5Hz)

Example 119

(1S,2S)-2-(1-Isopropyllauroyl)aminocyclohexane -1-yl 3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)-amino]propionate
Molecular Formula : $C_{33}H_{60}H_2O_6$
Molecular Weight : 580.85
Mass Spectrometric Analysis:
Calculated : 580.4451
Found : 580.4458
Melting Point (°C): Calomel
Specific Rotary Power: $[\alpha]^{30}_D$ +10.6° (C = 1.0, $CHCl_3$)
IR(νKBr, cm^{-1}): 3276, 2932, 2860, 1730
NMR(δ, $CDCl_3$):
0.85 (3H,d,J = 6Hz), 0.88 (3H,t,J = 7Hz), 0.89 (3H,d,J = 6Hz), 0.96 (3H,s), 1.04 (3H,s), 1.05-1.83 (26H,m), 1.43 (3H,s), 1.47 (3H,s), 1.92-2.04 (1H,m), 2.13-2.22 (1H,m), 2.40-2.58 (2H,m), 3.28 (1H,d,J = 12Hz), 3.45-3.58 (2H,m), 3.69 (1H,d,J = 12Hz), 3.85-3.97 (1H,m), 4.08 (1H,s), 4.68 (1H,ddd,J = 11Hz,11Hz,4Hz), 5.78 (1H,d,J = 8Hz), 6.90 (1H,t,J = 5Hz)

Example 120

(1S,2S)-2-(1-Hexylcyclobutanecarbonyl)aminocyclohexane-1-yl 3-[N-(2,2,5,5-tetramethyl-1,3-dioxane -4-carbonyl)amino]propionate
Molecular Formula : $C_{29}H_{50}N_2O_6$
Molecular Weight : 522.73
Mass Spectrometric Analysis:
Calculated : 522.3668
Found : 522.3668
Melting Point (°C): oil

Specific Rotary Power: $[\alpha]^{30}_{D}$ +13.8° (C = 1.0, $CHCl_3$)
IR(νneat, cm^{-1}): 3336, 2936, 2864, 1732
NMR(δ, $CDCl_3$):
0.87 (3H,t,J = 7Hz), 0.96 (3H,s), 1.04 (3H,s), 1.07-1.58 (12H,m), 1.42 (3H,s), 1.47 (3H,s), 1.61-2.34 (12H,m), 2.43-2.62 (2H,m), 3.28 (1H,d,J = 12Hz), 3.41-3.62 (2H,m), 3.69 (1H,d,J = 12Hz), 3.82-3.93 (1H,m), 4.07 (1H,s), 4.71 (1H,ddd,J = 11Hz,11Hz,4Hz), 5.60 (1H,d,J = 8Hz), 6.92 (1H,t,J = 5Hz)

Example 121

(1S,2S)-2-(1-Butylcyclobutanecarbonyl)aminocyclohexane-1-yl 3-[N-(2,2,5,5-tetramethyl-1,3-dioxane -4-carbonyl)amino]propionate
Molecular Formula : $C_{27}H_{46}N_2O_6$
Molecular Weight : 494.67
Mass Spectrometric Analysis:
Calculated : 494.3355
Found : 494.3366
Melting Point (° C): Calomel
Specific Rotary Power: $[\alpha]^{30}_{D}$ +15.2° (C = 1.0, $CHCl_3$)
IR(νKBr, cm^{-1}): 3348, 2940, 2868, 1732
NMR(δ, $CDCl_3$):
0.88 (3H,t,J = 7Hz), 0.96 (3H,s), 1.04 (3H,s), 1.05-1.58 (8H,m), 1.43 (3H,s), 1.47 (3H,s), 1.62-2.33 (12H,m), 2.44-2.61 (2H,m), 3.28 (1H,d,J = 12Hz), 3.41-3.63 (2H,m), 3.69 (1H,d,J = 12Hz), 3.81-3.94 (1H,m), 4.08 (1H,s), 4.72 (1H,ddd,J = 11Hz,11Hz,4Hz), 5.61 (1H,d,J = 8Hz), 6.93 (1H,t,J = 5Hz)

Example 122

(1S,2S)-2-(1-Decylcyclobutanecarbonyl)aminocyclohexane-1-yl 3-[N-(2,4-dihydroxy-3,3-diemthyl-1-oxobutyl)amino]propionate
Molecular Formula : $C_{30}H_{54}N_2O_6$
Molecular Weight : 538.77
Mass Spectrometric Analysis:
Calculated : 538.3981
Found : 538.3989
Melting Point (° C): oil
Specific Rotary Power: $[\alpha]^{28}_{D}$ +10.6° (C = 1.0, $CHCl_3$)
IR(νneat, cm^{-1}): 2932, 2860, 1732
NMR(δ, $CDCl_3$):
0.88 (3H,t,J = 7Hz), 0.97 (3H,s), 1.05 (3H,s), 1.06-1.44 (20H,m), 1.46-2.28 (12H,m), 2.44-2.64 (2H,m), 2.77 (2H,brs), 3.46-3.68 (2H,m), 3.49 (1H,d,J = 11Hz), 3.56 (1H,d,J = 11Hz), 3.84-3.98 (1H,m), 4.05 (1H,s), 4.69 (1H,ddd,J = 11Hz, 11Hz,4Hz), 5.53 (1H,d,J = 9Hz), 7.37 (1H,t,J = 5Hz)

Example 123

(1S,2S)-2-(1-Methyllauroyl)aminocyclohexane-1-yl 3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)-amino]propionate
Molecular Formula : $C_{31}H_{56}N_2O_6$
Molecular Weight : 552.80
Mass Spectrometric Analysis:
Calculated : 552.4138
Found : 552.4127
Melting Point (° C): oil
Specific Rotary Power: $[\alpha]^{31}_{D}$ +15.8° (C = 1.0, $CHCl_3$)
IR(νKBr, cm^{-1}): 3304, 2932, 2860, 1738
NMR(δ, $CDCl_3$):
0.87 (3H,t,J = 7Hz), 0.95 (3H,s), 1.03 (3H,s), 1.07 (3H,t,J = 7Hz), 1.10-1.38 (20H,m), 1.42 (3H,s), 1.46 (3H,s),

1.48-2.19 (7H,m), 2.42-2.57 (2H,m), 3.28 (1H,d,J = 12Hz), 3.51 (1H,dt,J = 6Hz,6Hz), 3.69 (1H,d,J = 12Hz), 3.81-3.94 (1H,m), 4.08 (1H,s), 4.68 (1H,ddd,J = 4Hz), 5.76 (1H,d,J = 8Hz), 6.92 (1H,t,J = 6Hz)

Example 124

(1S,2S)-2-(1-Methyllauroyl)aminocyclohexane-1-yl 3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)-amino]propionate
Molecular Formula : $C_{31}H_{56}N_2O_6$
Molecular Weight : 552.80
Mass Spectrometric Analysis:
Calculated : 552.4138
Found : 552.4139
Melting Point (°C): wax Specific Rotary Power: $[\alpha]^{30}_D$ +7.6° (C = 1.0, $CHCl_3$)
IR(νKBr, cm^{-1}): 3272, 2932, 2860, 1744
NMR(δ, $CDCl_3$):
0.88 (3H,t,J = 7Hz), 0.96 (3H,s), 1.03 (3H,s), 1.06 (3H,d,J = 7Hz), 1.10-1.39 (20H,m), 1.43 (3H,s), 1.47 (3H,s), 1.49-2.16 (7H,m), 2.50 (2H,t,J = 6Hz), 3.28 (1H,d,J = 12Hz), 3.51 (1H,dt,J = 6Hz,6Hz), 3.69 (1H,d,J = 12Hz), 3.82-3.96 (1H,m), 4.08 (1H,s), 4.67 (1H,ddd,J = 11Hz,11Hz,4Hz), 5.73 (1H,d,J = 8Hz), 6.92 (1H,t,J = 6Hz)

Example 125

(1S,2S)-2-(2-Decyllauroyl)aminocyclohexane-1-yl 3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)-amino]propionate
Molecular Formula : $C_{40}H_{74}N_2O_6$
Molecular Weight : 679.04
Mass Spectrometric Analysis:
Calculated : 678.5546
Found 678.5535
Melting Point (°C): 70 - 71° C (hexane)
Specific Rotary Power: $[\alpha]^{28}_D$ +10.3° (C = 1.0, $CHCl_3$)
IR(νKBr, cm^{-1}): 3288, 2928, 2856, 1732
NMR(δ, $CDCl_3$):
0.88 (6H,t,J = 7Hz), 0.96 (3H,s), 1.04 (3H,s), 1.08-2.22 (45H,m), 1.43 (3H,s), 1.47 (3H,s), 2.39-2.58 (2H,m), 3.28 (1H,d,J = 12Hz), 3.51 (2H,dt,J = 6Hz,6Hz), 3.69 (1H,d,J = 12Hz), 3.83-3.96 (1H,m), 4.08 (1H,s), 4.68 (1H,ddd,J = 11Hz, 11Hz,4Hz), 5.82 (1H,d,J = 8Hz), 6.90 (1H,t,J = 8Hz)

Example 126

(1S,2S)-2-(N-Decyl-N-isopropylcarbamoyl)aminocyclohexane-1-yl 3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propionate
Molecular Formula : $C_{32}H_{59}N_3O_6$
Molecular Weight : 581.84
Mass Spectrometric Analysis:
Calculated : 581.4403
Found : 581.4414
Melting Point (°C): oil
Specific Rotary Power: $[\alpha]^{27}_D$ +30.1° (C = 0.5, $CHCl_3$)
IR(νneat, cm^{-1}): 2932, 2860, 1732
NMR(δ, $CDCl_3$):
0.88 (3H,t,J = 7Hz), 0.96 (3H,s), 1.03 (3H,s), 1.10 (6H,d,J = 7Hz), 1.15-2.21 (24H,m), 1.42 (3H,s), 1.47 (3H,s), 2.47-2.62 (2H,m), 2.93 (2H,t,J = 7Hz), 3.28 (1H,d,J = 12Hz), 3.34-3.64 (2H,m), 3.69 (1H,d,J = 12Hz), 3.74-3.88 (1H,m), 4.08 (1H,s), 4.18-4.33 (1H,m), 4.38-4.46 (1H,m), 4.71 (1H,ddd,J = 11Hz,11Hz,4Hz), 6.93 (1H,t,J = 5Hz)

Example 127

(1S,2S)-2-[N-(2,2-Dimethylpropyl)-N-nonylcarbamoyl)]aminocyclohexane-1-yl 3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propionate
Molecular Formula : $C_{33}H_{61}N_3O_6$
Molecular Weight : 595.87
NMR(δ, $CDCl_3$):
0.88 (3H,t,J=7Hz), 0.91 (9H,s), 0.96 (3H,s), 1.04 (3H,s), 1.05-2.21 (22H,m), 1.42 (3H,s), 1.47 (3H,s), 2.43-2.62 (2H,m), 2.91 (1H,d,J= 15Hz), 2.97-3.10 (1H,m), 3.05 (1H,d,J=15Hz), 3.16-3.27 (1H,m), 3.28 (1H,d,J=12Hz), 3.37-3.64 (2H,m), 3.69 (1H,d,J=12Hz), 3.71-3.86 (1H,m), 4.08 (1H,s), 4.52 (1H,d,J=8Hz), 4.70 (1H,ddd,J= 11Hz,11Hz,4Hz), 6.92 (1H,t,J=5Hz)

Example 128

(1S,2S)-2-(2-Phenylmethycapryloyl)aminocyclohexane-1-yl 3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propionate
Molecular Formula : $C_{33}H_{52}N_2O_6$
Molecular Weight : 572.79
Mass Spectrometric Analysis:
Calculated : 572.3825
Found : 572.3841
Melting Point (°C): Calomel
Specific Rotary Power: $[\alpha]^{21}_D$ -5.8° (C=1.0, $CHCl_3$)
IR(νKBr, cm^{-1}): 3304, 2936, 2864, 1734, 1662, 1646
NMR(δ, $CDCl_3$):
0.87 (3H,t,J=7Hz), 0.95 (3H,s), 1.03 (3H,s), 1.05-1.95 (18H,m), 1.42 (3H,s), 1.46 (3H,s), 2.89-2.24 (1H,m), 2.37-2.54 (2H,m), 2.68 (1H,dd,J=13Hz,5Hz), 2.83 (1H,dd,J=13Hz,10Hz), 3.28 (1H,d,J=12Hz), 3.48 (2H,dt,J=6Hz,6Hz), 3.68 (1H,d,J=12Hz), 3.70-3.82 (1H,m), 4.07 (1H,s), 4.50 (1H,ddd,J=11Hz,11Hz,4Hz), 5.42 (1H,d,J=8Hz), 6.88 (1H,t,J=6Hz)

Example 129

(1S,2S)-2-(2-Phenylmethycapryloyl)aminocyclohexane-1-yl 3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propionate
Molecular Formula : $C_{33}H_{52}N_2O_6$
Molecular Weight : 572.79
Mass Spectrometric Analysis:
Calculated : 572.3825
Found : 572.3812
Melting Point (°C): Calomel
Specific Rotary Power: $[\alpha]^{21}_D$ +26.1° (C=1.0, $CHCl_3$)
IR(νKBr, cm^{-1}): 3320, 2940, 2864, 1734, 1652
NMR(δ, $CDCl_3$):
0.87 (3H,t,J=7Hz), 0.95 (3H,s), 1.03 (3H,s), 1.05-1.47 (12H,m), 1.43 (3H,s), 1.47 (3H,s), 1.51-2.31 (9H,m), 2.61 (1H,dd,J=14Hz,5Hz), 2.94 (1H,dd,J=14Hz,9Hz), 3.22-3.28 (2H,m), 3.28 (1H,d,J=12Hz), 3.69 (1H,d,J=12Hz), 3.70-3.84 (1H,m), 4.07 (1H,s), 4.55 (1H,ddd,J=11Hz,11Hz, 4Hz), 5.93 (1H,d,J=8Hz), 6.81 (1H,t,J=5Hz), 7.12-7.30 (5H,m)

Example 130

(1S,2S)-2-(2-Phenyllauroyl)aminocyclohexane-1-yl 3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)-amino]propionate
Molecular Formula : $C_{36}H_{58}N_2O_6$
Molecular Weight : 614.87
Mass Spectrometric Analysis:

Calculated : 614.4294
Found : 614.4310
Melting Point (°C): oil
Specific Rotary Power: $[\alpha]^{30}_{D}$ +14.8° (C = 0.9, $CHCl_3$)
IR(νneat, cm^{-1}): 3312, 2932, 2860, 1734
NMR(δ, $CDCl_3$):
0.87 (3H,t,J = 7Hz), 0.97 (3H,s), 1.05 (3H,s), 1.11-1.39 (20H,m), 1.43 (3H,s), 1.48 (3H,s), 1.52-2.11 (6H,m), 2.32-2.51 (2H,m), 3.25 (1H,t,J = 7Hz), 3.29 (1H,d,J = 12Hz), 3.38-3.56 (2H,m), 3.70 (1H,d,J = 12Hz), 3.77-3.89 (1H,m), 4.09 (1H,s), 4.59 (1H,ddd,J = 11Hz,11Hz,4Hz), 5.68 (1H,d,J = 8Hz), 6.89 (1H,t,J = 5Hz), 7.21-7.36 (5H,m)

Example 131

(1S,2S)-2-(2-Phenyllauroyl)aminocyclohexane-1-yl 3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)-amino]propionate
Molecular Formula : $C_{36}H_{58}N_2O_6$
Molecular Weight : 614.87
Mass Spectrometric Analysis:
Calculated : 614.4294
Found : 614.4311
Melting Point (°C): wax
Specific Rotary Power: $[\alpha]30_{D}$ +34.4° (C = 1.0, $CHCl_3$)
IR(νKBr, cm^{-1}): 3308, 2932, 2860, 1730
NMR(δ, $CDCl_3$):
0.87 (3H,t,J = 7Hz), 0.94 (3H,s), 1.35 (3H,s), 1.09-1.42 (20H,m), 1.43 (3H,s), 1.48 (3H,s), 1.52-2.15 (8H,m), 3.20-3.21 (3H,m), 3.28 (1H,d,J = 12Hz), 3.68 (1H,d,J = 12Hz), 3.76-3.89 (1H,m), 4.06 (1H,s), 4.59 (1H,ddd,J = 11Hz,11Hz,4Hz), 5.75 (1H,d,J = 8Hz), 6.71 (1H,t,J = 5Hz), 7.19-7.34 (5H,m)

Example 132

(1S,2S)-2-(1-Benzylcyclopentanecarbonyl)aminocyclohexane-1-yl 3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propionate
Molecular Formula : $C_{30}H_{44}N_2O_6$
Molecular Weight : 528.69
Mass Spectrometric Analysis:
Calculated : 528.3199
Found : 528.3193
Melting Point (°C): Calomel
Specific Rotary Power: $[\alpha]^{30}_{D}$ +11.9° (C = 1.0, $CHCl_3$)
IR(νKBr, cm^{-1}): 3356, 2944, 2868, 1732
NMR(δ, $CDCl_3$):
0.84-1.55 (4H,m), 0.95 (3H,s), 1.03 (3H,s), 1.42 (3H,s), 1.46 (3H,s), 1.58-2.67 (12H,m), 3.00 (1H,d,J = 14Hz), 3.03 (1H,d,J = 14Hz), 3.28 (1H,d,J = 12Hz), 3.27-3.52 (2H,m), 3.68 (1H,d,J = 12Hz), 3.72-3.82 (1H,m), 4.06 (1H,s), 4.59 (1H,ddd,J = 11Hz,11Hz,4Hz), 5.41 (1H,d,J = 8Hz), 6.88 (1H,t,J = 5Hz), 7.11-7.28 (5H,m)

Example 133

(1S,2S)-2-(1-Furfurylcyclobutanecarbonyl)aminocyclohexane-1-yl 3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propionate
Molecular Formula : $C_{28}H_{42}N_2O_7$
Molecular Weight : 518.65
Mass Spectrometric Analysis:
Calculated : 518.2992
Found : 518.2969
Melting Point (°C): oil

Specific Rotary Power: $[\alpha]^{30}_D$ +12.8° (C=0.5, $CHCl_3$)
IR(νKBr, cm^{-1}): 3352, 2944, 2868, 1732
NMR (δ, $CDCl_3$):
0.96 (3H,s), 1.03 (3H,s), 1.18-2.57 (16H,m), 1.42 (3H,s), 1.47 (3H,s), 3.03 (2H,s), 3.28 (1H,d,J=12Hz), 3.33-3.58 (2H,m), 3.69 (1H,d,J= 12Hz), 3.67-3.90 (1H,m), 4.07 (1H,s), 4.63 (1H,ddd,J=11Hz,11Hz,4Hz), 5.49 (1H,d,J=8Hz), 6.03 (1H,d,J=3Hz), 6.26 (1H,dd,J=3Hz,1Hz), 6.92 (1H,t,J=5Hz), 7.29 (1H,d,J=1Hz)

Example 134

(1S,2S)-2-(2-Benzyllauroyl)aminocyclohexane-1-yl 3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)-amino]propionate
Molecular Formula : $C_{37}H_{60}N_2O_6$
Molecular Weight : 628.90
Mass Spectrometric Analysis:
Calculated : 628.4451
Found : 628.4442
Melting Point (° C): wax
Specific Rotary Power: $[\alpha]^{29}_D$ -5.9° (C=1.0, $CHCl_3$)
IR(νneat, cm^{-1}): 3320, 2932, 2860, 1732
NMR(δ, $CDCl_3$):
0.62-1.50 (20H,m), 0.88 (3H,t,J=7Hz), 0.95 (3H,s), 1.03 (3H,s), 1.42 (3H,s), 1.46 (3H,s), 1.52-2.30 (7H,m), 2.38-2.55 (2H,m), 2.68 (1H,dd,J=15Hz,6Hz), 2.83 (1H,dd,J=15Hz,10Hz), 3.28 (1H,d,J=12Hz), 3.48 (2H,dt,J=6Hz,6Hz), 3.68 (1H,d,J=12Hz), 3.70-3.83 (1H,m), 4.07 (1H,s), 4.50 (1H,ddd,J=11Hz,11Hz,5Hz), 5.91 (1H,d,J=8Hz), 6.88 (1H,t,J=6Hz), 7.11-7.27 (5H,m)

Example 135

(1S,2S)-2-(2-Benzyllauroyl)aminocyclohexane-1-yl 3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)-amino]propionate
Molecular Formula : $C_{37}H_{62}N_2O_6$
Molecular Weight : 628.90
Mass Spectrometric Analysis:
Calculated : 628.4451
Found : 628.4478
Melting Point (° C): wax
Specific Rotary Power : $[\alpha]^{27}_D$ +26.7° (C=1.0, $CHCl_3$)
IR(νKBr, cm^{-1}): 3300, 2932, 2860, 1734
NMR(δ, $CDCl_3$):
0.88 (3H,t,J=7Hz), 0.95 (3H,s), 1.03 (3H,s), 1.06-1.50 (20H,m), 1.43 (3H,s), 1.47 (3H,s), 1.52-2.30 (9H,m), 2.61 (1H,dd,J=15Hz,6Hz), 2.93 (1H,dd,J=15Hz,10Hz), 3.20-3.30 (2H,m), 3.28 (1H,d,J=12Hz), 3.69 (1H,d,J=12Hz), 3.71-3.83 (1H,m), 4.07 (1H,s), 4.55 (1H,ddd,J= 11Hz,11Hz,4Hz), 5.91 (1H,d,J=7Hz), 6.81 (1H,t,J =5Hz), 7.31-7.28 (5H,m)

Example 136

(1S,2S)-2-(1-Cinnamylcyclobutanecarbonyl)aminocyclohexane-1-yl 3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propionate
Molecular Formula : $C_{32}H_{46}N_2O_6$
Molecular Weight : 554.73
Mass Spectrometric Analysis:
Calculated : 554.3355
Found : 554.3361
Melting Point (° C): Calomel
Specific Rotary Power : $[\alpha]^{9}_D$ +14.9° (C=1.0, $CHCl_3$)
IR(νKBr, cm^{-1}): 3340, 2944, 2868, 1732

NMR(δ, $CDCl_3$):
0.89-1.57 (4H,m), 0.95 (3H,s), 1.03 (3H,s), 1.41 (3H,s), 1.46 (3H,s), 1.58-2.67 (12H,m), 2.59 (2H,d,J = 7Hz), 3.27 (1H,d,J = 12Hz), 3.32-3.63 (2H,m), 3.68 (1H,d,J = 12Hz), 3.82-3.95 (1H,m), 4.06 (1H,s), 4.68 (1H,ddd,J = 11Hz,11Hz, 4Hz), 5.68 (1H,d,J = 8Hz), 6.08 (1H,dt,J = 16Hz, 7Hz), 6.44 (1H,d,J = 16Hz), 6.88 (1H,t,J = 5Hz), 7.17-7.38 (5H,m)

Example 137

(1S,2S)-2-[1-(3-Phenylpropyl)cyclobutanecarbonyl]aminocyclohexane-1-yl 3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propionate
Molecular Formula : $C_{32}H_{48}N_2O_6$
Molecular Weight : 556.74
Mass Spectrometric Analysis:
Calculated : 556.3512
Found : 556.3516
Melting Point (°C): Calomel
Specific Rotary Power : $[\alpha]^9_D$ +12.5° (C = 1.0, $CHCl_3$)
IR(νKBr, cm^{-1}): 3352, 2940, 2868, 1732
NMR(δ, $CDCl_3$):
0.95 (3H,s), 0.95-1.56 (6H,m), 1.03 (3H,s), 1.42 (3H,s), 1.46 (3H,s), 1.62-2.48 (14H,m), 2.51-2.66 (2H,m), 3.27 (1H,d,J = 12Hz),3.28-3.48 (2H,m), 3.68 (1H,d,J = 12Hz), 3.79-3.92 (1H,m), 4.06 (1H,s), 4.67 (1H,ddd,J = 11Hz,11Hz,4Hz), 5.64 (1H,d,J = 8Hz), 6.86 (1H,t,J = 5Hz), 7.12-7.30 (5H,m)

Example 138

(1S,2S)-2-(2,2-Diphenyllauroyl)aminocyclohexane-1-yl 3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)-amino]propionate
Molecular Formula : $C_{42}H_{62}N_2O_6$
Molecular Weight : 690.97
Mass Spectrometric Analysis:
Calculated : 690.4607
Found : 690.4604
Melting Point (°C): oil
Specific Rotary Power : $[\alpha]^9_D$ +18.8° (C = 1.0, $CHCl_3$)
IR(νneat, cm^{-1}): 2932, 2860, 1730
NMR(δ, $CDCl_3$):
0.87 (3H,t,J = 7Hz), 0.94 (3H,s), 1.00-1.49 (20H,m), 1.04 (3H,s), 1.42 (3H,s), 1.47 (3H,s), 1.52-2.38 (8H,m), 3.16-3.28 (1H,m), 3.28 (1H,d,J = 12Hz), 3.36-3.48 (1H,m), 3.69 (1H,d,J = 12Hz), 3.82-3.94 (1H,m), 4.07 (1H,s), 4.49 (1H,ddd,J = 11Hz,11Hz,4Hz), 5.52 (1H,d,J = 8Hz), 6.82 (1H,t,J = 5Hz), 7.18-7.37 (10H,m)

Example 139

(1S,2S)-2-(2,2-Benzylcapryloyl)aminocyclohexane-1-yl 3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)-amino]propionate
Molecular Formula : $C_{30}H_{48}N_2O_6$
Molecular Weight : 532.72
Mass Spectrometric Analysis:
Calculated : 532.3512
Found : 532.3524
Melting Point (°C): Calomel
Specific Rotary Power : $[\alpha]^9_D$ +28.8° (C = 1.0, $CHCl_3$)
IR(νneat, cm^{-1}): 2936, 2864, 1728
NMR(δ, $CDCl_3$):
0.86 (3H,t,J = 7Hz), 0.94 (3H,s), 1.02 (3H,s), 1.06-1.50 (12H,m), 1.52-2.35 (9H,m), 2.64 (1H,dd,J = 14Hz,6Hz), 2.89 (1H,dd,J = 14Hz,8Hz), 3.22-3.48 (2H,m), 3.48 (1H,d,J = 11Hz), 3.51 (1H,d,J = 11Hz), 3.72-3.87 (1H,m),

4.03 (1H,s), 4.54 (1H,ddd,J = 11Hz,11Hz,4Hz), 5.88 (1H,brs), 7.12-7.29 (6H,m)

Example 140

(1S,2S)-2-(N-Benzyl-N-hexylcarbamoyl)aminocyclohexane-1-yl 3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propionate
Melecular Formula : $C_{32}H_{51}N_3O_6$
Molecular Weight : 573.78
Mass Spectrometric Analysis:
Calculated : 573.3777
Found : 573.3752
Melting Point (°C): oil
Specific Rotary Power : $[\alpha]^8_D$ +33.2° (C = 0.8, $CHCl_3$)
IR(νneat, cm^{-1}): 3384, 2936, 2864, 1732
NMR(δ, $CDCl_3$):
0.87 (3H,t,J = 7Hz), 0.96 (3H,s), 0.97-2.18 (16H,m), 1.03 (3H,s), 1.42 (3H,s), 1.46 (3H,s), 2.32-2.53 (2H,m), 3.18 (2H,t,J = 7Hz), 3.26-3.39 (1H,m), 3.28 (1H,d,J = 12Hz), 3.43-3.56 (1H,m), 3.69 (1H,d,J = 12Hz), 3.72-3.85 (1H,m), 4.07 (1H,s), 4.36 (1H,d,J = 17Hz), 4.46 (1H,d,J = 17Hz), 4.50 (1H,d,J = 6Hz), 4.62 (1H,ddd,J = 11Hz,11Hz, 4Hz), 6.88 (1H,t,J = 5Hz), 7.19-7.37 (5H,m)

Example 141

(1S,2S)-2-(N-Benzyl-N-octylcarbamoyl)aminocyclohexane-1-yl 3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propionate
Melecular Formula : $C_{34}H_{55}N_3O_6$
Molecular Weight : 601.83
Mass Spectrometric Analysis:
Calculated : 601.4090
Found : 601.4113
Melting Point (°C): oil
Specific Rotary Power : $[\alpha]^8_D$ +29.7° (C = 0.5, $CHCl_3$)
IR(νneat, cm^{-1}): 3368, 2932, 2864, 1732
NMR(δ, $CDCl_3$):
0.87 (3H,t,J = 7Hz), 0.96 (3H,s), 0.97-2.18 (20H,m), 1.03 (3H,s), 1.42 (3H,s), 1.46 (3H,s), 2.33-2.53 (2H,m), 3.18 (2H,t,J = 7Hz), 3.26-3.39 (1H,m), 3.28 (1H,m), 3.43-3.56 (1H,m), 3.69 (1H,d,J = 12Hz), 3.72-3.85 (1H,m), 4.07 (1H,s), 4.37 (1H,d,J = 17Hz), 4.48 (1H,d,J = 17Hz), 4.49 (1H,d,J = 6Hz), 4.62 (1H,ddd,J = 11Hz,11Hz,4Hz), 6.88 (1H,t,J = 5Hz), 7.19-7.36 (5H,m)

Example 142

(1S,2S)-2-(N-Benzyl-N-decylcarbamoyl)aminocyclohexane-1-yl 3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propionate
Melecular Formula : $C_{36}H_{59}N_3O_6$
Molecular Weight : 629.88
Mass Spectrometric Analysis:
Calculated : 629.4403
Found : 629.4388
Melting Point (°C): oil
Specific Rotary Power : $[\alpha]^7_D$ +26.1° (C = 1.0, $CHCl_3$)
IR(νneat, cm^{-1}): 3384, 2932, 2860, 1732
NMR(δ, $CDCl_3$):
0.88 (3H,t,J = 7Hz), 0.96 (3H,s), 0.79-2.19 (24H,m), 1.03 (3H,s), 1.42 (3H,s), 1.46 (3H,s), 2.32-2.53 (2H,m), 2.18 (2H,t,J = 7Hz), 3.23-3.38 (1H,m), 3.28 (1H,d,J = 12Hz), 3.32-3.55 (1H,m), 3.69 (1H,d,J = 12Hz), 3.70-3.85 (1H,m), 4.07 (1H,s), 4.36 (1H,d,J = 17Hz), 4.47 (1H,d,J = 17Hz), 4.48 (1H,d,J = 6Hz), 4.61 (1H,ddd,J = 11Hz,11Hz,4Hz), 6.89 (1H,t,J = 5Hz), 7.20-7.39 (5H,m)

Example 143

(1S,2S)-2-(2-Benzylundecanoyl)aminocyclohexane-1-yl 3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propionate
Melecular Formula : $C_{36}H_{58}N_2O_6$
Molecular Weight : 614.87
Mass Spectrometric Analysis:
Calculated : 614.4294
Found : 614.4295
Melting Point (°C): wax
Specific Rotary Power : $[\alpha]^8_D$ -7.7° (C = 1.0, $CHCl_3$)
IR(νneat, cm^{-1}): 3320, 2932, 2860, 1732
NMR(δ, $CDCl_3$):
0.62-1.49 (18H,m), 0.88 (3H,t,J = 7Hz), 0.95 (3H,s), 1.03 (3H,s), 1.42 (3H,s), 1.46 (3H,s), 1.51-1.95 (6H,m), 2.08-2.19 (1H,m), 2.37-2.56 (2H,m), 2.68 (1H,dd,J = 14Hz,6Hz), 2.83 (1H,dd,J = 14Hz,9Hz), 3.28 (1H,d,J = 12Hz), 3.44-3.52 (2H,m), 3.68 (1H,d,J = 12Hz), 3.70-3.82 (1H,m), 4.07 (1H,s), 4.51 (1H,ddd,J = 11Hz,11Hz,4Hz), 5.42 (1H,d,J = 8Hz), 6.88 (1H,t,J = 5Hz), 7.11-7.30 (5H,m)

Example 144

(1S,2S)-2-(2-Benzylundecanoyl)aminocyclohexane-1-yl 3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propionate
Melecular Formula : $C_{36}H_{58}N_2O_7$
Molecular Weight : 614.87
Mass Spectrometric Analysis:
Calculated : 614.4294
Found : 614.4276
Melting Point (°C): oil
Specific Rotary Power : $[\alpha]^7_D$ +27.4° (C = 1.0, $CHCl_3$)
IR(νneat, cm^{-1}): 3304, 2932, 2860, 1734
NMR(δ, $CDCl_3$):
0.88 (3H,t,J = 7Hz), 0.95 (3H,s), 0.98-1.49 (18H,m), 1.03 (3H,s), 1.43 (3H,s), 1.47 (3H,s), 1.52-2.30 (9H,m), 2.61 (1H,dd,J = 14Hz,6Hz), 2.94 (1H,dd,J = 14Hz,9Hz), 3.22-3.29 (2H,m), 3.28 (1H,d,J = 12Hz), 3.69 (1H,d,J = 12Hz), 3.71-3.84 (1H,m), 4.07 (1H,s), 4.55 (1H,ddd,J = 11Hz,11Hz, 4Hz), 5.91 (1H,d,J = 8Hz), 6.81 (1H,t,J = 5Hz), 7.12-7.28 (5H,m)

Example 145

(1S,2S)-2-(3-Hexyl-2-nonenoyl)aminocyclohexane-1-yl 3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)-amino]propionate
Melecular Formula : $C_{33}H_{58}N_2O_6$
Molecular Weight : 578.93
IR(νneat ,cm^{-1}): 1660, 1736
NMR(δ, $CDCl_3$):
0.87 (3H,t,J = 7Hz), 0.88 (3H,t,J = 7Hz), 0.96 (3H,s), 1.04 (3H,s), 1.10-1.50 (16H,m), 1.43 (3H,s), 1.47 (3H,s), 1.57-1.88 (6H,m), 1.88-2.18 (4H,m), 2.43-2.64 (4H,m), 3.28 (1H,d,J = 12Hz), 3.49 (2H,t,J = 6Hz), 3.69 (1H,d,J = 12Hz), 3.84-4.02 (1H,m), 4.08 (1H,s), 4.64 (1H,ddd,J = 11Hz,11Hz,4Hz), 5.42 (1H,s), 5.67 (1H,d,J = 8Hz), 6.92 (1H,m)

Example 146

(1S,2S)-2-(3-Phenylmethyl-4-phenyl-2-butenoyl)aminocyclohexane-1-yl 3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propionate
Melecular Formula : $C_{35}H_{46}N_2O_6$
Molecular Weight : 590.83

Melting Point (°C): wax
NMR(δ, $CDCl_3$):
0.95 (3H,s), 1.00 (3H,s), 0.90-2.12 (8H,m), 1.39 (3H,s), 1.45 (3H,s), 2.24-2.54 (2H,m), 3.07 (2H,dd,J=15Hz,3Hz), 3.26 (1H,d,J=12Hz), 3.20-3.64 (2H,m), 3.53 (2H,dd,J=15Hz,5Hz), 3.67 (1H,d,J=12Hz), 3.80-3.94 (1H,m), 4.04 (1H,s), 4.60 (1H,ddd,J=10Hz,10Hz,4Hz), 5.76 (1H,d,J=8Hz), 6.60 (1H,s), 6.84 (1H,t,J=5Hz), 7.16-7.42 (10H,m)

Example 147

(1S,2S)-2-(3-Propyl-2-nonenoyl)aminocyclohexane-1-yl 3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propionate
Molecular Formula : $C_{30}H_{52}N_2O_6$
Molecular Weight : 536.84
Melting Point (°C): wax
NMR(δ, $CDCl_3$):
0.80-0.96 (6H,m), 0.97 (3H,s), 1.04 (3H,s), 1.06-2.21 (20H,m), 1.43 (3H,s), 1.47 (3H,s), 2.40-2.67 (4H,m), 3.28 (1H,d,J=12Hz), 3.49 (2H,td,J=6Hz,6Hz), 3.69 (1H,d,J=12Hz), 3.94 (1H,ddd,J=10Hz,8Hz,4Hz), 4.08 (1H,s), 4.64 (1H,ddd,J=10Hz,10Hz,4Hz), 5.42+5.44 (1H,s), 5.67+5.70 (1H,d,J=8Hz), 6.92 (1H,t,J=6Hz)

Example 148

(1S,2S)-2-(3-Methyl-2-tridecenoyl)aminocyclohexane-1-yl 3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propionate
Molecular Formula : $C_{32}H_{56}N_2O_6$
Molecular Weight : 564.80
NMR(δ, $CDCl_3$):
0.88 (3H,t,J=6Hz), 0.97 (3H,s), 1.04 (3H,s), 1.10-2.21 (26H,m), 1.43 (3H,s), 1.47 (3H,s), 2.12 (3H,s), 2.50 (2H,t,J=5Hz), 3.28 (1H,t,J= 12Hz), 3.41-3.57 (2H,m), 3.69 (1H,d,J=12Hz), 3.86-4.01 (1H,m), 4.09 (1H,s), 4.65 (1H,ddd,J= 10Hz,10Hz,4Hz), 5.48 (1H,d,J=8Hz), 6.92 (1H,t,J =5Hz)

Example 149

(1S,2S)-2-(2,3-Dimethyl-2-tridecenoyl)aminocyclohexane-1-yl 3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propionate
Molecular Formula : $C_{33}H_{58}N_2O_6$
Molecular Weight : 578.93
NMR(δ, $CDCl_3$):
0.88 (3H,t,J=6Hz), 0.96 (3H,s), 1.03 (3H,s), 1.07-2.21 (26H,m), 1.43 (3H,s), 1.46 (3H,s), 1.63 (3H,s), 1.75 (3H,s), 2.53 (2H,t,J=6Hz), 3.28 (1H,d,J=12Hz), 3.36-3.64 (2H,m), 3.69 (1H,d,J=12Hz), 3.88-4.04 (1H,m), 4.08 (1H,s), 4.68 (1H,ddd,J=10Hz,10Hz,4Hz), 5.23+5.58 (1H,d,J=9Hz), 6.92 (1H,t,J=5Hz)

Example 150

(1S,2S)-2-(3-Hexylnonanoyl)aminocyclohexane-1-yl 3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propionate
Molecular Formula : $C_{33}H_{60}N_2O_6$
Molecular Weight : 580.95
NMR(δ, $CDCl_3$):
0.87 (6H,t,J=6Hz), 0.96 (3H,s), 1.04 (3H,s), 1.10-2.20 (31H,m), 1.43 (3H,s), 1.47 (3H,s), 2.50 (2H,t,J=6Hz), 3.28 (1H,d,J=12Hz), 3.36-3.60 (2H,m), 3.69 (1H,d,J=12Hz), 3.88 (1H,m), 4.09 (1H,s), 4.64 (1H,ddd,J=10Hz,10Hz,4Hz), 5.88 (1H,d,J=8Hz), 6.91 (1H,t,J=6Hz)

Example 151

(1S,2S)-2-[(E)-3-Phenyl-2-dodecenoyl]aminocyclohexane-1-yl 3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propionate
Melecular Formula : $C_{36}H_{56}N_2O_6$
Molecular Weight : 612.94
NMR(δ, $CDCl_3$):
0.55-0.73 (1H,m), 0.87 (3H,t,J=6Hz), 0.96 (3H,s), 1.04 (3H,s), 1.08-2.84 (21H,m), 1.42 (3H,s), 1.47 (3H,s), 2.30-2.43 (2H,m), 2.48 (2H,t,J=6Hz), 3.28 (1H,d,J=12Hz), 3.33-3.62 (2H,m), 3.69 (1H,d,J=12Hz), 3.70-3.86 (1H,m), 4.08 (1H,s), 4.28 ((1H,ddd,J=10Hz,10Hz,4Hz), 5.08 (1H,d,J=9Hz), 5.85 (1H,s), 6.92 (1H,t,J =6Hz)

Example 152

(1S,2S)-2-[(Z)-3-Phenyl-2-dodecenoyl]aminocyclohexane-1-yl 3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propionate
Melecular Formula : $C_{36}H_{56}N_2O_6$
Molecular Weight : 612.94
NMR(δ, $CDCl_3$):
0.86 (3H,t,J=7Hz), 0.90 (3H,s), 0.99 (3H,s), 1.03-2.28 (22H,m), 1.39 (3H,s), 1.44 (3H,s), 2.40-2.6 (2H,m), 2.90 3.20 (2H,m), 3.25 (1H,d,J =12Hz), 3.36-3.63 (2H,m), 3.66 (1H,d,J=12Hz), 3.91-4.02 (1H,m), 4.06 (1H,s), 4.65 (1H,ddd,J= 10Hz,10Hz,4Hz), 5.82 (1H,s), 6.04 (1H,d,J=8Hz), 6.91 (1H,t,J=6Hz), 7.29-7.44 (5H,m)

Example 153

(1S,2S)-2-[(Z)-3-Phenyl-2-nonenoyl]aminocyclohexane-1-yl 3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propionate
Melecular Formula : $C_{33}H_{50}N_2O_6$
Molecular Weight : 570.85
NMR(δ, $CDCl_3$):
0.83 (3H,t,J=7Hz), 0.90 (3H,s), 0.99 (3H,s), 1.08-2.60 (18H,m), 1.39 (3H,s), 1.44 (3H,s), 2.94-3.20 (2H,m), 3.25 (1H,d,J=12Hz), 3.38-3.61 (2H,m), 3.66 (1H,d,J=12Hz), 3.90-4.04 (1H,m), 4.06 (1H,s), 4.65 (1H,ddd,J=11Hz,11Hz,4Hz), 5.82 (1H,s), 6.01 (1H,d,J=6Hz), 6.91 (1H,t,J= 6Hz), 7.29-7.44 (5H,m)

Example 154

(1S,2S)-2-[(E)-3-Phenyl-2-nonenoyl]aminocyclohexane-1-yl 3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propionate
Melecular Formula : $C_{33}H_{50}N_2O_6$
Molecular Weight : 570.85
NMR(δ, $CDCl_3$):
0.55-0.72 (1H,m), 0.85 (3H,t,J=7Hz), 0.96 (3H,s), 1.04 (3H,s), 0.88-1.99 (15H,m), 1.42 (3H,s), 1.47 (3H,s), 2.29-2.34 (2H,m), 2.48 (2H,t,J=6Hz), 3.28 (1H,d,J=12Hz), 3.32-3.61 (2H,m), 3.69 (1H,d,J=12Hz), 3.71-3.84 (1H,m), 4.08 (1H,s), 4.28 (1H,ddd,J=10Hz,10Hz,4Hz), 5.07 (1H,d,J=9Hz), 5.85 (1H,s), 6.92 (1H,t,J=6Hz), 7.13-7.45 (5H,m)

Example 155

(1S,2S)-2-(2-Hexylideneoctanyol)aminocyclohexane-1-yl 3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propionate
Melecular Formula : $C_{32}H_{50}N_2O_6$
Molecular Weight : 564.90
NMR(δ, $CDCl_3$):
0.87 (3H,t,J=8Hz), 0.88 (3H,t,J=7Hz), 0.96 (3H,s), 1.03 (3H,s), 1.07-2.26 (26H,m), 1.42 (3H,s), 1.46 (3H,s), 2.40-2.66 (2H,m), 3.28 (1H,d,J=12Hz), 3.37-3.64 (2H,m), 3.69 (1H,d,J =12Hz), 3.90-4.06 (1H,m), 4.07 (1H,s), 4.70 (1H,ddd,J=10Hz,10Hz,4Hz), 5.38 (1H,t,J=7Hz), 5.61 (1H,d,J=8Hz), 6.91 (1H,t,J=6Hz)

Example 156

(1S,2S)-2-(2-Hexylideneoctanyol)aminocyclohexane-1-yl 3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propionate
Melecular Formula : $C_{32}H_{56}N_2O_6$
Molecular Weight : 564.90
NMR(δ, $CDCl_3$):
0.88 (3H,t,J = 7Hz), 0.89 (3H,t,J = 7Hz), 0,96 (3H,s), 1.03 (3H,s), 1.06-2.33 (26H,m), 1.42 (3H,s), 1.47 (3H,s), 2.40-2.66 (2H,m), 3.28 (1H,d,J = 12Hz), 3.32-3.62 (2H,m), 3.69 (1H,d,J = 12Hz), 3.86-4.02 (1H,m), 4.07 (1H,s), 4.74 (1H,ddd,J = 11Hz,11Hz,4Hz), 5.82 (1H,d,J = 8Hz), 6.01 (1H,t,J = 7Hz), 6.90 (1H,t,J = 6Hz)

Example 157

(1S,2S)-2-(N-Benzyl-N-nonylcarbamoyl)aminocyclohexane-1-yl 3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propionate
Melecular Formula : $C_{35}H_{57}N_3O_6$
Molecular Weight : 615.86
Melting Point (° C): oil
NMR(δ, $CDCl_3$):
0.88 (3H,t,J = 7Hz), 0.96 (3H,s), 1.03 (3H,s), 1.05-2.23 (22H,m), 1.42 (3H,s), 1.46 (3H,s), 2.32-2.53 (2H,m), 3.17 (2H,t,J = 7Hz), 3.25-3.39 (1H,m), 3.28 (1H,d,J = 12Hz), 3.42-3.55 (1H,m), 3.68 (1H,d,J = 12Hz), 3.71-3.83 (1H,m), 4.07 (1H,s), 4.36 (1H,d,J = 16Hz), 4.46 (1H,d,J = 16Hz), 4.47 (1H,d,J = 8Hz), 4.62 (1H,ddd,J = 11Hz,11Hz, 4Hz), 4.87 (1H,t,J = 6Hz), 7.20-7.37 (5H,m)

Example 158

(1S,2S)-2-(2-Benzylundecanoyl)aminocyclohexane-1-yl 3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propionate
Melecular Formula : $C_{35}H_{56}N_2O_6$
Molecular Weight : 600.84
Melting Point (° C): oil
NMR(δ), $CDCl_3$):
0.88 (3H,t,J = 7Hz), 1.02 (3H,s), 1.08 (3H,s), 1.12-2.24 (25H,m), 1.46 (3H,s), 1.54 (3H,s), 2.61 (1H,dd,J = 13Hz,5Hz), 2.92 (1H,dd,J = 13Hz, 9Hz), 3.22 (1H,dd,J = 18Hz,5Hz), 3.31 (1H,d,J = 12Hz), 3.70-3.84 (1H,m), 3.71 (1H,d,J = 12Hz), 3.94 (1H,dd,J = 18Hz,7Hz), 4.13 (1H,s), 4.62 (1H,ddd,J = 11Hz,11Hz,4Hz), 5.51 (1H,d,J = 8Hz), 6.64-6.72 (1H,m), 7.14-7.21 (3H,m), 7.23-7.32 (2H,m)

Example 159

(1S,2S)-2-(2-Heptylnonanoyl)aminocyclohexane-1-yl 3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)-amino]propionate
Melecular Formula : $C_{34}H_{62}N_2O_6$
Molecular Weight : 594.88
Melting Point (° C): wax
NMR(ℓ, $CDCl_3$):
0.87 (6H,t,J = 7Hz), 0.96 (3H,s), 1.04 (3H,s), 1.08-2.21 (33H,m), 1.43 (3H,s), 1.47 (3H,s), 2.41-2.58 (2H,m), 3.28 (1H,d,J = 12Hz), 3.51 (2H,dt,J = 6Hz,6Hz), 3.69 (1H,d,J = 12Hz), 3.82-3.95 (1H,m), 4.08 (1H,s), 4.68 (1H,ddd,J = 11Hz, 11Hz,4Hz), 5.80 (1H,d,J = 8Hz), 6.91 (1H,t,J = 6Hz)

Example 160

(1S,2S)-2-[(1-Heptyloctyl)carbamoyl]aminocyclohexane-1-yl 3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propionate
Melecular Formula : $C_{34}H_{63}N_3O_6$

Molecular Weight : 609.89
Melting Point (°C): wax
NMR(δ, $CDCl_3$):
0.87 (6H,t,J=7Hz), 0.96 (3H,s), 1.03 (3H,s), 1.08-2.28 (32H,m), 1.44 (3H,s), 1.47 (3H,s), 2.38-2.57 (2H,m), 3.28 (1H,d,J=12Hz), 3.31-3.42 (1H,m), 3.54-3.82 (3H,m), 3.69 (1H,d,J=12Hz), 4.10 (1H,s), 4.48 (1H,brs), 4.55 (1H,ddd,J=11Hz, 11Hz,4Hz), 4.83 (1H,brs), 6.90 (1H,t,J=6Hz)

Example 161

(1S,2S)-2-(2-Benzyl-3-phenylpropanoyl)aminocyclohexane-1-yl 3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propionate
Molecular Formula : $C_{34}H_{46}N_2O_6$
Molecular Weight : 578.75
Melting Point (°C): calomel
NMR(δ, $CDCl_3$):
0.94 (3H,s), 0.95-2.23 (10H,m), 1.03 (3H,s), 1.42 (3H,s), 1.46 (3H,s), 2.43-2.56 (1H,m), 2.68-3.09 (4H,m), 3.15-3.32 (2H,m), 3.27 (1H,d,J=12Hz), 3.59-3.69 (1H,m), 3.68 (1H,d,J=12Hz), 4.06 (1H,s), 4.35 (1H,ddd,J=11Hz,11Hz,4Hz), 5.38 (1H,d,J=8Hz), 6.77 (1H,t,J=6Hz), 7.12-7.28 (10H,m)

Example 162

(1S,2S)-2-[(4-Phenyl-2-(3-phenylpropyl)pentanoyl)]aminocyclohexane-1-yl 3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propionate
Molecular Formula : $C_{38}H_{54}N_2O_6$
Molecular Weight : 634.86
Melting Point (°C): calomel
NMR(δ, $CDCl_3$):
0.93 (3H,s), 0.98-2.27 (19H,m), 1.02 (3H,s), 1.41 (3H,s), 1.46 (3H,s), 2.48-2.64 (4H,m), 3.12-3.28 (2H,m), 3.27 (1H,d,J=12Hz), 3.67 (1H,d,J=12Hz), 3.78-3.91 (1H,m), 4.05 (1H,s), 4.59 (1H,ddd,J=11Hz,11Hz,4Hz), 5.87 (1H,d,J= 8Hz), 6.75 (1H,t,J=6Hz), 7.11-7.30 (10H,m)

Example 163

(1S,2S)-2-[5-Phenyl-2-(4-phenylpropyl)pentanoyl]aminocyclohexane-1-yl 3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propionate
Molecular Formula : $C_{40}H_{58}N_2O_6$
Molecular Weight : 662.91
Melting Point (°C): oil
NMR(δ, $CDCl_3$):
0.84-2.14 (21H,m), 0.94 (3H,s), 1.01 (3H,s), 1.41 (3H,s), 1.45 (3H,s), 2.32-2.61 (6H,m), 3.27 (1H,d,J=8Hz), 3.37-3.53 (2H,m), 3.67 (1H,d,J=8Hz), 3.78-3.92 (1H,m), 4.06 (1H,s), 4.62 (1H,ddd,J=11Hz,11Hz,4Hz), 5.82 (1H,d,J= 8Hz), 6.86 (1H,t,J=6Hz), 7.11-7.29 (10H,m)

Example 164

(1S,2S)-2-[2-(p-tert-Butylbenzyl)-3-(4-tert-butylphenyl)-propanoyl)aminocyclohexane-1-yl 3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propionate
Molecular Formula : $C_{42}H_{62}N_3O_6$
Molecular Weight : 690.97
Melting Point (°C): calomel
NMR(δ, $CDCl_3$):
0.94 (3H,s), 1.02 (3H,s), 1.05-1.84 (8H,m), 1.28 (9H,s), 1.29 (9H,s), 1.41 (3H,s), 1.46 (3H,s), 2.12-2.34 (2H,m), 2.48-2.58 (1H,m), 2.66-3.04 (4H,m), 3.27 (1H,d,J=12Hz), 3.33 (2H,dt,J=6Hz,6Hz), 3.61-3.73 (1H,m), 3.68 (1H,d,J=12Hz), 4.06 (1H,s), 4.38 (1H,ddd,J= 11Hz,11Hz,4Hz), 5.32 (1H,d,J=8Hz), 6.83 (1H,t,J=6Hz),

7.06 (2H,d,J = 8Hz), 7.11 (2H,d,J = 8Hz), 7.26 (2H,d,J = 8Hz), 7.28 (2H,d,J = 8Hz)

Example 165

Preparation of (S)-2-Oleoylaminomethyl-1-{3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]-propanoyl}pyrrolidine

1-Ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride (530 mg) was added to a solution of 910 mg of (S)-2-oleylamino-methylpyrolidine and 650 mg of 3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)-amino]propionic acid in 10 ml of methylene chloride under ice cooling. The mixture was stirred at room temperature for 18 hours. The reaction mixture was washed with water and dried over anhydrous sodium sulfate, followed by removal of the solvent by vacuum evaporation. Then, the residue was purified by silica gel column chromatography to obtain 1.05 g of the title compound (yield: 59 %).
Property : oily
Specific rotary power $[\alpha]_D$: +5.2° (C = 1.0, $CHCl_3$)
IR(cm^{-1}, neat): ν_{NH}3336, ν_{CO}1656
Mass Spectrometric Analysis
Molecular Formula : $C_{35}H_{63}N_3O_5$
Calculated : 605.4746
Found : 605.4747
NMR(δ, $CDCl_3$):
0.88 (3H,t,J = 7Hz), 0.96 (3H,s), 1.04 (3H,s), 1.19-1.39 (20H,m), 1.42 (3H,s), 1.46 (3H,s), 1.53-1.82 (3H,m), 1.85-2.09 (7H,m), 2.16 (2H,t,J = 7Hz), 2.44-2.62 (2H,m), 3.13-3.23 (1H,m), 3.28 (1H,d,J = 12Hz), 3.39-3.60 (5H,m), 3.69 (1H,d,J = 12Hz), 4.08 (1H,s), 4.21-4.28 (1H,m), 5.29-5.40 (2H,m), 7.09 (1H,t,J = 6Hz), 7.24 (1H,brs)

Example 166

Preparation of (S)-2-(Oleoylamino)methyl-1-{3-[N-(2,4-dihydroxy-3,3-dimethyl-1-oxobutyl)amino]-propanoyl}pyrrolidine

A solution of 500 mg of (S)-2-(Oleoylamino)methyl-1-{3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)-amino]propanoyl}pyrrolidine in a mixed solvent composed of 20 ml of acetic acid and 10 ml of water was stirred at room temperature for 16 hours. Then, 20 ml of ethyl acetate and 20 ml of water were added thereto, and the organic layer was separated. The organic layer was washed with water, and dried over anhydrous sodium sulfate. After removing the solvent by evaporation, the residue obtained was subjected to silica gel column chromatography for purification to obtain 397 mg of the title compound (yield: 85 %).
Property : oily
Specific Rotary Power $[\alpha]_D$: -5.8° (C = 1.0, $CHCl_3$)
IR(cm^{-1}, neat): ν_{NH}3324, ν_{CO}1650
Mass Spectrometric Analysis
Molecular Formula : $C_{35}H_{63}N_3O_5$
Calculated : 565.4454
Found : 565.4449
NMR(δ, $CDCl_3$):
0.88 (3H,t,J = 7Hz), 0.92 (3H,s), 1.02 (3H,s), 1.21-1.39 (20H,m), 1.71-1.84 (1H,m), 1.53-1.67 (2H,m), 1.85-2.09 (7H,m), 2.17 (2H,t,J = 7Hz), 2.45-2.87 (4H,m), 3.13-3.75 (8H,m), 3.99 (1H,s), 3.39-3.68 (5H,m), 3.68 (1H,d,J = 12Hz), 4.08 (1H,s), 4.19-4.29 (1H,m), 5.29-5.40 (2H,m), 6.85-7.07 (1H,brs), 7.36-7.44 (1H,m)

Example 167

Preparation of (R)-2-Oleoylaminomethyl-1-{3-[N-(2,2,5,5-tetrmethyl-1,3-dioxane-4-carbonyl)amino)-

propanoyl}pyrrolidine

(R)-2-Oleoylaminomethylpyrrolidine (910 mg) and 650 mg of 3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propionic acid were reacted in the same manner as in Example 165 to obtain 1.24 g of the title compound (yield: 82 %).
Property : oily
Specific rotary power $[\alpha]_D$: +41.5° (C = 1.0, $CHCl_3$)
IR(cm^{-1}, νneat): ν_{NH}3336, ν_{CO}1654,
Mass Spectrometric analysis
Molecular Formula : $C_{35}H_{63}N_3O_5$
Calculated : 605,4747
Found : 605,4787
NMR(δ, $CDCl_3$):
0.88 (3H,t,J = 7Hz), 0.97 (3H,s), 1.04 (3H,s), 1.21-1.39 (20H,m), 1.43 (3H,s), 1.46 (3H,s), 1.55-1.82 (3H,m), 1.87-2.09 (7H,m), 2.17 (2H,t,J = 7Hz), 2.53 (2H,t,J = 6Hz), 3.12-3.21 (1H,m), 3.28 (1H,t,J = 12Hz), 3.39-3.68 (5H,m), 3.68 (1H,t,J = 12Hz), 4.08 (1H,s), 4.20-4.28 (1H,m), 5.28-5.40 (2H,m), 7.11 (1H,t,J = 6Hz), 7.24 (1H,brs)

Example 168

Preparation of 3-Oleoylamino-1-{3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]-propanoyl}piperidine

3-Oleoylaminopiperidine (1.02 g) and 0.78 g of 3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]-propionic acid were reacted in the same manner as in Example 165 to obtain 1.61 g of the title compound (yield: 89 %).
Property : oil
Specific Rotary Power $[\alpha]_D$: +25.3° (C = 1.0, $CHCl_3$)
IR(cm^{-1}, νneat): ν_{NH}3316, ν_{CO}1652
Mass Spectrometric Analysis
Molecular Formula : $C_{35}H_{63}N_3O_5$
Calculated : 605,4767
Found : 605,4749
NMR(δ, $CDCl_3$):
0.88 (3H,t,J = 7Hz), 0.98 (3H,s), 1.04 (3H,s), 1.18-1.39 (20H,m), 1.43 (3H,s), 1.47 (3H,s), 1.49-2.08 (10H,m), 2.16 (2H,t,J = 7Hz), 2.56 (2H,t,J = 6Hz), 3.01-3.15 (1H,m), 3.16-3.66 (4H,m), 3.28 (1H,d,J = 12Hz), 3.68 (1H,d,J = 12Hz), 3.69-4.08 (2H,m), 4.07 (1H,s), 5.29-5.40 (2H,m), 7.01-7.11 (1H,d,J = 6Hz)

Example 169

Preparation of 3-Oleoylamino-1-{3-[N-(2,4-dihydroxy-3,3-dimethyl-1-oxobutyl)amino]propanoyl}piperidine

3-Oleoylamino-1-{3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propanoyl}piperidine (1.61 g) was reacted in the same manner as in Exaple 166 to obtain 1.1 g of the title compound (yield: 73 %).
Property : oil
Specific Rotary Power $[\alpha]_D$: +10.4° (C = 1.0, $CHCl_3$)
IR(cm^{-1}, neat): ν_{NH}3316, ν_{CO}1650
Mass Spectrometric Analysis
Molecular Formula : $C_{35}H_{59}N_3O_5$
Calculated : 565.4454
Found : 565.4446
NMR(δ, $CDCl_3$):
0.88 (3H,t,J = 7Hz), 0.93-0.95 (3H,s), 1.03 (3H,s), 1.21-1.38 (20H,m), 1.48-2.09 (10H,m), 2.15 (2H,t,J = 7Hz), 2.57 (2H,t,J = 6Hz), 3.05-3.98 (7H,m), 3.47 (1H,d,J = 12Hz), 3.51 (1H,d,J = 12Hz), 3.95 (1H,m), 5.29-5.40

(2H,m), 5.61-5.86 (1H,brs), 7.23 (1H,brs)

Example 170

Preparation of 1-Oleoylamino-3-{3-[N-(2,4-dihydroxy-3,3-dimethyl-1-oxo-butyl)amino]-propanoyl}aminopiperidines A and B

Oleoyl chloride (945 mg) was added to a solution of 942 mg of 3-[3-(2,4-dihydroxy-3,3-dimethyl-1-oxo-butylamino)propanyl]aminopiperidine and 1.06 g of sodium carbonate in a mixed solvent composed of 20 ml of water and 20 ml of ethyl acetate under ice cooling and the mixture was stirred for additional 30 minutes. Then the aqueous layer was removed. The organic layer was washed with brine and dried over anhydrous sodium sulfate. After removing the solvent by evaporation, the residue was purified by silica gel column chromatography to obtain two diastereomers A and B, respectively, the title compound, in amount of 390 mg (yield: 22 %) and 409 mg (yield: 23 %), respectively.

A

Property : oily

Specific Rotary Power $[\alpha]_D$: +22.5° (C = 1.0, $CHCl_3$)

IR(cm^{-1}, neat): ν_{NH}3324, ν_{CO}1652

Mass Spectrometric Analysis

Molecular Formula : $C_{32}H_{59}N_3O_5$

Calculated : 565.4453

Found : 565.4433

NMR(δ, $CDCl_3$):

0.88 (3H,t,J = 7Hz), 0.91 (3H,s), 1.04 (3H,s), 1.22-1.38 (20H,m), 1.52-2.09 (10H,m), 2.25-2.53 (4H,m), 2.65-3.70 (9H,m), 3.98 (1H,brs), 4.04-4.31 (2H,m), 5.28-5.40 (2H,m), 5.94 (1H,brs), 7.50 (1H,brs) B

Property : oil

Specific Rotary Power $[\alpha]_D$: +7.6° (C = 1.0, $CHCl_3$)

IR(cm^{-1}, neat): ν_{NH}3320, ν_{CO}1652

Mass Spectrometric Analysis

Molecular Formula : $C_{32}H_{59}N_3O_5$

Calculated : 565.4453

Found : 565.4461

NMR(δ, $CDCl_3$):

0.88 (3H,t,J = 7Hz), 0.97 (3H,s), 1.03 (3H,s), 1.22-1.38 (20H,m), 1.52-2.09 (10H,m), 2.25-2.80 (6H,m), 3.10-4.05 (1H,s), 5.29-5.40 (2H,m), 6.23 (1H,brs), 7.50 (1H,brs)

Example 171

Preparation of 1-Oleoyl-3-[3-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonylamino)propanoyl]aminopiperidine-A

To a solution of 180 mg of 1-oleoylamino-3-[3-(2,4-dihydroxy-3,3-dimethyl-1-oxobutylamino)propanoyl]-aminopiperidine-A obtained in Example 170 in 10 ml of acetone was added 10 mg of p-toluenesulfonic acid, and the mixture was stirred at room temperature for 10 hours. After adding a saturated aqueous solution of sodium hydrogen carbonate thereto, the reaction mixture was extracted with ethyl acetate. The organic layer was washed with brine and dried over anhydrous sodium sulfate, followed by removal of the solvent. The residue was subjected to silica gel column chromatography to obtain 176 mg of the title compound (yield: 91 %).

Property : oily

Specific Rotary Power $[\alpha]_D$: +30.6° (C = 1.0, $CHCl_3$)

IR(cm^{-1}, neat): ν_{NH}3312, ν_{CO}1652, 1636

Mass Spectrometric Analysis

Molecular Formula : $C_{35}H_{63}N_3O_5$

Calculated : 605.4767

Found : 605.4789

NMR(δ, $CDCl_3$):
0.88 (3H,t,J = 7Hz), 0.96 (3H,s), 1.04 (3H,s), 1.21-1.38 (20H,m), 1.43 (3H,s), 1.47 (3H,s), 1.49-1.77 (5H,m), 1.81-2.08 (5H,m), 2.34 (2H,t,J = 7Hz), 2.36-2.49 (2H,m), 2.98-3.16 (1H,m), 3.28 (1H,d,J = 12Hz), 3.32-3.72 (4H,m), 3.70 (1H,d,J = 12Hz), 3.78-4.04 (2H,m), 4.08 (1H,s), 5.29-5.40 (2H,m), 5.99-6.16 (1H,m), 7.02 (1H,brs)

Example 172

Preparation of 1-Oleoyl-3-[3-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonylamino)propanoyl]aminopiperidine-B

1-Oleoylamino-3-[3-(2,4-dihydroxy-3,3-dimethyl-1-oxo-butylamino)propanoyl]aminopiperidine-B obtained in Example 170 (238 mg) was reacted in the same manner as in Example 171 to obtain 206 mg of the title compound.
Property : oily
Specific Rotary Power $[\alpha]_D$: +16.0° (C = 1.0, $CHCl_3$)
IR(cm^{-1}, neat): ν_{NH}3312, ν_{CO}1654
Mass Spectrometric Analysis
Molecular Formula : $C_{35}H_{63}N_3O_5$
Calculated : 605.4767
Found : 605.4776
NMR(δ, $CDCl_3$):
0.88 (3H,t,J = 7Hz), 0.98 (3H,s), 1.05 (3H,s), 1.18-1.38 (20H,m), 1.42 (3H,s), 1.47 (3H,s), 1.49-2.08 (10H,m), 2.34 (2H,t,J = 7Hz), 2.37-2.50 (2H,m), 2.90-3.07 (1H,m), 3.29 (1H,d,J = 12Hz), 3.32-3.73 (4H,m), 3.69 (1H,d,J = 12Hz), 3.76-4.11 (2H,m), 4.07 (1H,s), 5.29-5.41 (2H,m), 5.97-6.11 (1H,m), 7.02 (1H,brs)

Example 173

Preparation of 1-Oleoyl-4-piperidinyl 3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonylamino]propionate

A solution of 1.83 g of 1-oleoyl-4-hydroxy-piperidine, 1.3 g of 3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propionic acid, 1.03 g of dicyclohexylcarbodiimide and 0.61 g of 4-(N,N-dimethylamino)-pyridine in 60 ml of toluene was heated under reflux for one night. After completion of the reaction, the reaction mixture was cooled and precipitates were removed. Then, the organic layer was washed sequentially with water, 1N hydrochloric acid and brine, and dried over anhydrous sodium sulfate. After removing the solvent by evaporation, the residue was subjected to silica gel column chromatography to obtain 1.95 g of the title compound (yield: 65 %).
Property : oily
IR(cm^{-1}, neat): ν_{CO}1740, 1660
Mass Spectrometric Analysis
Molecular Formula : $C_{35}H_{62}N_2O_6$
Calculated : 606.4606
Found : 606.4587
NMR(δ, $CDCl_3$):
0.88 (3H,t,J = 7Hz), 0.96 (3H,s), 1.04 (3H,s), 1.16-1.40 (19H,m), 1.43 (3H,s), 1.46 (3H,s), 1.50-1.77 (6H,m), 1.76-2.04 (6H,m), 3.32 (2H,t,J = 6Hz), 2.58 (2H,t,J = 6Hz), 3.29 (1H,d,J = 12Hz), 3.26-3.70 (4H,m), 3.69 (1H,d,J = 12Hz), 3.88-4.00 (1H,m), 4.08 (1H,s), 4.96-5.06 (1H,m), 5.30-5.42 (2H,m), 6.88-6.96 (1H,m)

Example 174

Preparation of 1-Oleoyl-4-piperidinyl 3-[N-(2,4-dihydroxy-3,3-dimethyl-1-oxobutyl)amino]propionate

1-Oleoyl-4-piperidine 3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propionate (1.51 g) was

reacted in the same manner as in Example 166 to obtain 1.37 g of the title compound (yield: 97 %).
Property : oily
IR(cm^{-1}, neat): ν_{NH}3436, ν_{CO}1740, 1658
Mass Spectrometric Analysis
Molecular Formula : $C_{32}H_{58}N_2O_6$
Calculated : 566.4294
Found : 566.4318
NMR(δ, $CDCl_3$):
0.88 (3H,t,J = 7Hz), 0.92 (3H,s), 1.02 (3H,s), 1.02 (3H,s), 1.20-1.40 (21H,m), 1.56-1.72 (4H,m), 1.80-2.10 (5H,m), 2.32 (2H,t,J = 7Hz), 2.59 (2H,t,J = 6Hz), 3.20-4.10 (10H,m), 4.96-5.06 (1H,m), 4.96-5.06 (1H,m), 5.30-5.42 (2H,m), 7.14-7.24 (1H,m)

Example 175

Preparation of 1-Oleoyl-4-piperidinyl 3-[N-(2,4-diacetoxy-3,3-dimethyl-1-oxobutyl)amino)propionate

Acetic anhydride (10 ml) was added to a solution of 530 mg of 1-oleoyl-4-piperidine 3-[N-(2,4-dihydroxy-3,3-dimethyl-1-oxo-butyl)amino]propionate in 5 ml of ypridine, and the mixture was stirred at room temperature for 15 hours. The reaction mixture was poured into ice water, and extracted with ethyl acetate. The organic layer was washed sequentially with a saturated aqueous sodium hydrogen carbonate solution, with water and then with brine, followed by drying over anhydrous sodium sulfate. After removing the solvent by vacuum evaporation, the residue was subjected to silica gel column chromatography to obtain 610 mg of the title compound.
Property : oily
IR(cm^{-1}, neat): ν_{CO}1746, 1642
Mass Spectrometiric Analysis
Molecular Formula : $C_{36}H_{62}N_2O_8$
Calculated : 650.4505
Found : 650.4502
NMR(δ, $CDCl_3$):
0.88 (3H,t,J = 7Hz), 1.02 (3H,s), 1.07 (3H,s), 1.20-1.40 (20H,m), 1.56-1.70 (5H,m), 1.80-2.08 (6H,m), 2.07 (3H,s), 2.15 (2H,t,J = 6Hz), 3.26-3.70 (6H,m), 3.83 (1H,d,J = 11Hz), 3.82-3.94 (1H,m), 4.04 (1H,d,J = 11Hz), 4.96 (1H,s), 4.93-5.02 (1H,m), 5.30 (2H,m), 6.52-6.60 (1H,m)

Example 176

Preparation of 1-Oleoyl-3-piperidinyl 3-[N-(2,4-dihydroxy-3,3-dimethyl-1-oxobutyl)amino]propionate

1-Oleoyl-3-hydroxypiperidine (3.30 g) and 2.33 g of 3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)-amino]propionic acid were reacted in the same manner as in Example 173 to obtain crude 1-oleoyl-3-piperidinyl 3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propionate. This compound was reacted in the same manner as in Example 166 to obtain two disatereomers-A and -B of the title compound in amount of 1.25 g (45 %) and 1.36 g (yield: 49 %).
A
Property : oily
Specific Rotary Power $[\alpha]_D$: +19.5° (C = 1.0, $CHCl_3$)
IR(cm^{-1}, neat): ν_{NH}3312, ν_{CO}1740, 1652
Mass Spectrometric Analysis
Molecular Formula : $C_{32}H_{58}N_2O_6$
Calculated : 566.4294
Found : 566.4297
NMR(δ, $CDCl_3$):
0.88 (3H,t,J = 7Hz), 0.90 (3H,s), 1.07 (3H,s), 1.22-1.39 (20H,m), 1.45-2.09 (10H,m), 2.31 (2H,t,J = 7Hz), 2.33-3.18 (6H,m), 3.29-3.58 (3H,m), 3.70-3.89 (3H,m), 4.02 (1H,brs), 4.81-4.93 (2H,m), 5.29-5.41 (2H,m), 7.38

(1H,brs),
B
Property : oily
Specific Rotary Power $[\alpha]_D$: +32.8° (C = 1.0, $CHCl_3$)
IR(cm^{-1}, neat): ν_{NH}3312, ν_{CO}1740, 1650
Mass Spectrometric Analysis
Molecular Formula : $C_{32}H_{58}N_2O_6$
Calculated : 566.4294
Found : 566.4394
NMR(δ, $CDCl_3$):
0.88 (3H,t,J = 7Hz), 1.00 (3H,s), 1.06 (3H,s), 1.22-1.38 (20H,m), 1.52-2.08 (10H,m), 2.15-2.63 (4H,m), 2.92-3.84 (7H,m), 4.00 (1H,s), 4.47-4.58 (1H,m), 4.96 (1H,brs), 5.29-5.40 (2H,m), 7.42 (1H,brs)

Example 177

Preparation of 1-Oleoyl-3-piperidinyl 3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino)propionate-A

1-Oleoyl-3-piperidinyl 3-[N-(2,4-dihydroxy-3,3-dimethyl-1-oxo-butyl)amino]propionate-A (568 mg) was reacted in the same manner as in Example 171 to obtain 479 mg of the title compound (yield: 79 %).
Property : oily
Specific Rotary Power $[\alpha]_D$: +18.8° (C = 1.0, $CHCl_3$)
IR(cm^{-1}, neat): ν_{NH}3312, ν_{CO}1740, 1678, 1650
Mass Spectrometric Analysis
Molecular Formula : $C_{35}H_{62}N_2O_6$
Calculated : 606.4607
Found : 606.4635
NMR(δ, $CDCl_3$):
0.88 (3H,t,J = 7Hz), 0.90 (3H,s), 1.04 (3H,s), 1.21-1.38 (20H,m), 1.42 (3H,s), 1.46 (3H,s), 1.40-2.09 (10H,m), 2.30 (2H,t,J = 7Hz), 2.45-2.63 (2H,m), 3.27 (1H,d,J = 12Hz), 3.32-3.70 (6H,m), 3.66 (1H,d,J = 12Hz), 4.07 (1H,s), 4.81 (1H,brs), 5.29-5.40 (2H,m), 6.79-7.02 (1H,brs)

Example 178

Preparation of 1-Oleoyl-3-piperidinyl 3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propionate-B

1-Oleoyl-3-piperidinyl 3-[N-(2,4-dihydroxy-3,3-dimethyl-1-oxo-butyl)amino]propionate-B (567 mg) was reacted in the same manner as in Example 171 to obtain 490 mg of the title compound (yield: 81 %).
Property : oily
Specific Rotary Power $[\alpha]_D$: +22.8° (C = 1.0, $CHCl_3$)
IR(cm^{-1}, neat): ν_{NH}3312, ν_{CO}1740, 1680, 1652
Mass Spectrometric Analysis
Molecular Formula : $C_{35}H_{62}N_2O_6$
Calculated : 606,4607
Found : 606.4607
NMR(δ, $CDCl_3$):
0.88 (3H,t,J = 7Hz), 0.98 (3H,s), 1.05 (3H,s), 1.21-1.38 (20H,m), 1.42 (3H,s), 1.45 (3H,s), 1.50-2.05 (10H,m), 2.30 (2H,t,J = 7Hz), 2.54 (2H,t,J = 6Hz), 3.24 (1H,d,J = 12Hz), 3.32-3.72 (6H,m), 3.66 (1H,d,J = 12Hz), 4.06 (1H,s), 4.75-4.85 (1H,m), 5.29-5.40 (2H,m), 7.81-7.93 (1H,m)

Example 179

Preparation of 1-Oleoyl-2-(2S)-pyrrolidinylmethyl 3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]-

propionate

(S)-1-Oleoyl-2-pyrrolidinemethanol (1.83 g) and 1.30 g of 3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propionic acid were reacted in the same manner as in Example 173 to obtain 2.24 g of the title compound (yield: 74 %).
Property : oily
Specific Rotary Power $[\alpha]_D$: +0.5° (C = 1.0, $CHCl_3$)
IR(cm^{-1}, neat): ν_{NH}3312, ν_{CO}1740, 1680, 1652
Mass Spectrometric Analysis
Molecular Formula : $C_{35}H_{62}N_2O_6$
Calculated : 606,4607
Found : 606.4589
NMR(δ, $CDCl_3$):
0.88 (3H,t,J = 7Hz), 0.90 (3H,s), 1.04 (3H,s), 1.20-1.40 (20H,m), 1.43 (3H,s), 1.46 (3H,s), 1.56-1.72 (2H,m), 1.75-2.09 (8H,m), 2.25 (2H,t,J = 7Hz), 2.57 (2H,t,J = 6Hz), 3.28 (1H,d,J = 12Hz), 3.35-3.64 (4H,m), 3.68 (1H,d,J = 12Hz), 4.05-4.28 (3H,m), 4.31-4.41 (1H,m), 5.29-5.41 (2H,m), 6.90-7.04 (1H,m)

Example 180

Preparation of 1-Oleoyl-2-(2R)-pyrrolidinylmethyl 3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]-propionate

(R)-1-Oleoyl-2-pyrrolidinemethanol (1.46 g) and 1.04 g of 3-[N-(2,2,5,5-tetramethyl 1,3-dioxane-4-carbonyl)amino]propionic acid were reacted in the same manner as in Example 173 to obtain 1.62 g of the title compound (yield: 67 %).
Property : oily
Specific Rotary Power $[\alpha]_D$: +44.9° (C = 1.0, $CHCl_3$)
IR(cm^{-1}, neat): ν_{NH}3312, ν_{CO}1742, 1682, 1652
Mass Spectrometric Analysis
Molecular Formula : $C_{35}H_{62}N_2O_6$
Calculated : 606.4607
Found : 606.4605
NMR(δ, $CDCl_3$):
0.88 (3H,t,J = 7Hz), 1.97 (3H,s), 1.04 (3H,s), 1.19-1.39 (20H,m), 1.43 (3H,s), 1.46 (3H,s), 1.55-2.09 (10H,m), 2.26 (2H,t,J = 7Hz), 2.57 (2H,t,J = 6Hz), 3.22 (1H,d,J = 12Hz), 3.36-3.70 (4H,m), 3.68 (1H,d,J = 12Hz), 4.08 (1H,s), 4.09-4.24 (2H,m), 4.30-4.43 (1H,m), 5.29-5.40 (2H,m), 6.92-7.05 (1H,m)

Example 181

Preparation of 1-Stearoyl-2-(2S)-pyrrolidinylmethyl 3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]-propionate

(S)-1-Stearoyl-2-pyrrolidinemethanol (367 mg) and 259 mg of 3-[N-2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propionic acid were reacted in the same manner as in Example 173 to obtain 470 mg of the title compound (yield: 77 %).
Property : oily
Specific Rotary Power $[\alpha]_D$: -5.10° (C = 1.0, $CHCl_3$)
IR(cm^{-1}, neat): ν_{CO}1742, 1650
Mass Spectrometric Analysis
Molecular Formula : $C_{35}H_{64}N_2O_6$ Calculated : 608.4764 Found : 608.4760
NMR(δ, $CDCl_3$):
0.88 (3H,t,J = 7Hz), 0.97 (3H,s), 1.04 (3H,s), 1.18-1.37 (24H,m), 1.43 (3H,s), 1.46 (3H,s), 1.53-2.10 (10H,m), 2.25 (3H,t,J = 7Hz), 2.56 (2H,t,J = 6Hz), 3.28 (1H,d,J = 12Hz), 3.35-3.62 (4H,m), 3.68 (1H,d,J = 12Hz), 4.08 (1H,s), 4.12 (1H,dd,J = 11Hz,4Hz), 4.23 (1H,dd,J = 11Hz,4Hz), 4.32-4.40 (1H,m), 6.08 (1H,t,J = 6Hz)

Example 182

Preparation of 1-Linoleoyl-2-(2S)-pyrrolidinylmethyl 3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]-propionate

(S)-1-Linoleoyl-2-pyrrolidinemethanol (363 mg) and 259 mg of 3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propionic acid were reacted in the same manner as in Example 173 to obtain 433 mg of the title compound (yield: 70 %).

Property : oily

Specific Rotary Power $[\alpha]_D$: +2.30° (C = 1.0, $CHCl_3$)

IR(cm^{-1}, neat): ν_{NH}3312, ν_{CO}1680, 1652

Mass Spectrometric Analysis

Molecular Formula : $C_{35}H_{60}N_2O_6$

Calculated : 604.4451

Found : 604.4452

NMR(δ, $CDCl_3$):

0.89 (3H,t,J = 7Hz), 0.97 (3H,s), 1.04 (3H,s), 1.22-1.41 (14H,m), 1.43 (3H,s), 1.46 (3H,s), 1.56-2.09 (10H,m), 2.25 (2H,t,J = 7Hz), 2.56 (2H,t,J = 6Hz), 2.77 (2H,t,J = 6Hz), 3.28 (1H,d,J = 12Hz), 3.37-3.63 (4H,m), 4.08 (1H,s), 4.11 (1H,dd,J = 11Hz,4Hz), 4.23 (1H,dd,J = 11Hz,4Hz), 4.31-4.40 (1H,m), 5.28-5.43 (4H,m), 6.98 (1H,t,J = 6Hz)

Example 183

Preparation of 1-Oleoyl-2-(2S)-pyrrolidinylmethyl 3-[N-2,4-dihydroxy-3,3-dimethyl-1-oxobutyl)amino]-propionate

1-Oleoyl-2-(2S)-pyrrolidinylmethyl 3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propionate (1.5 g) was reacted in the same manner as in Example 166 to obtain 1.27 g of the title compound (yield: 91 %).

Property : oily

Specific Rotary Power $[\alpha]_D$: +13.4° (C = 1.0, $CHCl_3$)

IR(cm^{-1}, neat): ν_{NH}3312, ν_{CO}1742, 1650

Mass Spectrometric Analysis

Molecular Formula : $C_{32}H_{58}N_2O_6$

Calculated : 566.4294

Found : 566.4301

NMR(δ, $CDCl_3$):

0.88 (3H,t,J = 7Hz), 0.94 (3H,s), 1.04 (3H,s), 1.21-1.40 (20H,m), 1.54-1.68 (2H,m), 1.69-1.86 (1H,m), 1.88-2.09 (7H,m), 2.10-2.38 (3H,m), 2.40-2.63 (2H,m), 3.33-3.71 (6H,m), 4.00 (1H,m), 4.03-4.15 (2H,m), 4.38-4.50 (1H,m), 5.28-5.40 (2H,m), 7.30-7.52 (1H,m)

Example 184

Preparation of 1-Oleoyl-2-(2S)-pyrrolidinylmethyl 3-[N-(2,4-diacetoxy-3,3-dimethyl-1-oxobutyl)amino]-propionate

1-Oleoyl-2-(2S)-pyrrolidinylmethyl 3-[N-(2,4-dihydroxy-3,3-dimethyl-1-oxobutylamino)amino]propionate (556 mg) was reacted int he same manner as in Example 175 to obtain 463 mg of the title compound (yield: 71 %).

Property : oily

Specific Rotary Power $[\alpha]_D$: -0.40° (C = 1.0, $CHCl_3$)

IR(cm^{-1}, neat): ν_{NH}, ν_{CO}2748, 1646

Mass Spectrometric Analysis

Molecular Formula : $C_{36}H_{62}N_2O_8$
Calculated : 650.4506
Found : 650.4500
NMR(δ, $CDCl_3$):
0.88 (3H,t,J = 7Hz), 1.02 (3H,s), 1.07 (3H,s), 1.22-1.38 (20H,m), 1.55-1.67 (2H,m), 1.70-1.85 (1H,m), 1.88-2.08 (7H,m), 2.07 (3H,m), 2.14 (3H,s), 2.26 (2H,t,J = 7Hz), 2.43-2.59 (2H,m), 3.35-3.68 (4H,m), 3.84 (1H,d,J = 12Hz), 4.04 (1H,d,J = 12Hz), 4.15 (2H,d,J = 6Hz), 4.37-4.45 (1H,m), 4.97 (1H,s), 5.28-5.40 (2H,m), 6.89-6.96 (1H,m)

Example 185

Preparation of (S)-1-Oleoyl-2-{3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino-1-oxopropyl]-aminomethyl}pyrrolidine

Pyridine (1 ml) was added to a solution of 321 mg of (S)-2-{3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino-1-oxopropyl]aminomethyl}pyrrolidine in 20 ml of methylene chloride. Under ice cooling, a solution of 271 mg of oleoyl chloride in 5 ml of methylene chloride was added portion-wise to the mixture, and the mixture thus formed was stirred for 3 hours as it was. After completion of the reaction, the reaction mixture was washed with water, and dried over anhydrous sodium sulfate. After removal of the solvent by evaporation, the residue was subjected to silica gel column chromatography to obtain 166 mg of the title compound (yield: 30 %).
Property : oily
Specific Rotary Power $[\alpha]_D$: +3.90° (C = 1.0, $CHCl_3$)
IR(cm^{-1}, neat): ν_{NH}3324, ν_{CO}1676
Mass Spectrometric Analysis
Molecular Formula : $C_{35}H_{63}N_3O_5$
Calculated : 605.4767
Found : 605.4778
NMR(δ, $CDCl_3$):
0.88 (3H,t,J = 7Hz), 0.99 (3H,s), 1.04 (3H,s), 1.18-1.39 (20H,m), 1.42 (4H,s), 1.47 (3H,s), 1.57-1.80 (3H,m), 1.88-2.09 (7H,m), 2.27 (2H,t,J = 7Hz), 2.23-2.45 (2H,m), 3.13-3.23 (1H,m), 3.28 (1H,d,J = 11Hz), 3.40-3.64 (5H,m), 3.68 (1H,d,J = 11Hz), 4.07 (1H,s), 4.23-4.31 (1H,m), 5.29-5.40 (2H,m), 7.12 (1H,t,J = 6Hz), 7.50-7.62 (1H,m)

Example 186

Preparation of (R)-1-Oleoyl-2-{3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino-1-oxopropyl]-aminomethyl}pyrrolidine

Triethylamine (2 ml) was added to a solution of 821 mg of (R)-2-{3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino-1-oxopropyl]aminomethyl}pyrrolidine in 40 ml of methylene chloride. Under ice cooling, a solution of 697 mg of oleoyl chloride in 10 ml of methylene chloride was added portion-wise to the mixture, and the mixture thus formed was stirred for 3 hours at it was. After completion of the reaction, the reaction mixture was washed with water, and dried over anhydrous sodium sulfate. After removal of the solvent by evaporation, the residue was subjected to silica gel column chromatography to obtain 1.20 g of the title compound (yield: 87 %).
Property : oily
Specific Rotary Power $[\alpha]_D$: +40.7° (C = 1.0, $CHCl_3$)
IR(cm^{-1}, neat): ν_{NH}3328, ν_{CO}1656
Mass Spectrometric Analysis
Molecular Formula : $C_{35}H_{63}N_3O_5$
Calculated : 605.4767
Found : 606.4757
NMR(δ, $CDCl_3$):

0.88 (3H,t,J = 7Hz), 0.97 (3H,s), 1.04 (3H,s), 1.21-1.39 (20H,m), 1.42 (3H,s), 1.47 (3H,s), 1.56-1.80 (3H,m), 1.88-2.09 (7H,m), 2.27 (2H,t,J = 7Hz), 2.40 (2H,t,J = 6Hz), 3.12-3.20 (1H,m), 3.27 (1H,d,J = 12Hz), 3.41-3.64 (5H,m), 3.68 (1H,d,J = 12Hz), 4.07 (1H,s), 4.24-4.33 (1H,m), 5.29-5.40 (2H,m), 7.12 (1H,t,J = 6Hz), 7.60 (1H,brs)

Example 187

1-(2-Methyllauroyl)4-piperidinyl 3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propionate
Molecular Formula : $C_{30}H_{54}N_2O_6$
Molecular Weight : 538.77
Mass Spectrometric Analysis
Calculated: 538.3981
Found : 538.3966
Melting Point (°C) : oil
Specific Rotary Power: $[\alpha]^{28}_D$ +25.7° (C = 1.0, $CHCl_3$)
IR(νneat, cm^{-1}): 2932 2860, 1736

NMR(, $CDCl_3$):

0.88 (3H,t,J = 7Hz), 0.96 (3H,s), 1.04 (3H,s), 1.10 (3H,d,J = 7Hz), 1.17-1.33 (16H,m), 1.43 (3H,s), 1.46 (3H,s), 1.52-1.97 (6H,m), 2.58 (2H,t,J = 6Hz), 1.60-1.76 (1H,m). 3.28 (2H,d,J = 12Hz), 3.31-4.03 (6H,m), 3.69 (1H,d,J = 12Hz), 4.08 (1H,s), 4.98-5.08 (1H,m), 6.93 (1H,t,J = 5Hz)

Example 188

1-(1-Decylcyclobutanecarbonyl)-4-piperidinyl 3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]-propionate
Molecular Formula : $C_{32}H_{56}N_2O_6$
Molecular Weight : 564.81
Mass Spectrometric Analysis
Calculated: 564.4138
Found : 564.4119
Melting Point (°C) : oil
Specific Rotary Power: $[\alpha]^{28}_D$ +23.7° (C = 1.0, $CHCl_3$)
IR(νneat, cm^{-1}): 2932, 2860, 1738
NMR(δ, $CDCl_3$):
0.88 (3H,t,J = 7Hz), 0.96 (3H,s), 1.04 (3H,s), 1.16-1.37 (16H,m), 1.42 (3H,s), 1.46 (3H,s) 1.52-1.98 (10H,m), 2.42-2.54 (2H,m), 2.58 (2H,t,J = 6Hz), 3.11-3.98 (6H,m), 3.28 (1H,d,J = 12Hz), 3.69 (1H,d,J = 12Hz), 4.08 (1H,s), 4.96-5.05 (1H,m), 6.92 (1H,t,J = 5Hz)

Example 189

1-(1-Decylcyclobutanecarbonyl)-3-piperidinyl 3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino)-propionate
Molecular Formula : $C_{32}H_{56}N_2O_6$
Molecular Weight : 564.81
Mass Spectrometric Analysis
Calculated: 564.4138
Found : 564.4153
Melting Point (°C) : oil
Specific Rotary Power: $[\alpha]^{27}_D$ +21.4° (C = 1.0, $CHCl_3$)
IR(νneat, cm^{-1}): 2932, 2860, 1740
NMR(δ, $CDCl_3$):
0.88 (3H,t,J = 7Hz), 0.97 (3H,s), 1.04 (3H,s), 1.12-1.35 (16H,m), 1.43 (3H,s), 1.46 (3H,s) 1.50-2.02 (10H,m),

2.39-2.60 (4H,m), 2.90-3.75 (6H,m), 3.28 (1H,d,J = 12Hz), 3.69 (1H,d,J = 12Hz), 4.08 (1H,s), 4.65-4.92 (1H,m), 6.92-7.08 (1H,m)

Example 190

1-(2-Benzylundecanoyl)-4-piperidinyl 3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propionate
Molecular Formula : $C_{35}H_{56}N_2O_6$
Molecular Weight : 600.84
Mass Spectrometric Analysis
Calculated: 600,4138
Found : 600,4122
Melting Point (°C) : oil
Specific Rotary Power: $[\alpha]^{28}_D$ +22.6° (C = 1.0, $CHCl_3$)
IR(νneat, cm^{-1}): 2932, 2860, 1734
NMR(δ, $CDCl_3$):
55°C
0.88 (3H,t,J = 7Hz), 0.95 (3H,s), 1.04 (3H,s), 1.19-1.85 (20H,m), 1.41 (3H,s), 1.44 (3H,s) 2.51 (2H,t,J = 6Hz), 2.66-2.77 (1H,m), 2.85-3.64 (8H,m), 3.27 (1H,d,J = 12Hz), 3.66 (1H,d,J = 12Hz), 4.05 (1H,s), 4.78-4.88 (1H,m), 4.78-6.87 (1H,m), 7.13-7.28 (5H,m)

Example 191

1-(1-Benzyldecyl)carbamoyl-4-piperazinyl 3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]-propionate
Molecular Formula : $C_{35}H_{57}N_3O_6$
Molecular Weight : 615.86
Mass Spectrometric Analysis
Calculated: 615.4247
Found : 615,4222
Melting Point (°C) : wax
Specific Rotary Power: $[\alpha]^{28}_D$ +21.8° (C = 1.0, $CHCl_3$)
IR(νneat, cm^{-1}): 2932, 2860, 1734
NMR(δ, $CDCl_3$):
0.88 (3H,t,J = 7Hz), 0.96 (3H,s), 1.04 (3H,s), 1.16-1.38 (16H,m), 1.42 (3H,s), 1.46 (3H,s) 1.48-1.89 (4H,m), 2.57 (2H,t,J = 6Hz), 2.72-2.87 (2H,m), 3.05-3.21 (2H,m), 3.28 (1H,d,J = 12Hz), 3.41-3.66 (4H,m), 3.69 (1H,d,J = 12Hz), 4.01-4.07 (1H,m), 4.08 (1H,s), 4.15-4.23 (1H,m), 4.91-4.99 (1H,m), 6.92 (1H,t,J = 5Hz), 7.14-7.32 (5H,m)

Example 192

Preparation of 1-Oleoyl-4-{1-oxo-3-[N-(1-oxo-2,4-diacetoxy-3,3-dimethylbutyl)amino]propyl}piperazine

1-Ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride (530 mg) was added to a solution of 980 mg of 1-oleylamino-piperazine and 836 mg of 3-[N-(2,4-dihydroxy-3,3-dimethyl-1-oxobutyl)amino]propionic acid in 10 ml of methylene chloride with stirring under ice cooling. The mixture was stirred at room temperature for 18 hours. The reaction mixture was washed with water and dried over anhydrous sodium sulfate, followed by removal of the solvent by vacuum evaporation. Then, the residue was purified by silica gel column chromatography to obtain 900 mg of the title compound (yield: 51 %).
Property : oily
Specific Rotary Power $[\alpha]_D$: +20.2° (C = 1.0, $CHCl_3$)
IR(cm^{-1}, neat): ν_{NH}3336, ν_{CO}1748, 1644
Mass Spectrometric Analysis
Molecular Formula : $C_{35}H_{61}N_3O_7$
Calculated : 635.4509

Found : 635.4517
NMR(δ, $CDCl_3$):
0.88 (3H,t,J = 7Hz), 1.03 (3H,s), 1.06 (3H,s), 1.23-1.38 (20H,m), 1.58-1.68 (2H,m), 1.95-2.08 (4H,m), 2.05 (3H,s), 2.11 (3H,s), 2.31 (2H,t,J = 7Hz), 2.42-2.59 (2H,m), 3.35-3.69 (10H,m), 3.83 (1H,d,J = 12Hz), 4.02 (1H,d,J = 12Hz), 4.88 (1H,s), 5.28-5.40 (2H,m), 6.73 (1H,t,J = 6Hz)

Example 193

Preparation of 1-Oleoyl-4-{1-oxo-3-[N-(1-oxo-2,4-dihydroxy-3,3-dimethylbutyl)amino]propyl}piperazine

An aqueous 1N NaOH solution (2 ml) was added to a solution of 635 mg of 1-Oleoyl-4-{1-oxo-3-[N-(1-oxo-2,4-dihacetoxy-3,3-dimethylbutyl)amino)propyl}piperazine in 5 ml of methanol, and the mixture was stirred at room temperature for 30 minutes. After adding methylene chloride and water to the reaction mixture, the organic layer was separated, which then was washed with brine and dried over anhydrous sodium sulfate, followed by removal of the solvent. The residue was purified by silica gel column chromatography to obtain 482 mg of the title compound (yield: 87 %).
Property : oily
Specific Rotary Power $[\alpha]_D$: +16.1° (C = 1.0, $CHCl_3$)
IR(cm^{-1}, neat): ν_{NH}, ν_{CO}1646
Mass Spectrometric Analysys
Molecular Formula : $C_{31}H_{57}N_3O_5$
Calculated : 605.4767
Found : 605.4787
NMR(δ, $CDCl_3$):
0.88 (3H,t,J = 7Hz), 0.92 (3H,s), 1.02 (3H,s), 1.22-1.41 (20H,m), 1.57-1.68 (2H,m), 1.92-2.08 (4H,m), 2.33 (2H,t,J = 7Hz), 2.54 (2H,brs), 3.12-3.21 (1H,m), 2.59 (2H,t,J = 6Hz), 3.41-3.68 (10H,m), 3.99 (1H,s), 5.28-5.40 (2H,m) , 7.30-7.39 (1H,m)

Example 194

Preparation of 1-Oleoyl-4-{1-oxo-3-[N-(1-oxo-2,4-diacetoxy 3,3-dimethylbutyl)amino]propyl}tetrahydro-1,4-diazepine

1-Oleoylhomopiperazine (919 mg) and 755 mg of 3-[N-(1-oxo-2,4-diacetoxy-3,3-dimethylbutyl)amino]-propionic acid were reacted in the same manner as in Example 192 to obtain 930 mg of the title compound (yield: 57 %).
Property : oily
Specific Rotary Power $[\alpha]_D$: +27.3° (C = 1.0, $CHCl_3$)
IR(cm^{-1}, neat): ν_{NH}, ν_{CO}1748, 1644
Mass Spectrometric Analysis
Molecular Formula : $C_{36}H_{63}N_3O_7$
Calculated : 649.4665
Found : 649.4652
NMR(δ, $CDCl_3$):
0.88 (3H,t,J = 7Hz), 1.02 (3H,s), 1.06 (3H,s), 1.23-1.40 (20H,m), 1.56-1.70 (2H,m), 1.78-1.91 (2H,m), 1.92-2.06 (4H,m), 2.04 (3H,s), 2.11 (3H,s), 2.28 (2H,t,J = 7Hz), 2.42-2.56 (2H,m), 3.35-3.76 (1H,m), 3,84 (1H,d,J = 12Hz), 4.03 (1H,d,J = 12Hz), 4.91 (1H,brs), 5.27-5.40 (2H,m), 6.74-6.83 (1H,m)

Example 195

Preparation of 1-Oleoyl-4-{1-oxo-3-[N-(1-oxo-2,4-dihydroxy-3,3-dimethylbutyl)amino]propyl}tetrahydro-1,4-diazepine

1-Oleoyl-4-{1-oxo-3-[N-(1-oxo-2,4-diacetoxy-3,3-dimethylbutyl)amino]propyl}tetrahydro-1,4-diazepine (649 mg) was reacted in the same manner as in Example 193 to obtain 515 mg of the title compound.
Property : oily
Specific Rotary Power $[\alpha]_D$: +14.0° (C = 1.0, $CHCl_3$)
IR(cm^{-1}, neat): ν_{NH}, ν_{CO}1642
Mass Spectrometric Analysis
Molecular Formula : $C_{32}H_{59}N_3O_5$
Calculated : 565.4454
Found : 565.4440
NMR(δ, $CDCl_3$):
0.88 (3H,t,J = 7Hz), 0.92 (3H,s), 1.02 (3H,s), 1.21-1.39 (20H,m), 1.55-1.68 (2H,m), 1.72-1.88 (2H,m), 1.92-2.08 (4H,m), 2.12-2.62 (6H,m), 3.25-3.85 (10H,m), 3.96 (1H,brs), 5.28-5.40 (2H,m), 7.12-7.22 (1H,m)

Example 196

Preparation of 1-Stearoyl-4-[3-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonylamino)propanyl]piperazine

Sodium carbonate (106 mg) was suspended in a solution of 326 mg of 1-[3-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonylamino)propanyl]piperazine and 303 mg of stearoyl chloride in 20 ml of methylene chloride, and the mixture was allowed to react for 2 hours. After removing insoluble matters by filtration, the solvent was distilled off. The residue was subjected to silica gel column chromatography to obtain 498 mg of the title compound (yield: 84 %).
Property : oily
Specific Rotary Power $[\alpha]_D$: +28.9° (C = 1.0, $CHCl_3$)
IR(cm^{-1}, neat): ν_{NH}3408 ν_{CO}1656, 1638
Mass Spectrometric Analysis
Molecular Formula : $C_{34}H_{63}N_3O_5$
Calculated : 593.4767
Found : 593.4776
NMR(δ, $CDCl_3$):
0.88 (3H,t,J = 7Hz), 0.96 (3H,s), 1.04 (3H,s), 1.19-1.39 (28H,m), 1.56-1.73 (2H,m), 2.33 (2H,t,J = 7Hz), 2.56-2.66 (2H,m), 3.28 (1H,d,J = 12Hz), 3.39-3.68 (5H,m), 3.37-3.71 (10H,m), 3.68 (1H,d,J = 12Hz), 4.07 (1H,s), 7.05-7.14 (1H,m)

Example 197

Preparation of 1-Linoleoyl-4-{3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propanyl}piperazine

1-{3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propanoyl}piperazine (489 mg) and linoloyl chloride (421 mg) were reacted in the same manner as in Example 192 to obtain 496 mg of the title compound (yield: 56 %).
Property : oily
Specific Rotary Power $[\alpha]_D$: +26.7° (C = 1.0, $CHCl_3$)
IR(cm^{-1}, neat): ν_{CO}1646
Mass Spectrometric Analysis
Molecular Formula : $C_{34}H_{59}N_3O_5$
Calculated : 589.4454
Found : 589.4431
NMR(δ, $CDCl_3$):
0.89 (3H,t,J = 7Hz), 0.96 (3H,s), 1.04 (3H,s), 1.22-1.42 (14H,m), 1.98-2.09 (2H,m), 2.33 (2H,t,J = 7Hz), 2.52-2.63 (2H,m), 2.77 (2H,d,J = 12Hz), 3.28 (1H,d,J = 12Hz), 3.36-3.72 (10H,m), 3.68 (1H,d,J = 12Hz), 4.07 (1H,s), 5.29-5.44 (4H,m), 7.05-7.13 (1H,m)

Example 198

Preparation of 1-(8-Heptadecenyl)carbamoyl-4-{3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]-propanoyl}piperazine

Heptadecenyl isocyanate (419 mg) was added to a solution of 489 mg of 1-{3 [N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propanoyl}piperazine (489 mg) in methylene chloride (30 ml) with stirring under ice cooling. Then the solvent was distilled off, and the residue obtained was subjected to silica gel column chromatography to obtain 900 mg of the title compound.
Property : oily
Specific Rotary Power $[\alpha]_D$: +27.0° (C = 1.0, $CHCl_3$)
IR(cm-1, neat): ν_{CO}1648
Mass Spectrometric Analysis
Molecular Formula : $C_{34}H_{62}N_4O_5$ Calculated : 606.4820
Found : 606.4719
NMR(δ, $CDCl_3$):
0.88 (3H,t,J = 7Hz), 0.90 (3H,s), 1.04 (3H,s), 1.21-1.38 (20H,m), 1.40-1.57 (2H,m), 1.42 (3H,s), 1.46 (3H,s), 2.33 (2H,t,J = 7Hz), 1.92-2.04 (4H,m), 2.49-2.65 (2H,m), 3.17-3.73 (14H,m), 4.07 (1H,s), 4.43 (1H,brs), 5.29-5.40 (2H,m), 7.08 (1H,t,J = 6Hz)

Example 199

1-(2-Methyllauroyl)4-{3-[N-(2,2,5,5-tetramethyl-1,3-dioxane)amino]propanoyl}piperazine
Molecular formula : $C_{29}H_{53}N_3O_5$
Molecular weight : 523.76
Mass Spectrometric Analysis
Calculated: 523.3985
Found : 523.3974
Melting Point (° C) : oil
Specific Rotary Power: $[\alpha]^{29}_D$ +30.3° (C = 1.0, $CHCl_3$)
IR(νneat, cm^{-1}): 2928, 2860, 1646
NMR(δ, $CDCl_3$):
0.88 (3H,t,J = 7Hz), 0.96 (3H,s), 1.04 (3H,s), 1.11 (3H,d,J = 7Hz), 1.15-1.34 (16H,m), 1.42 (3H,s), 1.46 (3H,s), 1.54-1.62 (2H,m), 2.54-2.72 (3H,m), 3.28 (1H,d,J = 12Hz), 3.38-3.70 (10H,m), 3.68 (1H,d,J = 12Hz), 4.07 (1H,s), 7.15-7.23 (1H,m)

Example 200

1-(1-Decylcyclobutanecarbonyl)-4-{3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]-propanoyl}piperazine
Molecular Formula : $C_{31}H_{55}N_3O_5$
Molecular Weight : 549.80
Mass Spectrometric Analysis
Calculated: 549.4141
Found : 549.4119
Melting Point (° C) : oil
Specific Rotary Power: $[\alpha]^{27}_D$ +29.6° (C = 1.0, $CHCl_3$)
IR(νneat, cm^{-1}): 2932, 2860, 1642
NMR(δ, $CDCl_3$):
0.88 (3H,t,J = 7Hz), 0.96 (3H,s), 1.04 (3H,s), 1.16-1.35 (16H,m), 1.42 (3H,s), 1.46 (3H,s), 1.68-1.98 (6H,m), 2.42-2.60 (4H,m), 3.26-3.68 (10H,m), 3.28 (1H,d,J = 12Hz), 3.68 (1H,d,J = 12Hz), 4.07 (1H,s), 7.08 (1H,t,J = 5Hz)

Example 201

1-(2-Benzylundecanoyl)-4-{3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propanoyl}piperazine
Molecular Formula : $C_{34}H_{55}N_3O_5$
Molecular Weight : 585.83
Mass Spectrometric Analysis
Calculated: 585.4141
Found : 585.4130
Melting Point (°C) : oil
Specific Rotary Power: $[\alpha]^{27}_D$ +25.0° (C = 1.0, $CHCl_3$)
IR(ν, cm^{-1}): 2928, 2860, 1648
NMR(δ, $CDCl_3$):
0.88 (3H,t,J = 7Hz), 0.94 (3H,s), 1.03 (3H,s), 1.16-1.35 (14H,m), 1.41 (3H,s), 1.45 (3H,s), 1.49-1.78 (2H,m), 2.24-2.58 (3H,m), 2.27-3.93 (14H,m), 4.06 (1H,s), 7.03 (1H,t,J = 5Hz), 7.13-7.29 (5H,m)

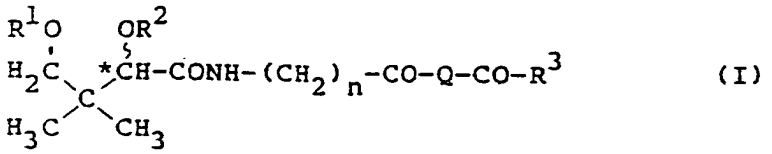
Example 202

1-(1-Benzyldecyl)carbamoyl-4-{3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propanoyl}piperazine

To a solution of 553 mg of 2-benzylundecanoic acid and 550 mg of diphenylphosphorylazide in 3 ml of anhydrous toluene was added portion-wise a solution of 223 mg of triethylamine in 3 ml of anhydrous toluene with stirring at room temperature. The reaction mixture was stirred at 80° C for additional 2 hours. After completion of the reaction, the reaction mixture was cooled down to room temperature. Then, a solution of 655 mg of 1-{1-oxo-3-[N-(2,2,5,5-tetramethyl-1,3-dioxane-4-carbonyl)amino]propyl}piperazine in 2 ml of chloroform was added thereto. The resulting mixture was stirred at room temperature for 18 hours. After washing it with a saturated aqueous sodium hydrogen carbonate solution and then with brine, the reaction mixture was dried over anhydrous sodium sulfate, and the solvent was removed by evaporation. The residue was purified by silica gel column chromatography to obtain 599 mg of the title compound (yield: 50 %).
Molecular Formula : $C_{34}H_{56}N_4O_5$
Molecular Weight : 600.85
Mass Spectrometric Anaylsis
Calculated: 600.4250
Found : 600,4244
Melting Point (°C) : oil
Specific Rotary Power: $[\alpha]^{27}_D$ +25.8° (C = 1.0, $CHCl_3$)
IR(νneat, cm^{-1}): 2932, 2860, 1636
NMR(δ, $CDCl_3$):
0.88 (3H,t,J = 7Hz), 0.96 (3H,s), 1.04 (3H,s), 1.17-1.40 (16H,m), 1.42 (3H,s), 1.46 (3H,s), 2.47-2.64 (2H,m), 2.72-2.88 (2H,m), 3.15-3.65 (11H,m), 3.28 (1H,d,J = 12Hz), 3.68 (1H,d,J = 12Hz), 4.07 (1H,s), 4.08-4.17 (1H,m), 7.18 (1H,t,J = 5Hz), 7.12-7.33 (5H,m)

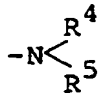
Claims

1. Compounds represented by general formula (I) below



(I)

wherein R^1 and R^2, which are the same or different, each represent a hydrogen atom or a protective group for a hydroxyl group;
R^3 represents a saturated or unsaturated, linear, branched or cyclic, monovalent C_5–C_{25}-aliphatic hydrocarbon group which may be substituted with an aromatic group, or a group of formula



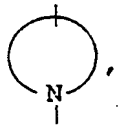
where R^4 represents a saturated or unsaturated, linear, branched or cyclic, monovalent C_5~C_{25}-aliphatic hydrocarbon group which may be substituted with an aromatic group, and
R^5 represents a hydrogen atom, or a saturated or unsaturated, linear, branched or cyclic, monovalent hydrocarbon group which may be substituted with an aromatic group;

Q represents

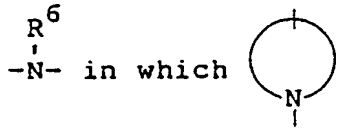
(a) a group of formula $-X^1-A-Y^1-$,
where A represents a saturated or unsaturated, linear, branched or cyclic divalent C_2~C_{16}-aliphatic hydrocarbon group which may be substituted with an aromatic group, a divalent aromatic hydrocarbon group or a divalent aromatic heterocyclic group; one of X^1 and Y^1 represents

and the other represents -O-, -S- or

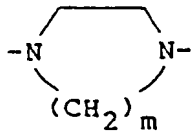
in which R^6 and R^7 each represent a hydrogen atom or a lower alkyl group;
(b) a group of formula $-X^2-(CH_2)_t-Y_2-$,
where one of X^2 and Y^2 represents a group of formula



and the other represents -O-, -S- or



represents a 4 ~ 7-membered, divalent nitrogen-containing aromatic heterocyclic group, and R^6 has the same meaning as defined above, and t is 0, 1 or 2; or
(c) a group of formula



where m is 2 or 3;

n is an integer of from 1 to 4.

2. The compounds of Claim 1, wherein R^1 and R^2, which are the same or different, each represent a hydrogen atom; a lower alkyl group; a benzyl group which may optionally be substituted with a halogen atom, a lower alkoxy group, a nitro group or a cyano group; a 5- or 6-membered saturated heterocyclic group containing as hetero atoms N, S or O; or an acyl group; or R^1 and R^2 combine to form a ylidene group selected from a 1-t-butylethylidene group, a 1-phenylethylidene group, an isopropylidene group, a butylidene group, a cyclopentylidene group, a cyclohexylidene group, a cycloheptylidene group, a benzylidene group, a p-methoxybenzylidene group, a 2,4-dimethoxybenzylidene group, a p-dimethylamino benzylidene group, and an o-nitrobenzylidene group.

3. The compounds of Claim 1, wherein said saturated or unsaturated, linear, branched or cyclic, monovalent aliphatic hydrocarbon group represented by R^3, R^4 or R^5 is selected from the class consisting of an alkyl group, an alkenyl group, an alkynyl group, a cycloalkyl group, a cycloalkenyl group, a cycloalkylalkyl group, a cycloalkenylalkyl group, an alkylcycloalkyl group, an alkenylcycloalkyl group, an alkylcycloalkenyl group and alkynylcycloalkyneyl group.

4. The compounds of Claim 1, wherein said saturated or unsaturated, linear, branched or cyclic, monovalent aliphatic hydrocarbon group which is substituted with an aromatic group, represented by R^3, R^4 or R^5, is selected from the class consisting of an alkyl group, an alkenyl group, an alkynyl group, a cycloalkyl group, a cycloalkenyl group, a cycloalkylalkyl group, a cycloalkenylalkyl group, an alkylcycloalkyl group, an alkenylcycloalkyl group, an alkylcycloalkenyl group and alkenylcycloalkenyl group, each being substituted with an aromatic group.

5. The compounds of Claim 1, wherein R^3 represents a C_8~C_{22}-monovalent aliphatic hydrocarbon group.

6. The compounds of Claim 1, wherein R^3 represents a C_8~C_{22}-monovalent aliphatic hydrocarbon group substituted with an aromatic group.

7. The compounds of Claim 1, wherein R^4 represents a C_8~C_{22}-monovalent aliphatic hydrocarbon group; and R^5 represents a hydrogen atom or a C_1~C_{10}-monovalent aliphatic hydrocarbon group.

8. The compounds of Claim 5, wherein R^4 and R^5 have 5 to 25 carbon atoms in total.

9. The compounds of Claim 1, wherein R^3 represents a group selected from the class consisting of a C_5~C_{25}-alkyl group which is linear or has a branched chain at the 1-position thereof; a C_{12}~C_{18}-alkenyl group which is linear or has a branched chain at the 1-position thereof, a C_8~C_{18}-alkyl-C_4~C_6-cycloalkyl group; a monosubstituted amino group substituted with a C_8~C_{20}-alkyl group or a C_8~C_{20}-alkenyl group; and an amino group which is substituted with an alkyl group or an alkenyl group and has from 8 to 20 carbon atoms in total.

10. The compounds of Claim 1, wherein Q represents a group of formula: -X^1-A-Y^1- wherein X^1, Y^1 and A are as defined in Claim 1.

11. The compounds of Claim 10, wherein A represents a group selected from the class consisting of a C_2~C_{10}-alkylene group which is linear or branched; a C_5~C_7-cycloalkyl-C_2~C_5-alkylene group; a C_5~C_7-cycloalkylene group; a C_4~C_8-alkenylene group; a C_4~C_8-alkynylene group; a C_5~C_7-cycloalkylene-C_1~C_5-alkylene group; a C_2~C_5-alkylene group substituted with an aryl group or a heteroaryl group; and a phenylene group.

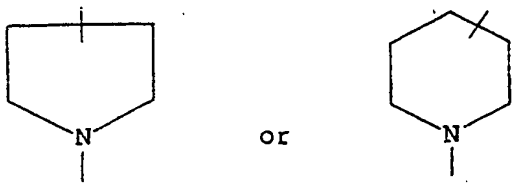
12. The compounds of Claim 10, wherein one of X^1 and Y^1 represents -NH- or

and another represents -O-, -S-, -NH- or

13. The compounds of Claim 1, wherein Q represents a group of formula: -X^2-(CH_2) -Y^2- wherein X^2, Y^2 and are as defined in Claim 1.

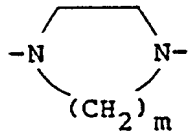
14. The compounds of Claim 13,

wherein one of X^2 and Y^2 represents a group of formula:



and the other represents -O-, -S- or

15. The compounds of Claim 1, wherein Q represents a group of formula



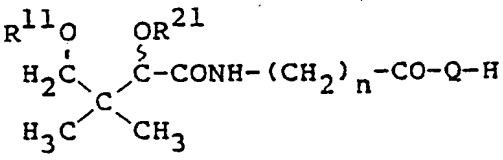
where m is as defined in Claim 1.

16. The compounds of Claim 1 as pharmaceutically active substances.

17. The compounds of Claim 1 as ACAT inhibitors.

18. A process for preparing the compounds represented by formula (I) of Claim 1, which comprises

(a) reacting a compound of formula (II) below



(II)

wherein R^{11} and R^{21}, which are the same or different, each represent a protected hydroxyl group; and Q and n are as defined in Claim 1;

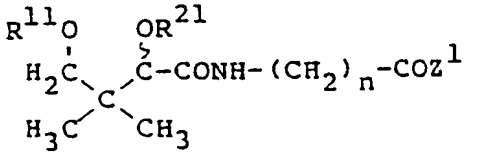
with a compound of formula (III) or (IV) below

R^3-COZ^1 (III)

R^4-NCO (IV)

wherein Z^1 represents a hydrogen atom, a halogen atom, an alkoxy group, or a substituted or unsubstituted phenyloxy group; and R^3 and R^4 are as defined in Claim 1; or

(b) reacting a compound of formula (V) below



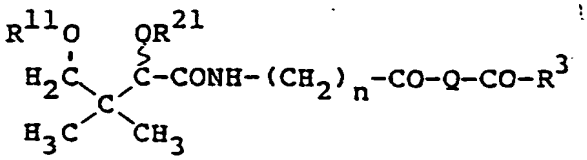
(V)

wherein R^{11}, R^{21}, n and Z^1 are as defined above; with a compound of formula (VI) below

H-Q-CO-R^3 (VI)

wherein R^3 and Q are as defined above; or

(c) eliminating said protective group for said hydroxyl groups in resulting compound of formula (I-1) below



(I-1)

wherein R^{11}, R^{21}, n and Z^1 are as defined above.

19. Medicament containing at least one compound represented by formula (I) of Claim 1.

20. Pharmaceutical composition comprising a therapeutically effective amount of at least one compound represented by formula (I) of Claim 1 and a pharmaceutical adjuvant.

21. ACAT inhibiting agent containing at least one compound represented by formula (I) of Claim 1 as an active ingredient.

22. A method for treating or preventing hyperlipemia, arteriosclerosis, angina pectoris, myocardial infarction or thrombosis, comprising administering to a patient a therapeutically effective amount of at least one compound represented by formula (I) of Claim 1.

23. Use of the compounds represented by formula (I) of Claim 1 for preparing medicaments for treating or preventing hyperlipemia, arteriosclerosis, angina pectoris, myocardial infarction or thrombosis.